DESCRIPTION

3-(DIHYDRO(TETRAHYDRO)ISOQUINOLIN-1-YL)QUINOLINE COMPOUND

TECHNICAL FIELD

The present invention relates to a 3-(dihydro(tetrahydro) isoquinolin-1-yl)quinoline compound or a salt thereof, and an agricultural chemical containing said compound or salt thereof as an active ingredient.

BACKGROUND ART

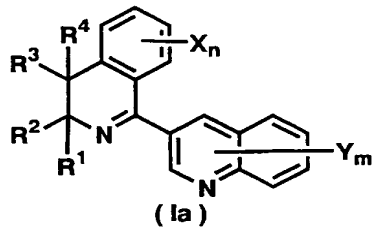
Although International Publication WO 00/42019 and International Publication WO 02/06270 describe a 6-arylphenanthridine compound as a PDE4 inhibitor, in which a cyclohexane ring is formed between positions 3 and 4 of a dihydroisoquinoline ring, while Japanese Patent Publication No. 2003-171381 describes a 6-arylfuroisoquinoline compound as an entry inhibitor, in which a dihydrofuran ring is formed between positions 7 and 8 of a dihydroisoquinoline ring, there are no descriptions of a 3-dihydroisoquinolin-1-yl quinoline compound in which the isoquinoline ring is not condensed with another ring, and there are no descriptions relating to an agrohorticultural antimicrobial agent. In addition, although the Indian Journal of Chemistry 1969, 7(10), 1010-1016, ibid 1970, 8(6), 505-508, ibid 1985, 24B(7), 737-746, and ibid 1986, 25B(10), 1072-1078 describe the synthesis of a 3-(dihydro(tetrahydro)isoquinolin-1-yl)quinoline compound, there is no description of a 3-(dihydro(tetrahydro) isoquinolin-1-yl)quinoline compound in which position 3 of the isoquinoline ring is substituted by two substituents, and there are no descriptions relating to an agrohorticultural antimicrobial agent. In this manner, the use of a 3-(dihydro(tetrahydro)isoquinolin-1-yl)quinoline compound, in which position 3 of the isoquinoline ring is

substituted by two substituents, as an agrohorticultural antimicrobial agent is not known in the prior art.

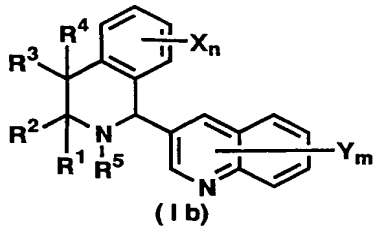
As a result of conducting extensive studies on a 3-(dihydro(tetrahydro)isoquinolin-1-yl)quinoline compound, the inventors of the present invention found that a 3-(dihydro(tetrahydro)isoquinolin-1-yl)quinoline compound, in which position 3 of the isoquinoline ring is substituted by two substituents and other rings are not condensed with the isoquinoline ring, has superior antimicrobial activity against various plant diseases and is useful as an active ingredient of an agricultural chemical, and in particular, found that this compound is able to control rice blast (*Pyricularia oryzae*), which is a plant mold that frequently causes serious damage to agrohorticultural crops, as well as gray mold (*Botrytis cinerea*) in tomatoes, cucumbers and green beans, at low doses, thereby leading to completion of the present invention.

DISCLOSURE OF THE INVENTION

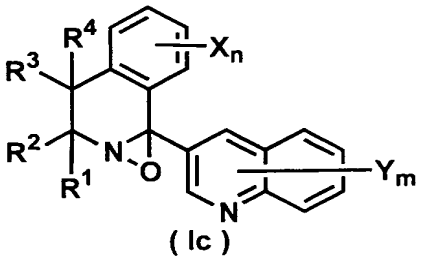
The present invention is a compound or salt thereof represented by general formula (Ia), (Ib), (Ic) or (Id):



(Ia)

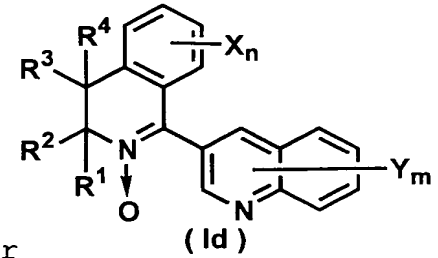


(Ib)



(Ic)

or



(Id)

(wherein,

R^1 and R^2 may be the same or different, and represent

a C_1-C_6 alkyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group, C_1-C_6 alkylthio group and phenoxy group;

an aryl group which may be substituted with 1 to 6 substituents, which may the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, C_1-C_6 alkoxy group, amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups, nitro group, cyano group, hydroxyl group, mercapto group, and C_1-C_6 alkylthio group;

a heteroaryl group which may be substituted with 1 to 6 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, and C_1-C_6 alkoxy group;

an aralkyl group which may be substituted with 1 to 6 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, C_1-C_6 alkoxy group, amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups, nitro group, cyano group, hydroxyl group, mercapto group and C_1-C_6 alkylthio group; or

R^1 and R^2 together represent a C_3-C_{10} cycloalkyl group, which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 alkoxy group and phenoxy group;

R^3 and R^4 may be the same or different, and represent

a hydrogen atom;

a C_1-C_6 alkyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group, C_1-C_6 alkylthio group and phenoxy group;

a halogen atom;

a C_1-C_6 alkylene group;

a C_1-C_6 alkoxy group;

a hydroxyl group; or,

R^3 and R^4 together represent a C_3-C_{10} cycloalkyl group which may be substituted with 1 to 3 substituents, which may the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 alkoxy group and phenoxy group;

R^5 represents

a hydrogen atom, acyl group; or

a C_1-C_6 alkyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group, C_1-C_6 alkylthio group and phenoxy group;

X represents a halogen atom;

a C_1-C_6 alkyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group, hydroxyl group, C_1-C_6 alkoxycarbonyl group and phenoxy group;

a C_2-C_6 alkenyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group, C_1-C_6 alkoxycarbonyl group, phenyl group and phenoxy group;

a C_2-C_6 alkynyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group and phenoxy group;

an aryl group which may be substituted with 1 to 6 substituents, which may be the same or different, selected

from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, C_1-C_6 alkoxy group, amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups, nitro group, cyano group, hydroxyl group, mercapto group and C_1-C_6 alkylthio group;

a heteroaryl group which may be substituted with 1 to 6 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, and C_1-C_6 alkoxy group;

a C_1-C_6 alkoxy group;

an amino group which may be substituted with 1 to 2 C_1-C_6 alkyl groups or acyl groups, which may be the same or different;

an acyl group;

a cyano group; or

an N-hydroxyalkaneimidoyl group in which a hydrogen atom of the hydroxyl group may be substituted with a substituent selected from the group consisting of a C_1-C_6 alkyl group, C_2-C_6 alkenyl group, C_2-C_6 alkynyl group, aralkyl group, aryl group and heteroaryl group;

Y represents a substituent selected from the group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 alkoxy group and hydroxyl group;

n represents an integer of 0 to 4; and,

m represents an integer of 0 to 6.

BEST MODE FOR CARRYING OUT THE INVENTION

In the present invention, a "C_1-C_6 alkyl group" is a linear or branched alkyl group having 1 to 6 carbon atoms such as a methyl group, ethyl group, propyl group, isopropyl group, butyl group, isobutyl group, s-butyl group, t-butyl group, pentyl group, isopentyl group, 2-methylbutyl group, neopentyl group, 1-ethylpropyl group, hexyl group, 4-methylpentyl group, 3-methylpentyl group,

2-methylpentyl group, 1-methylpentyl group, 3,3-dimethylbutyl group, 2,2-dimethylbutyl group, 1,1-dimethylbutyl group, 1,2-dimethylbutyl group, 1,3-dimethylbutyl group, 2,3-dimethylbutyl group or 2-ethylbutyl group, preferably a linear or branched alkyl group having 1 to 5 carbon atoms (C_1-C_5 alkyl group), more preferably a linear or branched alkyl group having 1 to 4 carbon atoms (C_1-C_4 alkyl group), even more preferably a linear or branched alkyl group having 1 to 3 carbon atoms (C_1-C_3 alkyl group), particularly preferably a methyl group, ethyl group or propyl group, and most preferably a methyl group or ethyl group.

In the present invention, a "C_2-C_6 alkenyl group" may be linear or branched, and can contain one or an arbitrary number of double bonds, examples of which include a vinyl group, prop-1-en-1-yl group, allyl group, isopropenyl group, but-1-en-1-yl group, but-2-en-1-yl group, but-3-en-1-yl group, 2-methylprop-2-en-1-yl group, 1-methylprop-2-en-1-yl group, pent-1-en-1-yl group, pent-2-en-1-yl group, pent-3-en-1-yl group, pent-4-en-1-yl group, 3-methylbut-2-en-1-yl group, 3-methylbut-3-en-1-yl group, hex-1-en-1-yl group, hex-2-en-1-yl group, hex-3-en-1-yl group, hex-4-en-1-yl group, hex-5-en-1-yl group and 4-methylpent-3-en-1-yl group.

In the present invention, a "C_2-C_6 alkynyl group" may be linear or branched, and can contain one or an arbitrary number of triple bonds, examples of which include an ethynyl group, prop-1-yn-1-yl group, prop-2-yn-1-yl group, but-1-yn-1-yl group, but-3-yn-1-yl group, 1-methylprop-2-yn-1-yl group, pent-1-yn-1-yl group, pent-4-yn-1-yl group, hex-1-yn-1-yl group and hex-5-yn-1-yl group.

In the present invention, examples of an "aryl group" include a phenyl group, 1-naphthyl group, 2-naphthyl group, anthracenyl group, phenanthracenyl group and acenaphthylenyl group.

In the present invention, a "heteroaryl group" may

have a single ring or multiple rings, and a heteroaryl group can be used which contains one or two or more same or different ring-composing heteroatoms. There are no particular limitations on the type of heteroatom, and examples include a nitrogen atom, oxygen atom and sulfur atom. Examples of heteroaryl groups include 5- to 7-member monocyclic heteroaryl groups such as a furyl group, thienyl group, pyrrolyl group, oxazolyl group, isoxazolyl group, dihydroisoxazolyl group, thiazolyl group, isothiazolyl group, imidazolyl group, pyrazolyl group, oxadiazolyl group, thiadiazolyl group, triazolyl group, tetrazolyl group, pyridyl group, azepinyl group and oxazepinyl group. Examples of polycyclic heteroaryl groups which compose a heteroarylalkyl group include 8- to 14-member polycyclic heteroaryl groups such as a benzofuranyl group, isobenzofuranyl group, benzothienyl group, indolyl group, isoindolyl group, indazolyl group, benzoxazolyl group, benzoisoxazolyl group, benzothiazolyl group, benzoisothiazolyl group, benzoxadiazolyl group, benzothiadiazolyl group, benzotriazolyl group, quinolyl group, isoquinolyl group, cinnolinyl group, quinazolinyl group, quinoxalinyl group, phthalazinyl group, naphthilizinyl group, purinyl group, pteridinyl group, carbozolyl group, carbolinyl group, acridinyl group, 2-acridinyl group, 3-acridinyl group, 4-acridinyl group, 9-acridinyl group, phenoxadinyl group, phenothiadinyl group and phenadinyl group.

In the present invention, examples of an "aralkyl group" include groups in which one or two or more hydrogen atoms of the aforementioned "C_1-C_6 alkyl group" is substituted with an "aryl group", examples of which include a benzyl group, 1-naphthylmethyl group, 2-naphthylmethyl group, anthracenylmethyl group, phenanthranylmethyl group, acenaphthylenylmethyl group, diphenylmethyl group, 1-phenethyl group, 2-phenethyl group, 1-(1-naphthyl)ethyl group, 1-(2-naphthyl)ethyl group, 2-

(1-naphthyl)ethyl group, 2-(2-naphthyl)ethyl group, 3-phenylpropyl group, 3-(1-naphthyl)propyl group, 3-(2-naphthyl)propyl group, 4-phenylbutyl group, 4-(1-naphthyl)butyl group, 4-(2-naphthyl)butyl group, 5-phenylpentyl group, 5-(1-naphthyl)pentyl group, 5-(2-naphthyl)pentyl group, 6-phenylhexyl group, 6-(1-naphthyl)hexyl group and 6-(2-naphthyl)hexyl group.

In the present invention, examples of a "C_3-C_{10} cycloalkyl group" include monocyclic or polycyclic cycloalkyl groups having 3 to 10 carbon atoms such as a cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group or norbornyl group, preferably a cyclopentyl group, cyclohexyl group or cycloheptyl group, and more preferably a cyclopentyl group.

In the present invention, a "halogen atom" is a fluorine atom, chlorine atom, bromine atom or iodine atom, preferably a fluorine atom, chlorine atom or bromine atom, more preferably a fluorine atom or chlorine atom, and most preferably a fluorine atom.

In the present invention, examples of a "C_1-C_6 alkoxy group" include linear or branched alkoxy groups having 1 to 6 carbon atoms such as a methoxy group, ethoxy group, propoxy group, isopropoxy group, butoxy group, isobutoxy group, s-butoxy group, t-butoxy group, pentyloxy group, isopentyloxy group, 2-methylbutoxy group, neopentyloxy group, 1-ethylpropoxy group, hexyloxy group, (4-methylpentyl)oxy group, (3-methylpentyl)oxy group, (2-methylpentyl)oxy group, (1-methylpentyl)oxy group, 3,3-dimethylbutoxy group, 2,2-dimethylbutoxy group, 1,1-dimethylbutoxy group, 1,2-dimethylbutoxy group, 1,3-dimethylbutoxy group, 2,3-dimethylbutoxy group and 2-ethylbutoxy group, preferably linear or branched alkoxy groups having 1 to 4 carbon atoms (C_1-C_4 alkoxy groups), more preferably a methoxy group, ethoxy group or isopropoxy group, even more preferably a methoxy group or ethoxy group, and most preferably a methoxy group.

In the present invention, examples of a "C_1-C_6 alkylthio group" include linear or branched alkylthio groups having 1 to 6 carbon atoms such as a methylthio group, ethylthio group, propylthio group, isopropylthio group, butylthio group, isopentylthio group, neopentylthio group, 3,3-dimethylbutylthio group or 2-ethylbutylthio group, preferably linear or branched alkylthio groups having 1 to 4 carbon atoms, and more preferably a methylthio group.

In the present invention, examples of an "acyl group" include a formyl group, carbonyl group bound to the aforementioned "C_1-C_6 alkyl group" (C_2-C_7 alkylcarbonyl group), carbonyl group bound to the aforementioned "C_2-C_6 alkenyl group" (C_3-C_7 alkenylcarbonyl group), carbonyl group bound to the aforementioned "aryl group" ("arylcarbonyl group"), carbonyl group bound to the aforementioned "C_1-C_6 alkoxy group" (C_2-C_7 alkoxycarbonyl group) or carbonyl group bound to the aforementioned "amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups" (C_2-C_7 alkylaminocarbonyl group), preferably linear or branched alkylcarbonyl groups having 2 to 5 carbon atoms (C_2-C_5 alkylcarbonyloxy groups) or alkylaminocarbonyl groups having 2 to 7 carbon atoms (C_2-C_7 alkylaminocarbonyl groups), and more preferably an acetyl group or methylaminocarbonyl group.

In the present invention, examples of a "C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms" include the aforementioned "C_1-C_6 alkyl groups" as well as "C_1-C_6 alkyl groups" substituted with 1 to 3 of the aforementioned same or different "halogen atoms" such as a trifluoromethyl group, trichloromethyl group, difluoromethyl group, dichloromethyl group, dibromomethyl group, fluoromethyl group, chloromethyl group, bromomethyl group, iodomethyl group, 2,2,2-trichloroethyl group, 2,2,2-trifluoroethyl group, 2-bromoethyl group, 2-chloroethyl group, 2-

fluoroethyl group, 3-chloropropyl group, 3,3,3-trifluoropropyl group, 4-fluorobutyl group, 3-fluoro-2-methylpropyl group, 3,3,3-trifluoro-2-methylpropyl group and 6,6,6-trichlorohexyl group, preferably the aforementioned "C_1-C_4 alkyl groups" which may be substituted with 1 to 3 of the aforementioned same or different "halogen atoms", more preferably the aforementioned "C_1-C_3 alkyl groups" which may be substituted with 1 to 3 of the aforementioned same or different "fluorine atoms or chlorine atoms", even more preferably a methyl group, ethyl group, propyl group, chloromethyl group or trifluoromethyl group, and particularly preferably a methyl group, ethyl group or trifluoromethyl group.

In the present invention, examples of a "N-hydroxyalkaneimidoyl group in which a hydrogen atom of the hydroxyl group may be substituted with a substituent selected from the group consisting of a C_1-C_6 alkyl group, C_2-C_6 alkenyl group, C_2-C_6 alkynyl group, aralkyl group, aryl group and heteroaryl group" include groups in which the hydroxyl group of a N-hydroxyalkaneimidoyl group having 1 to 6 carbon atoms, such as a hydroxyiminomethyl group, N-hydroxyethaneimidoyl group, N-hydroxypropaneimidoyl group or N-hydroxybutaneimidoyl group, is substituted with the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_2-C_6 alkenyl group", the aforementioned "C_2-C_6 alkynyl group", the aforementioned "aralkyl group", the aforementioned "aryl group" or the aforementioned "heteroaryl group", examples of which include a methoxyiminomethyl group, N-methoxyethaneimidoyl group, N-ethoxyethaneimidoyl group, N-butoxyalkaneimidoyl group, N-aryloxyethaneimidoyl group, N-phenoxyethaneimidoyl group, N-methoxypropaneimidoyl group, N-methoxybutaneimidoyl group and N-methoxypropaneimidoyl group.

In the present invention, a "C_1-C_6 alkyl group which

may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group and phenoxy group" includes the aforementioned "C_1-C_6 alkyl groups", the aforementioned "C_1-C_6 alkyl groups which may be substituted with 1 to 3 same or different halogen atoms", the aforementioned "C_1-C_6 alkyl groups" substituted with 1 to 3 of the same or different "C_1-C_6 alkyl groups", such as a methoxymethyl group, ethoxymethyl group, ethoxyethyl group or propoxymethyl group, the aforementioned "C_1-C_6 alkyl groups" substituted with a phenoxy group such as a phenoxymethyl group or phenoxyethyl group, and the aforementioned "C_1-C_6 alkyl groups" substituted with 2 or more substituents selected from the group consisting of a halogen atom, the aforementioned C_1-C_6 alkoxy groups and a phenoxy group, such as a 2-methoxy-1-chloromethyl group or a 3-phenoxy-2-bromo-2-methoxypropyl group.

In the present invention, a "C_2-C_6 alkenyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group, phenyl group and phenoxy group" includes the aforementioned "C_2-C_6 alkenyl groups", the aforementioned "C_2-C_6 alkenyl groups" substituted with 1 to 3 same or different halogen atoms, such as a 3-chloroallyl group or 4-bromo-2-butenyl group, the aforementioned "C_2-C_6 alkenyl groups" substituted with 1 to 3 of the same or different "C_1-C_6 alkoxy groups", such as a 3-methoxy-2-propenyl group or 4-ethoxy-3-butenyl group, the aforementioned "C_2-C_6 alkenyl groups" substituted with a phenyl group, such as a 1-phenylvinyl group, styryl group or cinnamyl group, the aforementioned "C_2-C_6 alkenyl groups" substituted with a phenoxy group, such as a 3-phenoxy-2-butenyl group, and the aforementioned "C_2-C_6 alkenyl groups" substituted with two or more types of substituents selected from the group consisting of a halogen atom, the aforementioned C_1-C_6

alkoxy group and a phenoxy group, such as a 4-methoxy-3-chloro-2-butenyl group.

In the present invention, a "C_2-C_6 alkynyl group which may be substituted with 1 to 3 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group and phenoxy group" includes the aforementioned "C_2-C_6 alkynyl groups", the aforementioned "C_2-C_6 alkynyl groups" substituted with 1 to 3 same or different halogen atoms, such as a 3-chloro-2-propynyl group or 4-bromo-2-butynyl group, the aforementioned "C_2-C_6 alkynyl groups" substituted with 1 to 3 of the same or different "C_1-C_6 alkoxy groups", such as a 3-methoxy-2-propynyl group or 4-ethoxy-3-butynyl group, the aforementioned "C_2-C_6 alkynyl groups" substituted with a phenoxy group, such as a 3-phenoxy-2-butynyl group, and the aforementioned "C_2-C_6 alkynyl groups" substituted with two or more types of substituents selected from the group consisting of a halogen atom, the aforementioned C_1-C_6 alkoxy group and a phenoxy group, such as a 4-methoxy-4-chloro-2-butynyl group.

In the present invention, examples of an "amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups" include an amino group, and an amino group where 1 to 2 of the aforementioned same or different "C_1-C_6 alkyl groups" or 1 to 2 of the aforementioned same or different "acyl groups" are substituted, preferably an amino group where 1 to 2 of aforementioned same or different "C_1-C_4 alkyl groups" or 1 to 2 of the aforementioned same or different "acyl groups" are substituted, and more preferably a dimethylamino group, diethylamino group or acetylamino group.

In the present invention, an "aryl group which may be substituted with 1 to 6 substituents, which may the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group which may be substituted

with 1 to 3 same or different halogen atoms, C_1-C_6 alkoxy group, amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups, nitro group, cyano group, hydroxyl group mercapto group, and C_1-C_6 alkylthio group" includes the aforementioned "aryl groups", the aforementioned "aryl groups" substituted with 1 to 6 same or different halogen atoms, the aforementioned "aryl groups" substituted with 1 to 6 of the aforementioned same or different "C_1-C_6 alkyl groups which may be substituted with 1 to 3 same or different halogen atoms", the aforementioned "aryl groups" substituted with 1 to 6 of the aforementioned same or different "C_1-C_6 alkoxy groups", the aforementioned "aryl groups" substituted with 1 to 6 of the aforementioned same or different "amino groups which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups", the aforementioned "aryl groups" substituted with 1 to 6 nitro groups, the aforementioned "aryl groups" substituted with 1 to 6 cyano groups, the aforementioned "aryl groups" substituted with 1 to 6 hydroxyl groups, the aforementioned "aryl groups" substituted with 1 to 6 mercapto groups, the aforementioned "aryl groups" substituted with 1 to 6 of the aforementioned same or different "C_1-C_6 alkylthio groups", and the aforementioned "aryl groups" substituted with two or more types of substituents selected from the group consisting of a halogen atom, the aforementioned "C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms", the aforementioned "C_1-C_6 alkoxy group", the aforementioned "amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups", nitro group, cyano group, hydroxyl group, mercapto group and the aforementioned "C_1-C_6 alkylthio group".

In the present invention, a "heteroaryl group which may be substituted with 1 to 6 substituents, which may be

the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, and C_1-C_6 alkoxy group" includes the aforementioned "heteroaryl groups", the aforementioned "heteroaryl groups" substituted with 1 to 6 same or different halogen atoms, the above-mentioned "heteroaryl groups" substituted with 1 to 6 of the same or different "C_1-C_6 alkyl groups which may be substituted with 1 to 3 same or different halogen atoms", the aforementioned "heteroaryl groups" substituted with 1 to 6 of the aforementioned same or different "C_1-C_6 alkoxy groups", the aforementioned "heteroaryl groups" substituted with 1 to 6 hydroxyl groups, and the aforementioned "heteroaryl groups" substituted with two or more types of substituents selected from the group consisting of a halogen atom, the aforementioned "C_1-C_6 alkyl group", the aforementioned "C_1-C_6 alkoxy group" and a hydroxyl group.

In the present invention, an "aralkyl group which may be substituted with 1 to 6 substituents, which may be the same or different, selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, C_1-C_6 alkoxy group, amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups, nitro group, cyano group, hydroxyl group, mercapto group and C_1-C_6 alkylthio group" includes the aforementioned "aralkyl groups", the aforementioned "aralkyl groups" substituted with 1 to 6 same or different halogen atoms, the aforementioned "aralkyl groups" substituted with 1 to 6 of the aforementioned same or different "C_1-C_6 alkyl groups which may be substituted with 1 to 3 same or different halogen atoms", the aforementioned "aralkyl groups" substituted with 1 to 6 of the aforementioned same or different "C_1-C_6 alkoxy groups", the aforementioned "aralkyl groups" substituted with 1 to

6 of the aforementioned same or different "amino groups which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups", the aforementioned "aralkyl groups" substituted with 1 to 6 nitro groups, the aforementioned "aralkyl groups" substituted with 1 to 6 cyano groups, the aforementioned "aralkyl groups" substituted with 1 to 6 hydroxyl groups, the aforementioned "aralkyl groups" substituted with 1 to 6 mercapto groups, the aforementioned "aralkyl groups" substituted with 1 to 6 of the aforementioned same or different "C_1-C_6 alkylthio groups", and the aforementioned "aralkyl groups" substituted with two or more types of substituents selected from the group consisting of a halogen atom, the aforementioned "C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms", the aforementioned "C_1-C_6 alkoxy group", the aforementioned "amino group which may be substituted with 1 to 2 same or different C_1-C_6 alkyl groups or acyl groups", nitro group, cyano group, hydroxyl group, mercapto group and the aforementioned "C_1-C_6 alkylthio group". In the case an aralkyl group has a substituent, said substituent may be substituted on the aryl ring which composes the aralkyl group and/or on the alkyl group.

X can be substituted at 1 to 4 arbitrary substitutable locations on the isoquinoline ring, and in the case there are two or more X present, they may be the same or different.

Y can be substituted at 1 to 6 arbitrary substitutable locations on the quinoline ring, and in the case two or more Y are present, they may be the same or different.

In compounds (Ia), (Ib), (Ic) or (Id) of the present invention:

(1) R^1 and R^2 are preferably a C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different substituents selected from the group consisting of a

halogen atom, C_1-C_6 alkoxy group and phenoxy group; or an aryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 alkoxy group and hydroxyl group, more preferably a C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, or a phenyl group which may be substituted with 1 to 6 same or different halogen atoms, and even more preferably a methyl group, ethyl group, propyl group, trifluoromethyl group, trifluoroethyl group, phenyl group, fluorophenyl group or chlorophenyl group,

(2) R^3 and R^4 are preferably a hydrogen atom, halogen atom or C_1-C_6 alkyl group, and R^5 is preferably a hydrogen atom,

(3) X_n is preferably such that X is a halogen atom; C_1-C_6 alkyl group; C_2-C_6 alkynyl group; aryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which substituted with 1 to 3 same or different halogen atoms and C_1-C_6 alkoxy group; heteroaryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms and C_1-C_6 alkoxy group; cyano group; or, N-hydroxyalkaneimidoyl in which the hydrogen atom of a hydroxyl group which may be substituted with a substituent selected from the group consisting of a C_1-C_6 alkyl group and phenyl group, and n is an integer of 0 to 2, more preferably X is a halogen atom; C_1-C_6 alkyl group; C_1-C_6 alkynyl group; heteroaryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms and C_1-C_6 alkoxy group; cyano group; or N-hydroxyalkaneimidoyl group in which a hydrogen atom of the

hydroxyl group may be substituted with a substituent selected from the group consisting of a C_1-C_6 alkyl group and a phenyl group, and n is an integer of 0 to 2, and even more preferably X is a fluorine atom, chlorine atom, bromine atom, methyl group, ethynyl group, furyl group, thienyl group, cyano group, methoxyethaneimidoyl group, ethoxyethaneimidoyl group or phenoxyethaneimidoyl group, and n is 0 or 1, and

(4) Y_m is preferably such that Y is a fluorine atom, chlorine atom or methyl group, and m is 0 or 1, and more preferably Y is a methyl group and m is 0 or 1.

In compounds (Ia), (Ib), (Ic) or (Id) of the present invention, preferably:

(a1) R^1 and R^2 are a C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group and phenoxy group; or, an aryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group, C_1-C_6 alkoxy group and hydroxyl group,

(a2) R^3 and R^4 are a hydrogen atom, halogen atom or C_1-C_6 alkyl group, and R^5 is a hydrogen atom,

(a3) X_n is preferably such that X is a halogen atom; C_1-C_6 alkyl group; aryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms and C_1-C_6 alkoxy group; heteroaryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms and C_1-C_6 alkoxy group; cyano group; or, N-hydroxyalkaneimidoyl in which the hydrogen atom of a hydroxyl group is which may be substituted with a substituent selected from the group

consisting of a C_1-C_6 alkyl group and phenyl group, and n is an integer of 0 to 2, and

(a4) Y_m is such that Y is a fluorine atom, chlorine atom or methyl group, and m is 0 or 1,

more preferably:

(b1) R^1 and R^2 are a C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms, or a phenyl group which may be substituted with 1 to 6 same or different halogen atoms,

(b2) R^3 and R^4 are a halogen atom or a C_1-C_6 alkyl group, and R^5 is a hydrogen atom,

(b3) X_n is such that X is a halogen atom; C_1-C_6 alkyl group; C_2-C_6 alkynyl group; heteroaryl group which may be substituted with 1 to 6 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different halogen atoms and C_1-C_6 alkoxy group; cyano group; or N-hydroxyalkaneimidoyl in which the hydrogen atom of a hydroxyl group may be substituted with a substituent selected from the group consisting of a C_1-C_6 alkyl group and phenyl group, and n is an integer of 0 to 2, and

(b4) Y_m is such that Y is a fluorine atom, chlorine atom or methyl group, and m is 0 or 1,

even more preferably:

(c1) R^1 and R^2 are a methyl group, ethyl group, propyl group, trifluoromethyl group, trifluoroethyl group, phenyl group, fluorophenyl group or chlorophenyl group,

(c2) R^3 and R^4 are a fluorine atom or methyl group, and R^5 is a hydrogen atom,

(c3) X_n is such that X is a fluorine atom, chlorine atom, bromine atom, methyl group, ethynyl group, furyl group, thienyl group, cyano group, methoxyethaneimidoyl group, ethoxyethaneimidoyl group or phenoxyethaneimidoyl group, and n is 0 or 1, and

(c4) Y_m is such that Y is a methyl group and m is 0 or 1,

and most preferably:

(d) compound (Ia), (Ib), (Ic) or (Id) is:

3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(5-chloro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(5-bromo-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(5-ethynyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(5,6-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(3-ethyl-5-fluoro-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(5-fluoro-3-methyl-3-propyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(3-methyl-3-trifluoromethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-[3-methyl-3-(2,2,2-trifluoroethyl)-3,4-dihydroisoquinolin-1-yl]quinoline,

3-(3-methyl-3-phenyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-[3-methyl-3-(4-fluorophenyl)-3,4-dihydroisoquinolin-1-yl]quinoline,

3-[3-methyl-3-(4-chlorophenyl)-3,4-dihydroisoquinolin-1-yl]quinoline,

3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-6-fluoroquinoline,

3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-8-fluoroquinoline,

3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-8-methylquinoline,

3-(4,5-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(4,4,5-trifluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline,

5-fluoro-3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline,

3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline,

5-fluoro-3,3-dimethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline,

6-fluoro-3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline,

4',4'-dimethyl-8b'-quinolin-3-yl-4',8b'-dihydrospiro[cyclopentane-1,3'-oxazileno[3,2-a]isoquinoline],

4,4,5-trifluoro-3,3-dimethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline,

3-(5-fluoro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(6-fluoro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(6-chloro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(4,4-difluoro-3,3-dimethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline,

3-(4,4,5-trifluoro-3,3-dimethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline or

3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline.

Compound (Ia), (Ib), (Ic) or (Id) of the present invention can be converted to a salt in the manner of, for example, a sulfate, hydrochloride, nitrate or phosphate, and these salts are included in the present invention provided they can be used as agrohorticultural antimicrobial agents.

Compound (Ia), (Ib), (Ic) or (Id) of the present

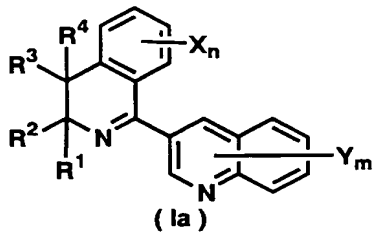
invention or salts thereof can be converted to a solvent hydrate, and these solvent hydrates are also included in the present invention. A solvent hydrate is preferably a hydrate.

Compounds having asymmetric carbons are also included in compound (Ia), (Ib), (Ic) or (Id) of the present invention, and in such cases, the invention of the present application also includes mixtures containing arbitrary ratios of one species of optically active form or several species of optically active forms.

Although representative compounds of the present invention are indicated in the following tables, the present invention is not limited to these compounds.

In the following tables, "Me" indicates a methyl group, "Et" an ethyl group, "Pr" a propyl group, "iPr" an isopropyl group, "Bu" a butyl group, "iBu" an isobutyl group, "tBu" a t-butyl group, "iPen" an isopentyl group, "Vinyl" a vinyl group, "Allyl" an allyl group, "Ethynyl" and ethynyl group, "Ph" a phenyl group, "FUR" a furyl group, "2THI" a 2-thienyl group, "OXA" an oxazolyl group, "Ac" an acetyl group, "EtIMD" an N-hydroxyethaneimidoyl group, "3PYD" a 3-pyridyl group, "Bn" a benzyl group, "cPen" a cyclopentyl group in which R^1 and R^2 or R^3 and R^4 form a ring, "cHex" a cyclohexyl group in which R^1 and R^2 or R^3 and R^4 form a ring, "cHep" a cycloheptyl group in which R^1 and R^2 or R^3 and R^4 form a ring, and in "X_n" and "Y_m", "H" indicates that n=0 and m=0.

TABLE 1



(Ia)

Compound No.	R1、R2	R3、R4	Xn	Ym
1-1	Me、Me	H、H	H	H
1-2	Me、Me	H、H	H	2-F
1-3	Me、Me	H、H	H	4-F
1-4	Me、Me	H、H	H	5-F
1-5	Me、Me	H、H	H	6-F
1-6	Me、Me	H、H	H	7-F
1-7	Me、Me	H、H	H	8-F
1-8	Me、Me	H、H	H	2-Cl
1-9	Me、Me	H、H	H	4-Cl
1-10	Me、Me	H、H	H	5-Cl
1-11	Me、Me	H、H	H	6-Cl
1-12	Me、Me	H、H	H	7-Cl
1-13	Me、Me	H、H	H	8-Cl
1-14	Me、Me	H、H	H	2-Me
1-15	Me、Me	H、H	H	4-Me
1-16	Me、Me	H、H	H	5-Me
1-17	Me、Me	H、H	H	6-Me
1-18	Me、Me	H、H	H	7-Me
1-19	Me、Me	H、H	H	8-Me
1-20	Me、Me	H、H	H	2-MeO
1-21	Me、Me	H、H	H	4-MeO
1-22	Me、Me	H、H	H	5-MeO
1-23	Me、Me	H、H	H	6-MeO
1-24	Me、Me	H、H	H	7-MeO
1-25	Me、Me	H、H	H	8-MeO
1-26	Me、Me	H、H	H	2-OH
1-27	Me、Me	H、H	H	4-OH
1-28	Me、Me	H、H	H	5-OH
1-29	Me、Me	H、H	H	6-OH
1-30	Me、Me	H、H	H	7-OH
1-31	Me、Me	H、H	H	8-OH
1-32	Me、Me	H、H	5-F	H
1-33	Me、Me	H、H	5-F	4-F
1-34	Me、Me	H、H	5-F	8-F
1-35	Me、Me	H、H	5-F	4-Cl

1-36	Me、Me	H、H	5-F	6-Cl
1-37	Me、Me	H、H	5-F	4-MeO
1-38	Me、Me	H、H	5-F	8-Me
1-39	Me、Me	H、H	5-F	8-MeO
1-40	Me、Me	H、H	5-F	8-OH
1-41	Me、Me	H、H	6-F	H
1-42	Me、Me	H、H	7-F	H
1-43	Me、Me	H、H	8-F	H
1-44	Me、Me	H、H	5-Cl	H
1-45	Me、Me	H、H	5-Cl	4-F
1-46	Me、Me	H、H	5-Cl	8-F
1-47	Me、Me	H、H	5-Cl	4-Cl
1-48	Me、Me	H、H	5-Cl	6-Cl
1-49	Me、Me	H、H	5-Cl	4-Me
1-50	Me、Me	H、H	5-Cl	8-Me
1-51	Me、Me	H、H	5-Cl	8-MeO
1-52	Me、Me	H、H	5-Cl	8-OH
1-53	Me、Me	H、H	6-Cl	H
1-54	Me、Me	H、H	7-Cl	H
1-55	Me、Me	H、H	8-Cl	H
1-56	Me、Me	H、H	5-Br	H
1-57	Me、Me	H、H	5-Br	4-F
1-58	Me、Me	H、H	5-Br	8-F
1-59	Me、Me	H、H	5-Br	4-Cl
1-60	Me、Me	H、H	5-Br	6-Cl
1-61	Me、Me	H、H	5-Br	4-Me
1-62	Me、Me	H、H	5-Br	8-Me
1-63	Me、Me	H、H	5-Br	8-MeO
1-64	Me、Me	H、H	5-Br	8-OH
1-65	Me、Me	H、H	6-Br	H
1-66	Me、Me	H、H	7-Br	H
1-67	Me、Me	H、H	8-Br	H
1-68	Me、Me	H、H	5-I	H
1-69	Me、Me	H、H	5-Me	H
1-70	Me、Me	H、H	6-Me	H
1-71	Me、Me	H、H	7-Me	H
1-72	Me、Me	H、H	8-Me	H
1-73	Me、Me	H、H	5-Et	H
1-74	Me、Me	H、H	6-Et	H
1-75	Me、Me	H、H	7-Et	H
1-76	Me、Me	H、H	8-Et	H
1-77	Me、Me	H、H	5-Pr	H
1-78	Me、Me	H、H	6-Pr	H

1-79	Me、Me	H、H	7-Pr	H
1-80	Me、Me	H、H	8-Pr	H
1-81	Me、Me	H、H	5-Vinyl	H
1-82	Me、Me	H、H	6-Vinyl	H
1-83	Me、Me	H、H	7-Vinyl	H
1-84	Me、Me	H、H	8-Vinyl	H
1-85	Me、Me	H、H	5-Etynyl	H
1-86	Me、Me	H、H	6-Etynyl	H
1-87	Me、Me	H、H	7-Etynyl	H
1-88	Me、Me	H、H	8-Etynyl	H
1-89	Me、Me	H、H	5-Ph	H
1-90	Me、Me	H、H	6-Ph	H
1-91	Me、Me	H、H	7-Ph	H
1-92	Me、Me	H、H	8-Ph	H
1-93	Me、Me	H、H	5-FUR	H
1-94	Me、Me	H、H	5-2THI	H
1-95	Me、Me	H、H	5-3THI	H
1-96	Me、Me	H、H	5-(2-Cl-2THI)	H
1-97	Me、Me	H、H	OXA	H
1-98	Me、Me	H、H	5-HEtIMD	H
1-99	Me、Me	H、H	5-MeMeIMD	H
1-100	Me、Me	H、H	5-MeEtIMD	H
1-101	Me、Me	H、H	5-EtEtIMD	H
1-102	Me、Me	H、H	5-PrEtIMD	H
1-103	Me、Me	H、H	5-tBuIEtIMD	H
1-104	Me、Me	H、H	5-AllylEtIMD	H
1-105	Me、Me	H、H	5-BnEtIMD	H
1-106	Me、Me	H、H	5-PhEtIMD	H
1-107	Me、Me	H、H	5-MeO	H
1-108	Me、Me	H、H	6-MeO	H
1-109	Me、Me	H、H	7-MeO	H
1-110	Me、Me	H、H	8-MeO	H
1-111	Me、Me	H、H	5-NH2	H
1-112	Me、Me	H、H	5-NHAc	H
1-113	Me、Me	H、H	5-CHO	H
1-114	Me、Me	H、H	5-Ac	H
1-115	Me、Me	H、H	5-CONHMe	H
1-116	Me、Me	H、H	5-CN	H
1-117	Me、Me	H、H	5,6-F2	H
1-118	Me、Me	H、H	5,6-F2	4-F
1-119	Me、Me	H、H	5,6-F2	8-F
1-120	Me、Me	H、H	5,6-F2	4-Cl
1-121	Me、Me	H、H	5,6-F2	6-Cl

1-122	Me、Me	H、H	5,6-F2	4-Me
1-123	Me、Me	H、H	5,6-F2	8-Me
1-124	Me、Me	H、H	5,6-F2	8-MeO
1-125	Me、Me	H、H	5,6-F2	8-OH
1-126	Me、Me	H、H	5,6-Cl2	H
1-127	Me、Me	H、H	5,6-Cl2	4-F
1-128	Me、Me	H、H	5,6-Cl2	8-F
1-129	Me、Me	H、H	5,6-Cl2	4-Cl
1-130	Me、Me	H、H	5,6-Cl2	6-Cl
1-131	Me、Me	H、H	5,6-Cl2	4-Me
1-132	Me、Me	H、H	5,6-Cl2	8-Me
1-133	Me、Me	H、H	5,6-Cl2	8-MeO
1-134	Me、Me	H、H	5,6-Cl2	8-OH
1-135	Me、Me	H、H	5-F,7-Me	H
1-136	Me、Me	H、H	6-F,7-Me	H
1-137	Me、Et	H、H	H	H
1-138	Me、Et	H、H	H	4-F
1-139	Me、Et	H、H	H	8-F
1-140	Me、Et	H、H	H	4-Cl
1-141	Me、Et	H、H	H	6-Cl
1-142	Me、Et	H、H	H	8-Cl
1-143	Me、Et	H、H	H	4-Me
1-144	Me、Et	H、H	H	8-Me
1-145	Me、Et	H、H	H	8-MeO
1-146	Me、Et	H、H	H	8-OH
1-147	Me、Et	H、H	5-F	H
1-148	Me、Et	H、H	6-F	H
1-149	Me、Et	H、H	7-F	H
1-150	Me、Et	H、H	5-Cl	H
1-151	Me、Et	H、H	6-Cl	H
1-152	Me、Et	H、H	7-Cl	H
1-153	Me、Et	H、H	5-Br	H
1-154	Me、Et	H、H	6-Br	H
1-155	Me、Et	H、H	7-Br	H
1-156	Me、Et	H、H	5-I	H
1-157	Me、Et	H、H	5-Me	H
1-158	Me、Et	H、H	5-Vinyl	H
1-159	Me、Et	H、H	5-Etynyl	H
1-160	Me、Et	H、H	5-Ph	H
1-161	Me、Et	H、H	5-FUR	H
1-162	Me、Et	H、H	5-2THI	H
1-163	Me、Et	H、H	5-3THI	H
1-164	Me、Et	H、H	5-(2-Cl-2THI)	H

1-165	Me、Et	H、H	OXA	H
1-166	Me、Et	H、H	5-MeMeIMD	H
1-167	Me、Et	H、H	5-MeEtIMD	H
1-168	Me、Et	H、H	5-EtEtIMD	H
1-169	Me、Et	H、H	5-AllylEtIMD	H
1-170	Me、Et	H、H	5-BnEtIMD	H
1-171	Me、Et	H、H	5-PhEtIMD	H
1-172	Me、Et	H、H	5-CN	H
1-173	Me、Et	H、H	5,6-F2	H
1-174	Me、Et	H、H	5,6-Cl2	H
1-175	Me、Pr	H、H	H	H
1-176	Me、Pr	H、H	H	4-F
1-177	Me、Pr	H、H	H	8-F
1-178	Me、Pr	H、H	H	4-Cl
1-179	Me、Pr	H、H	H	6-Cl
1-180	Me、Pr	H、H	H	8-Cl
1-181	Me、Pr	H、H	H	4-Me
1-182	Me、Pr	H、H	H	8-Me
1-183	Me、Pr	H、H	H	8-MeO
1-184	Me、Pr	H、H	H	8-OH
1-185	Me、Pr	H、H	5-F	H
1-186	Me、Pr	H、H	6-F	H
1-187	Me、Pr	H、H	7-F	H
1-188	Me、Pr	H、H	5-Cl	H
1-189	Me、Pr	H、H	6-Cl	H
1-190	Me、Pr	H、H	7-Cl	H
1-191	Me、Pr	H、H	5-Br	H
1-192	Me、Pr	H、H	6-Br	H
1-193	Me、Pr	H、H	7-Br	H
1-194	Me、Pr	H、H	5-I	H
1-195	Me、Pr	H、H	5-Me	H
1-196	Me、Pr	H、H	5-Vinyl	H
1-197	Me、Pr	H、H	5-Etynyl	H
1-198	Me、Pr	H、H	5-Ph	H
1-199	Me、Pr	H、H	5-FUR	H
1-200	Me、Pr	H、H	5-2THI	H
1-201	Me、Pr	H、H	5-3THI	H
1-202	Me、Pr	H、H	5-(2-Cl-2THI)	H
1-203	Me、Pr	H、H	OXA	H
1-204	Me、Pr	H、H	5-MeMeIMD	H
1-205	Me、Pr	H、H	5-MeEtIMD	H
1-206	Me、Pr	H、H	5-EtEtIMD	H
1-207	Me、Pr	H、H	5-AllylEtIMD	H

1-208	Me、Pr	H、H	5-BnEtIMD	H
1-209	Me、Pr	H、H	5-PhEtIMD	H
1-210	Me、Pr	H、H	5-CN	H
1-211	Me、Pr	H、H	5,6-F2	H
1-212	Me、Pr	H、H	5,6-Cl2	H
1-213	Me、iPr	H、H	H	H
1-214	Me、iPr	H、H	H	4-F
1-215	Me、iPr	H、H	H	8-F
1-216	Me、iPr	H、H	H	4-Cl
1-217	Me、iPr	H、H	H	6-Cl
1-218	Me、iPr	H、H	H	8-Cl
1-219	Me、iPr	H、H	H	4-Me
1-220	Me、iPr	H、H	H	8-Me
1-221	Me、iPr	H、H	H	8-MeO
1-222	Me、iPr	H、H	H	8-OH
1-223	Me、iPr	H、H	5-F	H
1-224	Me、iPr	H、H	6-F	H
1-225	Me、iPr	H、H	7-F	H
1-226	Me、iPr	H、H	5-Cl	H
1-227	Me、iPr	H、H	6-Cl	H
1-228	Me、iPr	H、H	7-Cl	H
1-229	Me、iPr	H、H	5-Br	H
1-230	Me、iPr	H、H	6-Br	H
1-231	Me、iPr	H、H	7-Br	H
1-232	Me、iPr	H、H	5-I	H
1-233	Me、iPr	H、H	5-Me	H
1-234	Me、iPr	H、H	5-Vinyl	H
1-235	Me、iPr	H、H	5-Etynyl	H
1-236	Me、iPr	H、H	5-Ph	H
1-237	Me、iPr	H、H	5-FUR	H
1-238	Me、iPr	H、H	5-2THI	H
1-239	Me、iPr	H、H	5-3THI	H
1-240	Me、iPr	H、H	5-(2-Cl-2THI)	H
1-241	Me、iPr	H、H	OXA	H
1-242	Me、iPr	H、H	5-MeMeIMD	H
1-243	Me、iPr	H、H	5-MeEtIMD	H
1-244	Me、iPr	H、H	5-EtEtIMD	H
1-245	Me、iPr	H、H	5-AllylEtIMD	H
1-246	Me、iPr	H、H	5-BnEtIMD	H
1-247	Me、iPr	H、H	5-PhEtIMD	H
1-248	Me、iPr	H、H	5-CN	H
1-249	Me、iPr	H、H	5,6-F2	H
1-250	Me、iPr	H、H	5,6-Cl2	H

1-251	Me、iBu	H、H	H	H
1-252	Me、iBu	H、H	H	4-F
1-253	Me、iBu	H、H	H	8-F
1-254	Me、iBu	H、H	H	4-Cl
1-255	Me、iBu	H、H	H	6-Cl
1-256	Me、iBu	H、H	H	8-Cl
1-257	Me、iBu	H、H	H	4-Me
1-258	Me、iBu	H、H	H	8-Me
1-259	Me、iBu	H、H	H	8-MeO
1-260	Me、iBu	H、H	H	8-OH
1-261	Me、iBu	H、H	5-F	H
1-262	Me、iBu	H、H	6-F	H
1-263	Me、iBu	H、H	7-F	H
1-264	Me、iBu	H、H	5-Cl	H
1-265	Me、iBu	H、H	6-Cl	H
1-266	Me、iBu	H、H	7-Cl	H
1-267	Me、iBu	H、H	5-Br	H
1-268	Me、iBu	H、H	6-Br	H
1-269	Me、iBu	H、H	7-Br	H
1-270	Me、iBu	H、H	5-I	H
1-271	Me、iBu	H、H	5-Me	H
1-272	Me、iBu	H、H	5-Vinyl	H
1-273	Me、iBu	H、H	5-Etynyl	H
1-274	Me、iBu	H、H	5-Ph	H
1-275	Me、iBu	H、H	5-FUR	H
1-276	Me、iBu	H、H	5-2THI	H
1-277	Me、iBu	H、H	5-3THI	H
1-278	Me、iBu	H、H	5-(2-Cl-2THI)	H
1-279	Me、iBu	H、H	OXA	H
1-280	Me、iBu	H、H	5-MeMeIMD	H
1-281	Me、iBu	H、H	5-MeEtIMD	H
1-282	Me、iBu	H、H	5-EtEtIMD	H
1-283	Me、iBu	H、H	5-AllylEtIMD	H
1-284	Me、iBu	H、H	5-BnEtIMD	H
1-285	Me、iBu	H、H	5-PhEtIMD	H
1-286	Me、iBu	H、H	5-CN	H
1-287	Me、iBu	H、H	5,6-F2	H
1-288	Me、iBu	H、H	5,6-Cl2	H
1-289	Me、tBu	H、H	H	H
1-290	Me、tBu	H、H	5-F	H
1-291	Me、tBu	H、H	5-Cl	H
1-292	Me、tBu	H、H	5-Br	H
1-293	Me、tBu	H、H	5-I	H

1-294	Me、tBu	H、H	5-Me	H
1-295	Me、tBu	H、H	5-Vinyl	H
1-296	Me、tBu	H、H	5-Etynyl	H
1-297	Me、tBu	H、H	5-Ph	H
1-298	Me、tBu	H、H	5-FUR	H
1-299	Me、tBu	H、H	5-2THI	H
1-300	Me、tBu	H、H	5-3THI	H
1-301	Me、tBu	H、H	5-MeEtIMD	H
1-302	Me、tBu	H、H	5-EtEtIMD	H
1-303	Me、tBu	H、H	5-PhEtIMD	H
1-304	Me、tBu	H、H	5-CN	H
1-305	Me、tBu	H、H	5,6-F2	H
1-306	Me、tBu	H、H	5,6-Cl2	H
1-307	Me、iPen	H、H	H	H
1-308	Me、iPen	H、H	H	4-F
1-309	Me、iPen	H、H	H	8-F
1-310	Me、iPen	H、H	H	4-Cl
1-311	Me、iPen	H、H	H	6-Cl
1-312	Me、iPen	H、H	H	8-Cl
1-313	Me、iPen	H、H	H	4-Me
1-314	Me、iPen	H、H	H	8-Me
1-315	Me、iPen	H、H	H	8-MeO
1-316	Me、iPen	H、H	H	8-OH
1-317	Me、iPen	H、H	5-F	H
1-318	Me、iPen	H、H	6-F	H
1-319	Me、iPen	H、H	7-F	H
1-320	Me、iPen	H、H	5-Cl	H
1-321	Me、iPen	H、H	6-Cl	H
1-322	Me、iPen	H、H	7-Cl	H
1-323	Me、iPen	H、H	5-Br	H
1-324	Me、iPen	H、H	6-Br	H
1-325	Me、iPen	H、H	7-Br	H
1-326	Me、iPen	H、H	5-I	H
1-327	Me、iPen	H、H	5-Me	H
1-328	Me、iPen	H、H	5-Vinyl	H
1-329	Me、iPen	H、H	5-Etynyl	H
1-330	Me、iPen	H、H	5-Ph	H
1-331	Me、iPen	H、H	5-FUR	H
1-332	Me、iPen	H、H	5-2THI	H
1-333	Me、iPen	H、H	5-3THI	H
1-334	Me、iPen	H、H	5-(2-Cl-2THI)	H
1-335	Me、iPen	H、H	OXA	H
1-336	Me、iPen	H、H	5-MeMeIMD	H

1-337	Me、iPen	H、H	5-MeEtIMD	H
1-338	Me、iPen	H、H	5-EtEtIMD	H
1-339	Me、iPen	H、H	5-AllylEtIMD	H
1-340	Me、iPen	H、H	5-BnEtIMD	H
1-341	Me、iPen	H、H	5-PhEtIMD	H
1-342	Me、iPen	H、H	5-CN	H
1-343	Me、iPen	H、H	5,6-F2	H
1-344	Me、iPen	H、H	5,6-Cl2	H
1-345	Et、Et	H、H	H	H
1-346	Et、Et	H、H	H	4-F
1-347	Et、Et	H、H	H	8-F
1-348	Et、Et	H、H	H	4-Cl
1-349	Et、Et	H、H	H	6-Cl
1-350	Et、Et	H、H	H	8-Cl
1-351	Et、Et	H、H	H	4-Me
1-352	Et、Et	H、H	H	8-Me
1-353	Et、Et	H、H	H	8-MeO
1-354	Et、Et	H、H	H	8-OH
1-355	Et、Et	H、H	5-F	H
1-356	Et、Et	H、H	6-F	H
1-357	Et、Et	H、H	7-F	H
1-358	Et、Et	H、H	5-Cl	H
1-359	Et、Et	H、H	6-Cl	H
1-360	Et、Et	H、H	7-Cl	H
1-361	Et、Et	H、H	5-Br	H
1-362	Et、Et	H、H	6-Br	H
1-363	Et、Et	H、H	7-Br	H
1-364	Et、Et	H、H	5-I	H
1-365	Et、Et	H、H	5-Me	H
1-366	Et、Et	H、H	5-Vinyl	H
1-367	Et、Et	H、H	5-Etynyl	H
1-368	Et、Et	H、H	5-Ph	H
1-369	Et、Et	H、H	5-FUR	H
1-370	Et、Et	H、H	5-2THI	H
1-371	Et、Et	H、H	5-3THI	H
1-372	Et、Et	H、H	5-(2-Cl-2THI)	H
1-373	Et、Et	H、H	OXA	H
1-374	Et、Et	H、H	5-MeMeIMD	H
1-375	Et、Et	H、H	5-MeEtIMD	H
1-376	Et、Et	H、H	5-EtEtIMD	H
1-377	Et、Et	H、H	5-AllylEtIMD	H
1-378	Et、Et	H、H	5-BnEtIMD	H
1-379	Et、Et	H、H	5-PhEtIMD	H

1-380	Et、Et	H、H	5-CN	H
1-381	Et、Et	H、H	5,6-F2	H
1-382	Et、Et	H、H	5,6-Cl2	H
1-383	Et、iBu	H、H	H	H
1-384	Pr、Pr	H、H	H	H
1-385	Me、ClCH2	H、H	H	H
1-386	Me、Cl2CH	H、H	H	H
1-387	Me、CF3	H、H	H	H
1-388	Me、CF3	H、H	H	4-F
1-389	Me、CF3	H、H	H	8-F
1-390	Me、CF3	H、H	H	4-Cl
1-391	Me、CF3	H、H	H	6-Cl
1-392	Me、CF3	H、H	H	8-Cl
1-393	Me、CF3	H、H	H	4-Me
1-394	Me、CF3	H、H	H	8-Me
1-395	Me、CF3	H、H	H	8-MeO
1-396	Me、CF3	H、H	H	8-OH
1-397	Me、CF3	H、H	5-F	H
1-398	Me、CF3	H、H	6-F	H
1-399	Me、CF3	H、H	7-F	H
1-400	Me、CF3	H、H	5-Cl	H
1-401	Me、CF3	H、H	6-Cl	H
1-402	Me、CF3	H、H	7-Cl	H
1-403	Me、CF3	H、H	5-Br	H
1-404	Me、CF3	H、H	6-Br	H
1-405	Me、CF3	H、H	7-Br	H
1-406	Me、CF3	H、H	5-I	H
1-407	Me、CF3	H、H	5-Me	H
1-408	Me、CF3	H、H	5-Vinyl	H
1-409	Me、CF3	H、H	5-Etynyl	H
1-410	Me、CF3	H、H	5-Ph	H
1-411	Me、CF3	H、H	5-FUR	H
1-412	Me、CF3	H、H	5-2THI	H
1-413	Me、CF3	H、H	5-3THI	H
1-414	Me、CF3	H、H	5-(2-Cl-2THI)	H
1-415	Me、CF3	H、H	OXA	H
1-416	Me、CF3	H、H	5-MeMeIMD	H
1-417	Me、CF3	H、H	5-MeEtIMD	H
1-418	Me、CF3	H、H	5-EtEtIMD	H
1-419	Me、CF3	H、H	5-AllylEtIMD	H
1-420	Me、CF3	H、H	5-BnEtIMD	H
1-421	Me、CF3	H、H	5-PhEtIMD	H
1-422	Me、CF3	H、H	5-CN	H

1-423	Me、CF3	H、H	5,6-F2	H
1-424	Me、CF3CH2	H、H	5,6-Cl2	H
1-425	Me、CF3CH2	H、H	H	H
1-426	Me、CF3CH2	H、H	H	4-F
1-427	Me、CF3CH2	H、H	H	8-F
1-428	Me、CF3CH2	H、H	H	4-Cl
1-429	Me、CF3CH2	H、H	H	6-Cl
1-430	Me、CF3CH2	H、H	H	8-Cl
1-431	Me、CF3CH2	H、H	H	4-Me
1-432	Me、CF3CH2	H、H	H	8-Me
1-433	Me、CF3CH2	H、H	H	8-MeO
1-434	Me、CF3CH2	H、H	H	8-OH
1-435	Me、CF3CH2	H、H	5-F	H
1-436	Me、CF3CH2	H、H	6-F	H
1-437	Me、CF3CH2	H、H	7-F	H
1-438	Me、CF3CH2	H、H	5-Cl	H
1-439	Me、CF3CH2	H、H	6-Cl	H
1-440	Me、CF3CH2	H、H	7-Cl	H
1-441	Me、CF3CH2	H、H	5-Br	H
1-442	Me、CF3CH2	H、H	6-Br	H
1-443	Me、CF3CH2	H、H	7-Br	H
1-444	Me、CF3CH2	H、H	5-I	H
1-445	Me、CF3CH2	H、H	5-Me	H
1-446	Me、CF3CH2	H、H	5-Vinyl	H
1-447	Me、CF3CH2	H、H	5-Etynyl	H
1-448	Me、CF3CH2	H、H	5-Ph	H
1-449	Me、CF3CH2	H、H	5-FUR	H
1-450	Me、CF3CH2	H、H	5-2THI	H
1-451	Me、CF3CH2	H、H	5-3THI	H
1-452	Me、CF3CH2	H、H	5-(2-Cl-2THI)	H
1-453	Me、CF3CH2	H、H	OXA	H
1-454	Me、CF3CH2	H、H	5-MeMeIMD	H
1-455	Me、CF3CH2	H、H	5-MeEtIMD	H
1-456	Me、CF3CH2	H、H	5-EtEtIMD	H
1-457	Me、CF3CH2	H、H	5-AllylEtIMD	H
1-458	Me、CF3CH2	H、H	5-BnEtIMD	H
1-459	Me、CF3CH2	H、H	5-PhEtIMD	H
1-460	Me、CF3CH2	H、H	5-CN	H
1-461	Me、CF3CH2	H、H	5,6-F2	H
1-462	Me、CF3CH2	H、H	5,6-Cl2	H
1-463	ClCH2、ClCH2	H、H	H	H
1-464	Me、Ph	H、H	H	H
1-465	Me、Ph	H、H	H	4-F

1-466	Me、Ph	H、H	H	8-F
1-467	Me、Ph	H、H	H	4-Cl
1-468	Me、Ph	H、H	H	6-Cl
1-469	Me、Ph	H、H	H	8-Cl
1-470	Me、Ph	H、H	H	4-Me
1-471	Me、Ph	H、H	H	8-Me
1-472	Me、Ph	H、H	H	8-MeO
1-473	Me、Ph	H、H	H	8-OH
1-474	Me、Ph	H、H	5-F	H
1-475	Me、Ph	H、H	6-F	H
1-476	Me、Ph	H、H	7-F	H
1-477	Me、Ph	H、H	5-Cl	H
1-478	Me、Ph	H、H	6-Cl	H
1-479	Me、Ph	H、H	7-Cl	H
1-480	Me、Ph	H、H	5-Br	H
1-481	Me、Ph	H、H	6-Br	H
1-482	Me、Ph	H、H	7-Br	H
1-483	Me、Ph	H、H	5-I	H
1-484	Me、Ph	H、H	5-Me	H
1-485	Me、Ph	H、H	5-Vinyl	H
1-486	Me、Ph	H、H	5-Etynyl	H
1-487	Me、Ph	H、H	5-Ph	H
1-488	Me、Ph	H、H	5-FUR	H
1-489	Me、Ph	H、H	5-2THI	H
1-490	Me、Ph	H、H	5-3THI	H
1-491	Me、Ph	H、H	5-(2-Cl-2THI)	H
1-492	Me、Ph	H、H	OXA	H
1-493	Me、Ph	H、H	5-MeMeIMD	H
1-494	Me、Ph	H、H	5-MeEtIMD	H
1-495	Me、Ph	H、H	5-EtEtIMD	H
1-496	Me、Ph	H、H	5-AllylEtIMD	H
1-497	Me、Ph	H、H	5-BnEtIMD	H
1-498	Me、Ph	H、H	5-PhEtIMD	H
1-499	Me、Ph	H、H	5-CN	H
1-500	Me、Ph	H、H	5,6-F2	H
1-501	Me、Ph	H、H	5,6-Cl2	H
1-502	Me、FPh	H、H	H	H
1-503	Me、FPh	H、H	H	4-F
1-504	Me、FPh	H、H	H	8-F
1-505	Me、FPh	H、H	H	4-Cl
1-506	Me、FPh	H、H	H	6-Cl
1-507	Me、FPh	H、H	H	8-Cl
1-508	Me、FPh	H、H	H	4-Me

1-509	Me、FPh	H、H	H	8-Me
1-510	Me、FPh	H、H	H	8-MeO
1-511	Me、FPh	H、H	H	8-OH
1-512	Me、FPh	H、H	5-F	H
1-513	Me、FPh	H、H	6-F	H
1-514	Me、FPh	H、H	7-F	H
1-515	Me、FPh	H、H	5-Cl	H
1-516	Me、FPh	H、H	6-Cl	H
1-517	Me、FPh	H、H	7-Cl	H
1-518	Me、FPh	H、H	5-Br	H
1-519	Me、FPh	H、H	6-Br	H
1-520	Me、FPh	H、H	7-Br	H
1-521	Me、FPh	H、H	5-I	H
1-522	Me、FPh	H、H	5-Me	H
1-523	Me、FPh	H、H	5-Vinyl	H
1-524	Me、FPh	H、H	5-Etynyl	H
1-525	Me、FPh	H、H	5-Ph	H
1-526	Me、FPh	H、H	5-FUR	H
1-527	Me、FPh	H、H	5-2THI	H
1-528	Me、FPh	H、H	5-3THI	H
1-529	Me、FPh	H、H	5-(2-Cl-2THI)	H
1-530	Me、FPh	H、H	OXA	H
1-531	Me、FPh	H、H	5-MeMeIMD	H
1-532	Me、FPh	H、H	5-MeEtIMD	H
1-533	Me、FPh	H、H	5-EtEtIMD	H
1-534	Me、FPh	H、H	5-AllylEtIMD	H
1-535	Me、FPh	H、H	5-BnEtIMD	H
1-536	Me、FPh	H、H	5-PhEtIMD	H
1-537	Me、FPh	H、H	5-CN	H
1-538	Me、FPh	H、H	5,6-F2	H
1-539	Me、FPh	H、H	5,6-Cl2	H
1-540	Me、ClPh	H、H	H	H
1-541	Me、ClPh	H、H	H	4-F
1-542	Me、ClPh	H、H	H	8-F
1-543	Me、ClPh	H、H	H	4-Cl
1-544	Me、ClPh	H、H	H	6-Cl
1-545	Me、ClPh	H、H	H	8-Cl
1-546	Me、ClPh	H、H	H	4-Me
1-547	Me、ClPh	H、H	H	8-Me
1-548	Me、ClPh	H、H	H	8-MeO
1-549	Me、ClPh	H、H	H	8-OH
1-550	Me、ClPh	H、H	5-F	H
1-551	Me、ClPh	H、H	6-F	H

1-552	Me、ClPh	H、H	7-F	H
1-553	Me、ClPh	H、H	5-Cl	H
1-554	Me、ClPh	H、H	6-Cl	H
1-555	Me、ClPh	H、H	7-Cl	H
1-556	Me、ClPh	H、H	5-Br	H
1-557	Me、ClPh	H、H	6-Br	H
1-558	Me、ClPh	H、H	7-Br	H
1-559	Me、ClPh	H、H	5-I	H
1-560	Me、ClPh	H、H	5-Me	H
1-561	Me、ClPh	H、H	5-Vinyl	H
1-562	Me、ClPh	H、H	5-Etynyl	H
1-563	Me、ClPh	H、H	5-Ph	H
1-564	Me、ClPh	H、H	5-FUR	H
1-565	Me、ClPh	H、H	5-2THI	H
1-566	Me、ClPh	H、H	5-3THI	H
1-567	Me、ClPh	H、H	5-(2-Cl-2THI)	H
1-568	Me、ClPh	H、H	OXA	H
1-569	Me、ClPh	H、H	5-MeMeIMD	H
1-570	Me、ClPh	H、H	5-MeEtIMD	H
1-571	Me、ClPh	H、H	5-EtEtIMD	H
1-572	Me、ClPh	H、H	5-AllylEtIMD	H
1-573	Me、ClPh	H、H	5-BnEtIMD	H
1-574	Me、ClPh	H、H	5-PhEtIMD	H
1-575	Me、ClPh	H、H	5-CN	H
1-576	Me、ClPh	H、H	5,6-F2	H
1-577	Me、ClPh	H、H	5,6-Cl2	H
1-578	Ph、CF3	H、H	H	H
1-579	Ph、CF3	H、H	5-F	H
1-580	Ph、CF3	H、H	5-Cl	H
1-581	Ph、CF3	H、H	5-Br	H
1-582	Ph、CF3	H、H	5-I	H
1-583	Ph、CF3	H、H	5-Me	H
1-584	Ph、CF3	H、H	5-Vinyl	H
1-585	Ph、CF3	H、H	5-Etynyl	H
1-586	Ph、CF3	H、H	5-Ph	H
1-587	Ph、CF3	H、H	5-FUR	H
1-588	Ph、CF3	H、H	5-2THI	H
1-589	Ph、CF3	H、H	5-3THI	H
1-590	Ph、CF3	H、H	5-MeEtIMD	H
1-591	Ph、CF3	H、H	5-EtEtIMD	H
1-592	Ph、CF3	H、H	5-PhEtIMD	H
1-593	Ph、CF3	H、H	5-CN	H
1-594	ClCH2、FPh	H、H	H	H

1-595	ClCH2、FPh	H、H	H	4-F
1-596	ClCH2、FPh	H、H	H	8-F
1-597	ClCH2、FPh	H、H	H	4-Cl
1-598	ClCH2、FPh	H、H	H	6-Cl
1-599	ClCH2、FPh	H、H	H	8-Cl
1-600	ClCH2、FPh	H、H	H	4-Me
1-601	ClCH2、FPh	H、H	H	8-Me
1-602	ClCH2、FPh	H、H	H	8-MeO
1-603	ClCH2、FPh	H、H	H	8-OH
1-604	ClCH2、FPh	H、H	5-F	H
1-605	ClCH2、FPh	H、H	6-F	H
1-606	ClCH2、FPh	H、H	7-F	H
1-607	ClCH2、FPh	H、H	5-Cl	H
1-608	ClCH2、FPh	H、H	6-Cl	H
1-609	ClCH2、FPh	H、H	7-Cl	H
1-610	ClCH2、FPh	H、H	5-Br	H
1-611	ClCH2、FPh	H、H	6-Br	H
1-612	ClCH2、FPh	H、H	7-Br	H
1-613	ClCH2、FPh	H、H	5-I	H
1-614	ClCH2、FPh	H、H	5-Me	H
1-615	ClCH2、FPh	H、H	5-Vinyl	H
1-616	ClCH2、FPh	H、H	5-Etynyl	H
1-617	ClCH2、FPh	H、H	5-Ph	H
1-618	ClCH2、FPh	H、H	5-FUR	H
1-619	ClCH2、FPh	H、H	5-2THI	H
1-620	ClCH2、FPh	H、H	5-3THI	H
1-621	ClCH2、FPh	H、H	5-(2-Cl-2THI)	H
1-622	ClCH2、FPh	H、H	OXA	H
1-623	ClCH2、FPh	H、H	5-MeMeIMD	H
1-624	ClCH2、FPh	H、H	5-MeEtIMD	H
1-625	ClCH2、FPh	H、H	5-EtEtIMD	H
1-626	ClCH2、FPh	H、H	5-AllylEtIMD	H
1-627	ClCH2、FPh	H、H	5-BnEtIMD	H
1-628	ClCH2、FPh	H、H	5-PhEtIMD	H
1-629	ClCH2、FPh	H、H	5-CN	H
1-630	ClCH2、FPh	H、H	5,6-F2	H
1-631	ClCH2、FPh	H、H	5,6-Cl2	H
1-632	ClCH2、ClPh	H、H	H	H
1-633	ClCH2、ClPh	H、H	H	4-F
1-634	ClCH2、ClPh	H、H	H	8-F
1-635	ClCH2、ClPh	H、H	H	4-Cl
1-636	ClCH2、ClPh	H、H	H	6-Cl
1-637	ClCH2、ClPh	H、H	H	8-Cl

1-638	ClCH2、ClPh	H、H	H	4-Me
1-639	ClCH2、ClPh	H、H	H	8-Me
1-640	ClCH2、ClPh	H、H	H	8-MeO
1-641	ClCH2、ClPh	H、H	H	8-OH
1-642	ClCH2、ClPh	H、H	5-F	H
1-643	ClCH2、ClPh	H、H	6-F	H
1-644	ClCH2、ClPh	H、H	7-F	H
1-645	ClCH2、ClPh	H、H	5-Cl	H
1-646	ClCH2、ClPh	H、H	6-Cl	H
1-647	ClCH2、ClPh	H、H	7-Cl	H
1-648	ClCH2、ClPh	H、H	5-Br	H
1-649	ClCH2、ClPh	H、H	6-Br	H
1-650	ClCH2、ClPh	H、H	7-Br	H
1-651	ClCH2、ClPh	H、H	5-I	H
1-652	ClCH2、ClPh	H、H	5-Me	H
1-653	ClCH2、ClPh	H、H	5-Vinyl	H
1-654	ClCH2、ClPh	H、H	5-Etynyl	H
1-655	ClCH2、ClPh	H、H	5-Ph	H
1-656	ClCH2、ClPh	H、H	5-FUR	H
1-657	ClCH2、ClPh	H、H	5-2THI	H
1-658	ClCH2、ClPh	H、H	5-3THI	H
1-659	ClCH2、ClPh	H、H	5-(2-Cl-2THI)	H
1-660	ClCH2、ClPh	H、H	OXA	H
1-661	ClCH2、ClPh	H、H	5-MeMeIMD	H
1-662	ClCH2、ClPh	H、H	5-MeEtIMD	H
1-663	ClCH2、ClPh	H、H	5-EtEtIMD	H
1-664	ClCH2、ClPh	H、H	5-AllylEtIMD	H
1-665	ClCH2、ClPh	H、H	5-BnEtIMD	H
1-666	ClCH2、ClPh	H、H	5-PhEtIMD	H
1-667	ClCH2、ClPh	H、H	5-CN	H
1-668	ClCH2、ClPh	H、H	5,6-F2	H
1-669	ClCH2、ClPh	H、H	5,6-Cl2	H
1-670	Me、3PYD	H、H	H	H
1-671	Me、4PYD	H、H	H	H
1-672	Me、Bn	H、H	H	H
1-673	Me、Bn	H、H	H	4-F
1-674	Me、Bn	H、H	H	8-F
1-675	Me、Bn	H、H	H	4-Cl
1-676	Me、Bn	H、H	H	6-Cl
1-677	Me、Bn	H、H	H	8-Cl
1-678	Me、Bn	H、H	H	4-Me
1-679	Me、Bn	H、H	H	8-Me
1-680	Me、Bn	H、H	H	8-MeO

1-681	Me、Bn	H、H	H	8-OH
1-682	Me、Bn	H、H	5-F	H
1-683	Me、Bn	H、H	6-F	H
1-684	Me、Bn	H、H	7-F	H
1-685	Me、Bn	H、H	5-Cl	H
1-686	Me、Bn	H、H	6-Cl	H
1-687	Me、Bn	H、H	7-Cl	H
1-688	Me、Bn	H、H	5-Br	H
1-689	Me、Bn	H、H	6-Br	H
1-690	Me、Bn	H、H	7-Br	H
1-691	Me、Bn	H、H	5-I	H
1-692	Me、Bn	H、H	5-Me	H
1-693	Me、Bn	H、H	5-Vinyl	H
1-694	Me、Bn	H、H	5-Etynyl	H
1-695	Me、Bn	H、H	5-Ph	H
1-696	Me、Bn	H、H	5-FUR	H
1-697	Me、Bn	H、H	5-2THI	H
1-698	Me、Bn	H、H	5-3THI	H
1-699	Me、Bn	H、H	5-(2-Cl-2THI)	H
1-700	Me、Bn	H、H	OXA	H
1-701	Me、Bn	H、H	5-MeMeIMD	H
1-702	Me、Bn	H、H	5-MeEtIMD	H
1-703	Me、Bn	H、H	5-EtEtIMD	H
1-704	Me、Bn	H、H	5-AllylEtIMD	H
1-705	Me、Bn	H、H	5-BnEtIMD	H
1-706	Me、Bn	H、H	5-PhEtIMD	H
1-707	Me、Bn	H、H	5-CN	H
1-708	Me、Bn	H、H	5,6-F2	H
1-709	Me、Bn	H、H	5,6-Cl2	H
1-710	cPen	H、H	H	H
1-711	cPen	H、H	H	4-F
1-712	cPen	H、H	H	8-F
1-713	cPen	H、H	H	4-Cl
1-714	cPen	H、H	H	6-Cl
1-715	cPen	H、H	H	8-Cl
1-716	cPen	H、H	H	4-Me
1-717	cPen	H、H	H	8-Me
1-718	cPen	H、H	H	8-MeO
1-719	cPen	H、H	H	8-OH
1-720	cPen	H、H	5-F	H
1-721	cPen	H、H	6-F	H
1-722	cPen	H、H	7-F	H
1-723	cPen	H、H	6-F	4-Me

1-724	cPen	H、H	5-Cl	H
1-725	cPen	H、H	6-Cl	H
1-726	cPen	H、H	7-Cl	H
1-727	cPen	H、H	5-Br	H
1-728	cPen	H、H	6-Br	H
1-729	cPen	H、H	7-Br	H
1-730	cPen	H、H	5-I	H
1-731	cPen	H、H	5-Me	H
1-732	cPen	H、H	5-Vinyl	H
1-733	cPen	H、H	5-Etynyl	H
1-734	cPen	H、H	5-Ph	H
1-735	cPen	H、H	5-FUR	H
1-736	cPen	H、H	5-2THI	H
1-737	cPen	H、H	5-3THI	H
1-738	cPen	H、H	5-(2-Cl-2THI)	H
1-739	cPen	H、H	OXA	H
1-740	cPen	H、H	5-MeMeIMD	H
1-741	cPen	H、H	5-MeEtIMD	H
1-742	cPen	H、H	5-EtEtIMD	H
1-743	cPen	H、H	5-AllylEtIMD	H
1-744	cPen	H、H	5-BnEtIMD	H
1-745	cPen	H、H	5-PhEtIMD	H
1-746	cPen	H、H	5-CN	H
1-747	cPen	H、H	5,6-F2	H
1-748	cPen	H、H	5,6-Cl2	H
1-749	cHex	H、H	H	H
1-750	cHex	H、H	H	4-F
1-751	cHex	H、H	H	8-F
1-752	cHex	H、H	H	4-Cl
1-753	cHex	H、H	H	6-Cl
1-754	cHex	H、H	H	8-Cl
1-755	cHex	H、H	H	4-Me
1-756	cHex	H、H	H	8-Me
1-757	cHex	H、H	H	8-MeO
1-758	cHex	H、H	H	8-OH
1-759	cHex	H、H	5-F	H
1-760	cHex	H、H	6-F	H
1-761	cHex	H、H	7-F	H
1-762	cHex	H、H	5-F	4-Me
1-763	cHex	H、H	5-Cl	H
1-764	cHex	H、H	6-Cl	H
1-765	cHex	H、H	7-Cl	H
1-766	cHex	H、H	5-Cl	4-Me

1-767	cHex	H、H	5-Br	H	
1-768	cHex	H、H	6-Br	H	
1-769	cHex	H、H	7-Br	H	
1-770	cHex	H、H	5-I	H	
1-771	cHex	H、H	5-Me	H	
1-772	cHex	H、H	6-Me	H	
1-773	cHex	H、H	7-Me	H	
1-774	cHex	H、H	6-Me	4-Me	
1-775	cHex	H、H	5-FUR	H	
1-776	cHex	H、H	5-2THI	H	
1-777	cHex	H、H	5-3THI	H	
1-778	cHex	H、H	5-(2-Cl-2THI)	H	
1-779	cHex	H、H	OXA	H	
1-780	cHex	H、H	5-MeMeIMD	H	
1-781	cHex	H、H	5-MeEtIMD	H	
1-782	cHex	H、H	5-EtEtIMD	H	
1-783	cHex	H、H	5-AllylEtIMD	H	
1-784	cHex	H、H	5-BnEtIMD	H	
1-785	cHex	H、H	5-PhEtIMD	H	
1-786	cHex	H、H	6-CN	H	
1-787	cHex	H、H	5,6-F2	H	
1-788	cHex	H、H	5,6-Cl2	H	
1-789	cHep	H、H	H	H	
1-790	MecPen	H、H	H	H	
1-791	Pyran	H、H	H	H	
1-792	Me、Me	H、H	H	H	HCl Salt
1-793	Me、Me	H、H	5-F	H	HCl Salt
1-794	Me、Me	H、H	5-Cl	H	HCl Salt
1-795	Me、Me	H、H	H	H	H_2SO_4 Salt
1-796	Me、Me	H、H	5-F	H	H_2SO_4 Salt
1-797	Me、Me	H、H	5-Cl	H	H_2SO_4 Salt
1-798	Me、Me	H、H	H	H	HNO_3 Salt
1-799	Me、Me	H、H	5-F	H	HNO_3 Salt
1-800	Me、Me	H、H	5-Cl	H	HNO_3 Salt
1-801	Me、Me	H、H	H	H	$(COOH)_2$ Salt
1-802	Me、Me	H、H	5-F	H	$(COOH)_2$ Salt
1-803	Me、Me	H、H	H	H	MsOH Salt
1-804	Me、Me	H、H	5-F	H	MsOH Salt
1-805	Me、Me	H、H	H	H	Salicylate
1-806	Me、Me	H、H	5-F	H	Salicylate
1-807	Me、Me	H、H	5-F	H	fumarate
1-808	Me、Et	H、H	H	H	HCl Salt

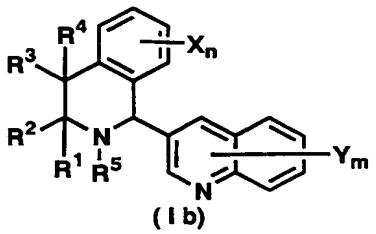
1-809	Me、Et	H、H	5-F	H	HCl Salt
1-810	Me、Et	H、H	5-Cl	H	HCl Salt
1-811	Me、Et	H、H	H	H	H_2SO_4 Salt
1-812	Me、Et	H、H	5-F	H	H_2SO_4 Salt
1-813	Me、Et	H、H	5-Cl	H	H_2SO_4 Salt
1-814	Me、Et	H、H	H	H	HNO_3 Salt
1-815	Me、Et	H、H	5-F	H	HNO_3 Salt
1-816	Me、Et	H、H	5-Cl	H	HNO_3 Salt
1-817	Me、Et	H、H	H	H	$(COOH)_2$ Salt
1-818	Me、Et	H、H	5-F	H	$(COOH)_2$ Salt
1-819	Me、Et	H、H	H	H	MsOH Salt
1-820	Me、Et	H、H	5-F	H	MsOH Salt
1-821	Me、Et	H、H	H	H	Salicylate
1-822	Me、Et	H、H	5-F	H	Salicylate
1-823	Me、Et	H、H	5-F	H	fumarate
1-824	Me、Pr	H、H	H	H	HCl Salt
1-825	Me、Pr	H、H	5-F	H	HCl Salt
1-826	Me、Pr	H、H	5-Cl	H	HCl Salt
1-827	Me、Pr	H、H	H	H	H_2SO_4 Salt
1-828	Me、Pr	H、H	5-F	H	H_2SO_4 Salt
1-829	Me、Pr	H、H	5-Cl	H	H_2SO_4 Salt
1-830	Me、Pr	H、H	H	H	HNO_3 Salt
1-831	Me、Pr	H、H	5-F	H	HNO_3 Salt
1-832	Me、Pr	H、H	5-Cl	H	HNO_3 Salt
1-833	Me、Pr	H、H	H	H	$(COOH)_2$ Salt
1-834	Me、Pr	H、H	5-F	H	$(COOH)_2$ Salt
1-835	Me、Pr	H、H	H	H	MsOH Salt
1-836	Me、Pr	H、H	5-F	H	MsOH Salt
1-837	Me、Pr	H、H	H	H	Salicylate
1-838	Me、Pr	H、H	5-F	H	Salicylate
1-839	Me、Pr	H、H	5-F	H	fumarate
1-840	Me、Ph	H、H	H	H	HCl Salt
1-841	Me、Ph	H、H	5-F	H	HCl Salt
1-842	Me、Ph	H、H	5-Cl	H	HCl Salt
1-843	Me、Ph	H、H	H	H	H_2SO_4 Salt
1-844	Me、Ph	H、H	5-F	H	H_2SO_4 Salt
1-845	Me、Ph	H、H	5-Cl	H	H_2SO_4 Salt
1-846	Me、Ph	H、H	H	H	HNO_3 Salt
1-847	Me、Ph	H、H	5-F	H	HNO_3 Salt

1-848	Me、Ph	H、H	5-Cl	H	HNO_3 Salt
1-849	Me、Ph	H、H	H	H	$(COOH)_2$ Salt
1-850	Me、Ph	H、H	5-F	H	$(COOH)_2$ Salt
1-851	Me、Ph	H、H	H	H	MsOH Salt
1-852	Me、Ph	H、H	5-F	H	MsOH Salt
1-853	Me、Ph	H、H	H	H	Salicylate
1-854	Me、Ph	H、H	5-F	H	Salicylate
1-855	Me、Ph	H、H	5-F	H	fumarate
1-856	Me、Me	H、Me	H	H	
1-857	Me、Me	H、Me	5-F	H	
1-858	Me、Me	H、Me	5-Cl	H	
1-859	Me、Me	H、Et	H	H	
1-860	Me、Me	H、Et	5-F	H	
1-861	Me、Me	H、Et	5-Cl	H	
1-862	Me、Me	H、Pr	H	H	
1-863	Me、Me	H、Pr	5-F	H	
1-864	Me、Me	H、Pr	5-Cl	H	
1-865	Me、Me	Me、Me	H	H	
1-866	Me、Me	Me、Me	5-F	H	
1-867	Me、Me	Me、Me	5-Cl	H	
1-868	Me、Et	H、Me	H	H	
1-869	Me、Et	H、Me	5-F	H	
1-870	Me、Et	H、Me	5-Cl	H	
1-871	Me、Pr	H、Me	H	H	
1-872	Me、Pr	H、Me	5-F	H	
1-873	Me、Pr	H、Me	5-Cl	H	
1-874	Me、Ph	H、Me	H	H	
1-875	Me、Ph	H、Me	5-F	H	
1-876	Me、Ph	H、Me	5-Cl	H	
1-877	Me、Ph	Me、Me	H	H	
1-878	Me、Ph	Me、Me	5-F	H	
1-879	Me、Ph	Me、Me	5-Cl	H	

1-880	Me, Me	H, H	5-iPr	H	
1-881	Me, Me	H, H	5-CH(Me)CH_2CH_3	H	
1-882	Me, Me	H, H	5-C(Me)=CH_2	H	
1-883	Me, Me	H, H	5-CH=$CHCO_2$Me	H	
1-884	Me, Me	H, H	5-CH_2F	H	
1-885	Me, Me	H, H	5-CH_2Cl	H	
1-886	Me, Me	H, H	5-CHF_2	H	
1-887	Me, Me	H, H	5-CH_2OH	H	
1-888	Me, Me	H, H	5-$C(Me)_2OH$	H	
1-889	Me, Me	H, H	5-CH_2OMe	H	
1-890	Me, Me	H, H	5-CH_2CO_2Me	H	
1-891	Me, Me	H, H	5-NHCOPh	H	
1-892	Me, Me	H, H	5-NHCO(2-FPh)	H	
1-893	Me, Me	H, H	5-NHCO(3-FPh)	H	
1-894	Me, Me	H, H	5-NHCO(4-FPh)	H	
1-895	Me, Me	H, H	5-CO_2H	H	
1-896	Me, Me	H, H	5-CO_2Me	H	
1-897	Me, Me	H, H	5-CO_2Et	H	
1-898	Me, Me	H, H	5-$CONH_2$	H	
1-899	Me, Me	H, H	5-F	2-Me	
1-900	Me, Me	H, H	5-F	4-Me	
1-901	Me, Me	H, Me	5-F	2-Me	
1-902	Me, Me	H, Me	5-F	8-Me	
1-903	Me, Me	H, Me	5-F	8-MeO	
1-904	Me, Me	Me, Me	6-F	H	
1-905	Me, Me	Me, Me	7-F	H	
1-906	Me, Me	Me, Me	5-F	2-Me	
1-907	Me, Me	Me, Me	5-F	4-Me	
1-908	Me, Me	Me, Me	6-Cl	H	
1-909	Me, Me	Me, Me	7-Cl	H	
1-910	Me, Me	Me, Me	5-F	H	HCl Salt
1-911	Me, Me	Me, Me	5-F	H	H_2SO_4 Salt
1-912	Me, Me	Me, Me	5-F	H	HNO_3 Salt
1-913	Me, Me	Me, Me	5-F	H	MsOH Salt
1-914	Me, Me	Me, Me	5-Me	H	
1-915	Me, Me	Me, Me	6-Me	H	
1-916	Me, Me	Me, Me	7-Me	H	
1-917	Me, Me	Me, Me	5-F	6-F	
1-918	Me, Me	Me, Me	5-F	8-F	
1-919	Me, Me	Me, Me	5-F	8-Me	
1-920	Me, Me	Me, Me	5-F	8-MeO	
1-921	Me, Me	cPen	H	H	
1-922	cPen	Me, Me	H	H	
1-923	Me, Me	cHex	H	H	

1-924	cHex	Me, Me	H	H
1-925	cBu	H, H	5-F	H
1-926	Me, Me	CH_2=	5-F	H
1-927	Me, Me	H, F	5-F	H
1-928	Me, Me	H, Cl	5-F	H
1-929	Me, Me	F, F	H	H
1-930	Me, Me	F, F	5-F	H
1-931	Me, Me	H, OH	5-F	H
1-932	Me, Me	H, OMe	5-F	H
1-933	Me, Me	O=	H	H
1-934	Me, Me	O=	5-F	H
1-935	Me, Me	Me, OH	5-F	H
1-936	Me, Me	Et, OH	5-F	H
1-937	Me, Me	Me, OMe	5-F	H
1-938	Me, Me	Me, OEt	5-F	H
1-939	Me, Me	Et, OMe	5-F	H
1-940	Me, Me	F, F	6-F	H
1-941	Me, Me	F, F	7-F	H
1-942	Me, Me	F, F	5-Cl	H
1-943	Me, Me	F, F	6-Cl	H
1-944	Me, Me	F, F	7-Cl	H
1-945	Me, Me	F, F	5-Br	H
1-946	Me, Me	F, F	6-Br	H
1-947	Me, Me	F, F	7-Br	H
1-948	Me, Me	F, F	5-Me	H
1-949	Me, Me	F, F	6-Me	H
1-950	Me, Me	F, F	6-MeO	H
1-951	Me, Me	F, F	5,7-Cl_2	H
1-952	Me, Me	F, F	6-F,7-Me	H
1-953	Me, Me	O=	6-F	H
1-954	Me, Me	O=	7-F	H
1-955	Me, Me	O=	5-Cl	H
1-956	Me, Me	O=	6-Cl	H
1-957	Me, Me	O=	7-Cl	H
1-958	Me, Me	O=	5-Br	H
1-959	Me, Me	O=	6-Br	H
1-960	Me, Me	O=	7-Br	H

TABLE 2



(I b)

Compound No.	R1、R2	R3、R4	R5	Xn	Ym
2-1	Me、Me	H、H	H	H	H
2-2	Me、Me	H、H	H	H	2-F
2-3	Me、Me	H、H	H	H	4-F
2-4	Me、Me	H、H	H	H	5-F
2-5	Me、Me	H、H	H	H	6-F
2-6	Me、Me	H、H	H	H	7-F
2-7	Me、Me	H、H	H	H	8-F
2-8	Me、Me	H、H	H	H	2-Cl
2-9	Me、Me	H、H	H	H	4-Cl
2-10	Me、Me	H、H	H	H	5-Cl
2-11	Me、Me	H、H	H	H	6-Cl
2-12	Me、Me	H、H	H	H	7-Cl
2-13	Me、Me	H、H	H	H	8-Cl
2-14	Me、Me	H、H	H	H	2-Me
2-15	Me、Me	H、H	H	H	4-Me
2-16	Me、Me	H、H	H	H	5-Me
2-17	Me、Me	H、H	H	H	6-Me
2-18	Me、Me	H、H	H	H	7-Me
2-19	Me、Me	H、H	H	H	8-Me
2-20	Me、Me	H、H	H	H	2-MeO
2-21	Me、Me	H、H	H	H	4-MeO
2-22	Me、Me	H、H	H	H	5-MeO
2-23	Me、Me	H、H	H	H	6-MeO
2-24	Me、Me	H、H	H	H	7-MeO
2-25	Me、Me	H、H	H	H	8-MeO
2-26	Me、Me	H、H	H	H	2-OH
2-27	Me、Me	H、H	H	H	4-OH
2-28	Me、Me	H、H	H	H	5-OH
2-29	Me、Me	H、H	H	H	6-OH
2-30	Me、Me	H、H	H	H	7-OH
2-31	Me、Me	H、H	H	H	8-OH

2-32	Me、Me	H、H	H	H	H
2-33	Me、Me	H、H	Me	H	H
2-34	Me、Me	H、H	Et	H	H
2-35	Me、Me	H、H	Pr	H	H
2-36	Me、Me	H、H	H	5-F	H
2-37	Me、Me	H、H	Me	5-F	H
2-38	Me、Me	H、H	Et	5-F	H
2-39	Me、Me	H、H	Pr	5-F	H
2-40	Me、Me	H、H	H	5-Cl	H
2-41	Me、Me	H、H	Me	5-Cl	H
2-42	Me、Me	H、H	Et	5-Cl	H
2-43	Me、Me	H、H	Pr	5-Cl	H
2-44	Me、Me	H、H	H	5-Br	H
2-45	Me、Me	H、H	Me	5-Br	H
2-46	Me、Me	H、H	Et	5-Br	H
2-47	Me、Me	H、H	Pr	5-Br	H
2-48	Me、Me	H、H	H	5-I	H
2-49	Me、Me	H、H	Me	5-I	H
2-50	Me、Me	H、H	Et	5-I	H
2-51	Me、Me	H、H	Pr	5-I	H
2-52	Me、Me	H、H	H	5-MeEtIMD	H
2-53	Me、Me	H、H	Me	5-MeEtIMD	H
2-54	Me、Me	H、H	Et	5-MeEtIMD	H
2-55	Me、Me	H、H	Pr	5-MeEtIMD	H
2-56	Me、Me	H、H	H	5-EtEtIMD	H
2-57	Me、Me	H、H	Me	5-EtEtIMD	H
2-58	Me、Me	H、H	Et	5-EtEtIMD	H
2-59	Me、Me	H、H	Pr	5-EtEtIMD	H
2-60	Me、Me	H、H	H	5-PrEtIMD	H
2-61	Me、Me	H、H	Me	5-PrEtIMD	H
2-62	Me、Me	H、H	Et	5-PrEtIMD	H
2-63	Me、Me	H、H	Pr	5-PrEtIMD	H
2-64	Me、Me	H、H	H	5,6-F2	H
2-65	Me、Me	H、H	Me	5,6-F2	H
2-66	Me、Me	H、H	Et	5,6-F2	H
2-67	Me、Me	H、H	Pr	5,6-F2	H
2-68	Me、Me	H、H	H	5,6-Cl2	H
2-69	Me、Me	H、H	Me	5,6-Cl2	H
2-70	Me、Me	H、H	Et	5,6-Cl2	H

2-71	Me、Me	H、H	Pr	5,6-Cl2	H
2-72	Me、Et	H、H	H	H	H
2-73	Me、Et	H、H	H	5-F	H
2-74	Me、Et	H、H	H	5-Cl	H
2-75	Me、Et	H、H	H	5-Br	H
2-76	Me、Et	H、H	H	5-I	H
2-77	Me、Et	H、H	H	5-MeMeIMD	H
2-78	Me、Et	H、H	H	5-MeEtIMD	H
2-79	Me、Et	H、H	H	5-EtEtIMD	H
2-80	Me、Et	H、H	H	5,6-F2	H
2-81	Me、Et	H、H	H	5,6-Cl2	H
2-82	Me、Pr	H、H	H	H	H
2-83	Me、Pr	H、H	H	5-F	H
2-84	Me、Pr	H、H	H	5-Cl	H
2-85	Me、Pr	H、H	H	5-Br	H
2-86	Me、Pr	H、H	H	5-I	H
2-87	Me、Pr	H、H	H	5-MeMeIMD	H
2-88	Me、Pr	H、H	H	5-MeEtIMD	H
2-89	Me、Pr	H、H	H	5-EtEtIMD	H
2-90	Me、Pr	H、H	H	5,6-F2	H
2-91	Me、Pr	H、H	H	5,6-Cl2	H
2-92	Me、iPr	H、H	H	H	H
2-93	Me、iPr	H、H	H	5-F	H
2-94	Me、iPr	H、H	H	5-Cl	H
2-95	Me、iPr	H、H	H	5-Br	H
2-96	Me、iPr	H、H	H	5-I	H
2-97	Me、iPr	H、H	H	5-MeMeIMD	H
2-98	Me、iPr	H、H	H	5-MeEtIMD	H
2-99	Me、iPr	H、H	H	5-EtEtIMD	H
2-100	Me、iPr	H、H	H	5,6-F2	H
2-101	Me、iPr	H、H	H	5,6-Cl2	H
2-102	Me、iBu	H、H	H	H	H
2-103	Me、iBu	H、H	H	5-F	H
2-104	Me、iBu	H、H	H	5-Cl	H
2-105	Me、iBu	H、H	H	5-Br	H
2-106	Me、iBu	H、H	H	5-I	H
2-107	Me、iBu	H、H	H	5-MeMeIMD	H
2-108	Me、iBu	H、H	H	5-MeEtIMD	H
2-109	Me、iBu	H、H	H	5-EtEtIMD	H
2-110	Me、iBu	H、H	H	5,6-F2	H
2-111	Me、iBu	H、H	H	5,6-Cl2	H
2-112	Me、tBu	H、H	H	H	H
2-113	Me、tBu	H、H	H	5-F	H
2-114	Me、tBu	H、H	H	5-Cl	H
2-115	Me、tBu	H、H	H	5-Br	H

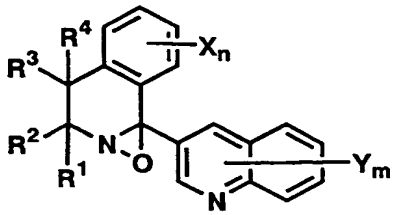
2-116	Me、tBu	H、H	H	5-MeEtIMD	H
2-117	Me、tBu	H、H	H	5-EtEtIMD	H
2-118	Me、iPen	H、H	H	H	H
2-119	Me、iPen	H、H	H	5-F	H
2-120	Me、iPen	H、H	H	5-Cl	H
2-121	Me、iPen	H、H	H	5-Br	H
2-122	Me、iPen	H、H	H	5-I	H
2-123	Me、iPen	H、H	H	5-MeMeIMD	H
2-124	Me、iPen	H、H	H	5-MeEtIMD	H
2-125	Me、iPen	H、H	H	5-EtEtIMD	H
2-126	Me、iPen	H、H	H	5,6-F2	H
2-127	Me、iPen	H、H	H	5,6-Cl2	H
2-128	Et、Et	H、H	H	H	H
2-129	Et、Et	H、H	H	5-F	H
2-130	Et、Et	H、H	H	5-Cl	H
2-131	Et、Et	H、H	H	5-Br	H
2-132	Et、Et	H、H	H	5-I	H
2-133	Et、Et	H、H	H	5-MeMeIMD	H
2-134	Et、Et	H、H	H	5-MeEtIMD	H
2-135	Et、Et	H、H	H	5-EtEtIMD	H
2-136	Et、Et	H、H	H	5,6-F2	H
2-137	Et、Et	H、H	H	5,6-Cl2	H
2-138	Me、CF3	H、H	H	H	H
2-139	Me、CF3	H、H	H	5-F	H
2-140	Me、CF3	H、H	H	5-Cl	H
2-141	Me、CF3	H、H	H	5-Br	H
2-142	Me、CF3	H、H	H	5-I	H
2-143	Me、CF3	H、H	H	5-MeMeIMD	H
2-144	Me、CF3	H、H	H	5-MeEtIMD	H
2-145	Me、CF3	H、H	H	5-EtEtIMD	H
2-146	Me、CF3	H、H	H	5,6-F2	H
2-147	Me、CF3	H、H	H	5,6-Cl2	H
2-148	Me、CF3CH2	H、H	H	H	H
2-149	Me、CF3CH2	H、H	H	5-F	H
2-150	Me、CF3CH2	H、H	H	5-Cl	H
2-151	Me、CF3CH2	H、H	H	5-Br	H
2-152	Me、CF3CH2	H、H	H	5-I	H
2-153	Me、CF3CH2	H、H	H	5-MeMeIMD	H
2-154	Me、CF3CH2	H、H	H	5-MeEtIMD	H
2-155	Me、CF3CH2	H、H	H	5-EtEtIMD	H
2-156	Me、CF3CH2	H、H	H	5,6-F2	H
2-157	Me、CF3CH2	H、H	H	5,6-Cl2	H
2-158	Me、Ph	H、H	H	H	H
2-159	Me、Ph	H、H	H	5-F	H
2-160	Me、Ph	H、H	H	5-Cl	H

2-161	Me、Ph	H、H	H	5-Br	H
2-162	Me、Ph	H、H	H	5-I	H
2-163	Me、Ph	H、H	H	5-MeMeIMD	H
2-164	Me、Ph	H、H	H	5-MeEtIMD	H
2-165	Me、Ph	H、H	H	5-EtEtIMD	H
2-166	Me、Ph	H、H	H	5,6-F2	H
2-167	Me、Ph	H、H	H	5,6-Cl2	H
2-168	Me、FPh	H、H	H	H	H
2-169	Me、FPh	H、H	H	5-F	H
2-170	Me、FPh	H、H	H	5-Cl	H
2-171	Me、FPh	H、H	H	5-Br	H
2-172	Me、FPh	H、H	H	5-I	H
2-173	Me、FPh	H、H	H	5-MeMeIMD	H
2-174	Me、FPh	H、H	H	5-MeEtIMD	H
2-175	Me、FPh	H、H	H	5-EtEtIMD	H
2-176	Me、FPh	H、H	H	5,6-F2	H
2-177	Me、FPh	H、H	H	5,6-Cl2	H
2-178	Me、ClPh	H、H	H	H	H
2-179	Me、ClPh	H、H	H	5-F	H
2-180	Me、ClPh	H、H	H	5-Cl	H
2-181	Me、ClPh	H、H	H	5-Br	H
2-182	Me、ClPh	H、H	H	5-I	H
2-183	Me、ClPh	H、H	H	5-MeMeIMD	H
2-184	Me、ClPh	H、H	H	5-MeEtIMD	H
2-185	Me、ClPh	H、H	H	5-EtEtIMD	H
2-186	Me、ClPh	H、H	H	5,6-F2	H
2-187	Me、ClPh	H、H	H	5,6-Cl2	H
2-188	Ph、CF3	H、H	H	H	H
2-189	Ph、CF3	H、H	H	5-F	H
2-190	Ph、CF3	H、H	H	5-Cl	H
2-191	Ph、CF3	H、H	H	5-Br	H
2-192	Ph、CF3	H、H	H	5-MeEtIMD	H
2-193	Ph、CF3	H、H	H	5-EtEtIMD	H
2-194	ClCH2、FPh	H、H	H	H	H
2-195	ClCH2、FPh	H、H	H	5-F	H
2-196	ClCH2、FPh	H、H	H	5-Cl	H
2-197	ClCH2、FPh	H、H	H	5-Br	H
2-198	ClCH2、FPh	H、H	H	5-I	H
2-199	ClCH2、FPh	H、H	H	5-MeMeIMD	H
2-200	ClCH2、FPh	H、H	H	5-MeEtIMD	H

2-201	ClCH2、FPh	H、H	H	5-EtEtIMD	H
2-202	ClCH2、FPh	H、H	H	5,6-F2	H
2-203	ClCH2、FPh	H、H	H	5,6-Cl2	H
2-204	ClCH2、ClPh	H、H	H	H	H
2-205	ClCH2、ClPh	H、H	H	5-F	H
2-206	ClCH2、ClPh	H、H	H	5-Cl	H
2-207	ClCH2、ClPh	H、H	H	5-Br	H
2-208	ClCH2、ClPh	H、H	H	5-I	H
2-209	ClCH2、ClPh	H、H	H	5-MeMeIMD	H
2-210	ClCH2、ClPh	H、H	H	5-MeEtIMD	H
2-211	ClCH2、ClPh	H、H	H	5-EtEtIMD	H
2-212	ClCH2、ClPh	H、H	H	5,6-F2	H
2-213	ClCH2、ClPh	H、H	H	5,6-Cl2	H
2-214	Me、Bn	H、H	H	5-F	H
2-215	Me、Bn	H、H	H	5-Cl	H
2-216	Me、Bn	H、H	H	5-Br	H
2-217	Me、Bn	H、H	H	5-I	H
2-218	Me、Bn	H、H	H	5-MeMeIMD	H
2-219	Me、Bn	H、H	H	5-MeEtIMD	H
2-220	Me、Bn	H、H	H	5-EtEtIMD	H
2-221	Me、Bn	H、H	H	5,6-F2	H
2-222	Me、Bn	H、H	H	5,6-Cl2	H
2-223	cPen	H、H	H	5-F	H
2-224	cPen	H、H	H	5-Cl	H
2-225	cPen	H、H	H	5-Br	H
2-226	cPen	H、H	H	5-I	H
2-227	cPen	H、H	H	5-MeMeIMD	H
2-228	cPen	H、H	H	5-MeEtIMD	H
2-229	cPen	H、H	H	5-EtEtIMD	H
2-230	cPen	H、H	H	5,6-F2	H
2-231	cPen	H、H	H	5,6-Cl2	H
2-232	cHex	H、H	H	5-F	H
2-233	cHex	H、H	H	5-Cl	H
2-234	cHex	H、H	H	5-Br	H
2-235	cHex	H、H	H	5-I	H
2-236	cHex	H、H	H	5-MeMeIMD	H
2-237	cHex	H、H	H	5-MeEtIMD	H
2-238	cHex	H、H	H	5-EtEtIMD	H
2-239	cHex	H、H	H	5,6-F2	H
2-240	cHex	H、H	H	5,6-Cl2	H

2-241	Me、Me	H、H	H	H	H	HCl Salt
2-242	Me、Me	H、H	H	5-Cl	H	HCl Salt
2-243	Me、Me	H、H	H	5-F	H	HCl Salt
2-244	Me、Et	H、H	H	H	H	HCl Salt
2-245	Me、Et	H、H	H	5-Cl	H	HCl Salt
2-246	Me、Et	H、H	H	5-F	H	HCl Salt
2-247	Me、Pr	H、H	H	H	H	HCl Salt
2-248	Me、Pr	H、H	H	5-Cl	H	HCl Salt
2-249	Me、Pr	H、H	H	5-F	H	HCl Salt
2-250	Me、Ph	H、H	H	H	H	HCl Salt
2-251	Me、Ph	H、H	H	5-Cl	H	HCl Salt
2-252	Me、Ph	H、H	H	5-F	H	HCl Salt
2-253	Me、Me	H、Me	H	H	H	
2-254	Me、Me	H、Me	H	5-Cl	H	
2-255	Me、Me	H、Me	H	5-F	H	
2-256	Me、Me	H、Et	H	H	H	
2-257	Me、Me	H、Et	H	5-Cl	H	
2-258	Me、Me	H、Et	H	5-F	H	
2-259	Me、Me	H、Pr	H	H	H	
2-260	Me、Me	H、Pr	H	5-Cl	H	
2-261	Me、Me	H、Pr	H	5-F	H	
2-262	Me、Me	Me、Me	H	H	H	
2-263	Me、Me	Me、Me	H	5-Cl	H	
2-264	Me、Me	Me、Me	H	5-F	H	
2-265	Me、Et	H、Me	H	H	H	
2-266	Me、Et	H、Me	H	5-Cl	H	
2-267	Me、Et	H、Me	H	5-F	H	
2-268	Me、Pr	H、Me	H	H	H	
2-269	Me、Pr	H、Me	H	5-Cl	H	
2-270	Me、Pr	H、Me	H	5-F	H	
2-271	Me、Ph	H、Me	H	H	H	
2-272	Me、Ph	H、Me	H	5-Cl	H	
2-273	Me、Ph	H、Me	H	5-F	H	
2-274	Me、Me	H、H	H	5-CH_2OH	H	
2-275	Me、Me	H、H	Ac	5-F	H	
2-276	Me、Me	H、H	$COCH_2OMe$	5-F	H	
2-277	Me、Me	H、H	$CH_2CH=CHPh$	5-F	H	
2-278	Me、Me	Me、Me	Me	5-F	H	
2-279	Me、Me	O=	H	5-F	H	

TABLE 3



(Ic)

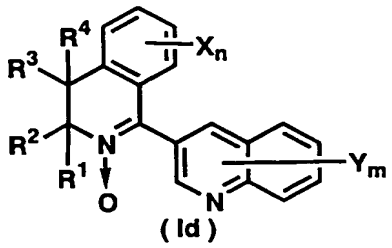
Compound No.	R1、R2	R3、R4	Xn	Ym
3-1	Me、Me	H、H	H	H
3-2	Me、Me	H、H	H	5-F
3-3	Me、Me	H、H	H	6-F
3-4	Me、Me	H、H	H	7-F
3-5	Me、Me	H、H	H	8-F
3-6	Me、Me	H、H	H	5-Cl
3-7	Me、Me	H、H	H	6-Cl
3-8	Me、Me	H、H	H	7-Cl
3-9	Me、Me	H、H	H	8-Cl
3-10	Me、Me	H、H	H	2-Me
3-11	Me、Me	H、H	H	4-Me
3-12	Me、Me	H、H	H	5-Me
3-13	Me、Me	H、H	H	6-Me
3-14	Me、Me	H、H	H	7-Me
3-15	Me、Me	H、H	H	8-Me
3-16	Me、Me	H、H	H	8-MeO
3-17	Me、Me	H、H	H	2-OH
3-18	Me、Me	H、H	H	4-OH
3-19	Me、Me	H、H	H	8-OH
3-20	Me、Me	H、H	5-F	H
3-21	Me、Me	H、H	5-F	5-F
3-22	Me、Me	H、H	5-F	6-F
3-23	Me、Me	H、H	5-F	7-F
3-24	Me、Me	H、H	5-F	8-F
3-25	Me、Me	H、H	5-F	5-Cl
3-26	Me、Me	H、H	5-F	6-Cl
3-27	Me、Me	H、H	5-F	7-Cl
3-28	Me、Me	H、H	5-F	8-Cl
3-29	Me、Me	H、H	5-F	2-Me
3-30	Me、Me	H、H	5-F	4-Me
3-31	Me、Me	H、H	5-F	5-Me
3-32	Me、Me	H、H	5-F	6-Me
3-33	Me、Me	H、H	5-F	7-Me

3-34	Me、Me	H、H	5-F	8-Me
3-35	Me、Me	H、H	5-F	8-MeO
3-36	Me、Me	H、H	5-F	2-OH
3-37	Me、Me	H、H	5-F	4-OH
3-38	Me、Me	H、H	5-F	1-OH
3-39	Me、Me	H、H	6-F	H
3-40	Me、Me	H、H	7-F	H
3-41	Me、Me	H、H	8-F	H
3-42	Me、Me	H、H	5-Cl	H
3-43	Me、Me	H、H	6-Cl	H
3-44	Me、Me	H、H	7-Cl	H
3-40	Me、Me	H、H	8-Cl	H
3-41	Me、Me	H、H	5-Br	H
3-42	Me、Me	H、H	5-I	H
3-43	Me、Me	H、H	5-Me	H
3-44	Me、Me	H、H	6-Me	H
3-45	Me、Me	H、H	7-Me	H
3-46	Me、Me	H、H	8-Me	H
3-47	Me、Me	H、H	5-Et	H
3-48	Me、Me	H、H	5-MeO	H
3-49	Me、Me	H、H	6-MeO	H
3-50	Me、Me	H、H	7-MeO	H
3-51	Me、Me	H、H	8-MeO	H
3-52	Me、Me	H、H	5-EtO	H
3-53	Me、Me	H、H	5,6-F_2	H
3-54	Me、Me	H、H	6-F,7-Me	H
3-55	Me、Me	H、H	H	H
3-56	Me、Me	H、Me	H	H
3-57	Me、Me	H、Me	H	5-F
3-58	Me、Me	H、Me	H	6-F
3-59	Me、Me	H、Me	H	7-F
3-60	Me、Me	H、Me	H	8-F
3-61	Me、Me	H、Me	H	2-Me
3-62	Me、Me	H、Me	H	4-Me
3-63	Me、Me	H、Me	H	8-Me
3-64	Me、Me	H、Me	H	8-MeO
3-65	Me、Me	H、Me	5-F	H
3-66	Me、Me	H、Me	5-F	5-F
3-67	Me、Me	H、Me	5-F	6-F
3-68	Me、Me	H、Me	5-F	7-F
3-69	Me、Me	H、Me	5-F	8-F
3-70	Me、Me	H、Me	5-F	2-Me
3-71	Me、Me	H、Me	5-F	4-Me
3-72	Me、Me	H、Me	5-F	8-Me
3-73	Me、Me	H、Me	5-F	8-MeO
3-74	Me、Me	H、Me	6-F	H

3-75	Me、Me	H、Me	7-F	H
3-76	Me、Me	H、Me	8-F	H
3-77	Me、Me	H、Me	5-Cl	H
3-78	Me、Me	H、Me	6-Cl	H
3-79	Me、Me	H、Me	7-Cl	H
3-80	Me、Me	H、Me	8-Cl	H
3-81	Me、Me	H、Me	5-Me	H
3-82	Me、Me	H、Me	6-Me	H
3-83	Me、Me	H、Me	7-Me	H
3-84	Me、Me	H、Me	8-Me	H
3-85	Me、Me	H、Me	5-MeO	H
3-86	Me、Me	H、Me	6-MeO	H
3-87	Me、Me	H、Me	7-MeO	H
3-88	Me、Me	H、Me	8-MeO	H
3-89	Me、Me	H、Me	5,6-F_2	H
3-90	Me、Me	H、Me	6-F,7-Me	H
3-91	Me、Me	Me、Me	H	H
3-92	Me、Me	Me、Me	H	5-F
3-93	Me、Me	Me、Me	H	6-F
3-94	Me、Me	Me、Me	H	7-F
3-95	Me、Me	Me、Me	H	8-F
3-96	Me、Me	Me、Me	H	2-Me
3-97	Me、Me	Me、Me	H	4-Me
3-98	Me、Me	Me、Me	H	8-Me
3-99	Me、Me	Me、Me	H	8-MeO
3-100	Me、Me	Me、Me	5-F	H
3-101	Me、Me	Me、Me	5-F	5-F
3-102	Me、Me	Me、Me	5-F	6-F
3-103	Me、Me	Me、Me	5-F	7-F
3-104	Me、Me	Me、Me	5-F	8-F
3-105	Me、Me	Me、Me	5-F	2-Me
3-106	Me、Me	Me、Me	5-F	4-Me
3-107	Me、Me	Me、Me	5-F	8-Me
3-108	Me、Me	Me、Me	5-F	8-OH
3-109	Me、Me	Me、Me	6-F	H
3-110	Me、Me	Me、Me	7-F	H
3-111	Me、Me	Me、Me	8-F	H
3-112	Me、Me	Me、Me	5-Cl	H
3-113	Me、Me	Me、Me	6-Cl	H
3-114	Me、Me	Me、Me	7-Cl	H
3-115	Me、Me	Me、Me	8-Cl	H
3-116	Me、Me	Me、Me	5-Me	H
3-117	Me、Me	Me、Me	6-Me	H
3-118	Me、Me	Me、Me	7-Me	H
3-119	Me、Me	Me、Me	8-Me	H
3-120	Me、Me	Me、Me	5-MeO	H

3-121	Me、Me	Me、Me	6-MeO	H
3-122	Me、Me	Me、Me	7-MeO	H
3-123	Me、Me	Me、Me	8-MeO	H
3-124	Me、Me	Me、Me	5,6-F_2	H
3-125	Me、Me	Me、Me	6-F,7-Me	H
3-126	Me、Me	cPen	H	H
3-127	cPen	Me、Me	H	H
3-128	Me、Me	cHex	H	H
3-129	cHex	Me、Me	H	H
3-130	Me、Et	H、H	5-F	H
3-131	Me、Me	CH_2=	5-F	H
3-132	Me、Me	H、F	5-F	H
3-133	Me、Me	H、Cl	5-F	H
3-134	Me、Me	F、F	H	H
3-135	Me、Me	F、F	5-F	H
3-136	Me、Me	H、OH	5-F	H
3-137	Me、Me	H、OMe	5-F	H
3-138	Me、Me	O=	H	H
3-139	Me、Me	O=	5-F	H
3-140	Me、Me	Me、OH	5-F	H
3-141	Me、Me	Et、OH	5-F	H
3-142	Me、Me	Me、OMe	5-F	H
3-143	Me、Me	Me、OEt	5-F	H
3-144	Me、Me	Et、OMe	5-F	H

TABLE 4



(Id)

Compound No.	R1、R2	R3、R4	Xn	Ym
4-1	Me、Me	H、H	H	H
4-2	Me、Me	H、H	H	5-F
4-3	Me、Me	H、H	H	6-F
4-4	Me、Me	H、H	H	7-F
4-5	Me、Me	H、H	H	8-F
4-6	Me、Me	H、H	H	5-Cl
4-7	Me、Me	H、H	H	6-Cl
4-8	Me、Me	H、H	H	7-Cl
4-9	Me、Me	H、H	H	8-Cl
4-10	Me、Me	H、H	H	2-Me
4-11	Me、Me	H、H	H	4-Me
4-12	Me、Me	H、H	H	5-Me
4-13	Me、Me	H、H	H	6-Me
4-14	Me、Me	H、H	H	7-Me
4-15	Me、Me	H、H	H	8-Me
4-16	Me、Me	H、H	H	8-MeO
4-17	Me、Me	H、H	H	2-OH
4-18	Me、Me	H、H	H	4-OH
4-19	Me、Me	H、H	H	8-OH
4-20	Me、Me	H、H	5-F	H
4-21	Me、Me	H、H	5-F	5-F
4-22	Me、Me	H、H	5-F	6-F
4-23	Me、Me	H、H	5-F	7-F
4-24	Me、Me	H、H	5-F	8-F
4-25	Me、Me	H、H	5-F	5-Cl
4-26	Me、Me	H、H	5-F	6-Cl
4-27	Me、Me	H、H	5-F	7-Cl
4-28	Me、Me	H、H	5-F	8-Cl
4-29	Me、Me	H、H	5-F	2-Me
4-30	Me、Me	H、H	5-F	4-Me
4-31	Me、Me	H、H	5-F	5-Me
4-32	Me、Me	H、H	5-F	6-Me
4-33	Me、Me	H、H	5-F	7-Me
4-34	Me、Me	H、H	5-F	8-Me
4-35	Me、Me	H、H	5-F	8-MeO

4-36	Me、Me	H、H	5-F	2-OH
4-37	Me、Me	H、H	5-F	4-OH
4-38	Me、Me	H、H	5-F	1-OH
4-39	Me、Me	H、H	6-F	H
4-40	Me、Me	H、H	7-F	H
4-41	Me、Me	H、H	8-F	H
4-42	Me、Me	H、H	5-Cl	H
4-43	Me、Me	H、H	6-Cl	H
4-44	Me、Me	H、H	7-Cl	H
4-40	Me、Me	H、H	8-Cl	H
4-41	Me、Me	H、H	5-Br	H
4-42	Me、Me	H、H	5-I	H
4-43	Me、Me	H、H	5-Me	H
4-44	Me、Me	H、H	6-Me	H
4-45	Me、Me	H、H	7-Me	H
4-46	Me、Me	H、H	8-Me	H
4-47	Me、Me	H、H	5-Et	H
4-48	Me、Me	H、H	5-MeO	H
4-49	Me、Me	H、H	6-MeO	H
4-50	Me、Me	H、H	7-MeO	H
4-51	Me、Me	H、H	8-MeO	H
4-52	Me、Me	H、H	5-EtO	H
4-53	Me、Me	H、H	5,6-F_2	H
4-54	Me、Me	H、H	6-F,7-Me	H
4-55	Me、Me	H、H	H	H
4-56	Me、Me	H、Me	H	H
4-57	Me、Me	H、Me	H	5-F
4-58	Me、Me	H、Me	H	6-F
4-59	Me、Me	H、Me	H	7-F
4-60	Me、Me	H、Me	H	8-F
4-61	Me、Me	H、Me	H	2-Me
4-62	Me、Me	H、Me	H	4-Me
4-63	Me、Me	H、Me	H	8-Me
4-64	Me、Me	H、Me	H	8-MeO
4-65	Me、Me	H、Me	5-F	H
4-66	Me、Me	H、Me	5-F	5-F
4-67	Me、Me	H、Me	5-F	6-F
4-68	Me、Me	H、Me	5-F	7-F
4-69	Me、Me	H、Me	5-F	8-F
4-70	Me、Me	H、Me	5-F	2-Me
4-71	Me、Me	H、Me	5-F	4-Me
4-72	Me、Me	H、Me	5-F	8-Me
4-73	Me、Me	H、Me	5-F	8-MeO
4-74	Me、Me	H、Me	6-F	H
4-75	Me、Me	H、Me	7-F	H
4-76	Me、Me	H、Me	8-F	H

4-77	Me、Me	H、Me	5-Cl	H
4-78	Me、Me	H、Me	6-Cl	H
4-79	Me、Me	H、Me	7-Cl	H
4-80	Me、Me	H、Me	8-Cl	H
4-81	Me、Me	H、Me	5-Me	H
4-82	Me、Me	H、Me	6-Me	H
4-83	Me、Me	H、Me	7-Me	H
4-84	Me、Me	H、Me	8-Me	H
4-85	Me、Me	H、Me	5-MeO	H
4-86	Me、Me	H、Me	6-MeO	H
4-87	Me、Me	H、Me	7-MeO	H
4-88	Me、Me	H、Me	8-MeO	H
4-89	Me、Me	H、Me	5,6-F_2	H
4-90	Me、Me	H、Me	6-F,7-Me	H
4-91	Me、Me	Me、Me	H	H
4-92	Me、Me	Me、Me	H	5-F
4-93	Me、Me	Me、Me	H	6-F
4-94	Me、Me	Me、Me	H	7-F
4-95	Me、Me	Me、Me	H	8-F
4-96	Me、Me	Me、Me	H	2-Me
4-97	Me、Me	Me、Me	H	4-Me
4-98	Me、Me	Me、Me	H	8-Me
4-99	Me、Me	Me、Me	H	8-MeO
4-100	Me、Me	Me、Me	5-F	H
4-101	Me、Me	Me、Me	5-F	5-F
4-102	Me、Me	Me、Me	5-F	6-F
4-103	Me、Me	Me、Me	5-F	7-F
4-104	Me、Me	Me、Me	5-F	8-F
4-105	Me、Me	Me、Me	5-F	2-Me
4-106	Me、Me	Me、Me	5-F	4-Me
4-107	Me、Me	Me、Me	5-F	8-Me
4-108	Me、Me	Me、Me	5-F	8-MeO
4-109	Me、Me	Me、Me	6-F	H
4-110	Me、Me	Me、Me	7-F	H
4-111	Me、Me	Me、Me	8-F	H
4-112	Me、Me	Me、Me	5-Cl	H
4-113	Me、Me	Me、Me	6-Cl	H
4-114	Me、Me	Me、Me	7-Cl	H
4-115	Me、Me	Me、Me	8-Cl	H
4-116	Me、Me	Me、Me	5-Me	H
4-117	Me、Me	Me、Me	6-Me	H
4-118	Me、Me	Me、Me	7-Me	H
4-119	Me、Me	Me、Me	8-Me	H
4-120	Me、Me	Me、Me	5-MeO	H
4-121	Me、Me	Me、Me	6-MeO	H
4-122	Me、Me	Me、Me	7-MeO	H

4-123	Me、Me	Me、Me	8-MeO	H
4-124	Me、Me	Me、Me	5,6-F_2	H
4-125	Me、Me	Me、Me	6-F,7-Me	H
4-126	Me、Me	cPen	H	H
4-127	cPen	Me、Me	H	H
4-128	Me、Me	cHex	H	H
4-129	cHex	Me、Me	H	H
4-130	Me、Et	H、H	5-F	H
4-131	Me、Me	CH_2=	5-F	H
4-132	Me、Me	H、F	5-F	H
4-133	Me、Me	H、Cl	5-F	H
4-134	Me、Me	F、F	H	H
4-135	Me、Me	F、F	5-F	H
4-136	Me、Me	H、OH	5-F	H
4-137	Me、Me	H、OMe	5-F	H
4-138	Me、Me	O=	H	H
4-139	Me、Me	O=	5-F	H
4-140	Me、Me	Me、OH	5-F	H
4-141	Me、Me	Et、OH	5-F	H
4-142	Me、Me	Me、OMe	5-F	H
4-143	Me、Me	Me、OEt	5-F	H
4-144	Me、Me	Et、OMe	5-F	H

Preferable compounds among the aforementioned compounds consist of compound nos. 1-001, 1-007, 1-019, 1-032, 1-038, 1-041, 1-044, 1-053, 1-054, 1-056, 1-065, 1-069, 1-085, 1-094, 1-095, 1-100, 1-101, 1-106, 1-116, 1-117, 1-126, 1-137, 1-147, 1-175, 1-185, 1-213, 1-251, 1-307, 1-345, 1-385, 1-387, 1-424, 1-464, 1-502, 1-540, 1-578, 1-594, 1-672, 1-710, 1-720, 1-721, 1-764, 1-790, 1-793, 1-796, 1-799, 1-802, 1-804, 1-806, 1-807, 1-866, 2-001, 1-099, 1-856, 1-857, 1-858, 1-867, 1-886, 1-904, 1-908, 1-910, 1-912, 1-913, 1-914, 1-917, 1-918, 1-919, 1-925, 1-926, 1-927, 1-929, 1-930, 1-935, 1-937, 1-938, 1-939, 2-255, 2-264, 2-278, 3-020, 3-091, 3-100, 3-108, 3-110, 3-126, 3-135, 4-020, 4-065, 4-091, 4-100, 4-109, 4-110, 4-113, 4-129, 4-134, 4-135, 2-036 or 2-040,

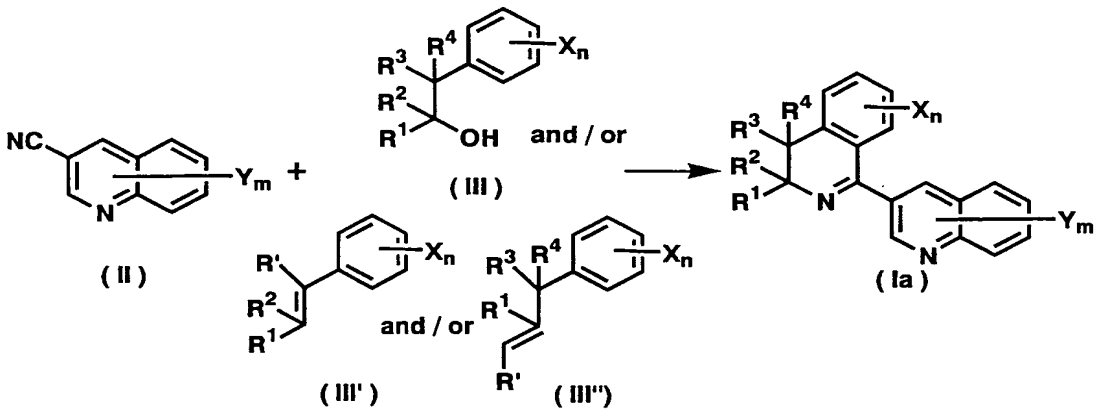
more preferable compounds consist of compound nos. 1-032, 1-038, 1-044, 1-054, 1-056, 1-085, 1-116, 1-117, 1-147, 1-185, 1-385, 1-387, 1-424, 1-464, 1-502, 1-540, 1-594, 1-672, 1-793, 1-804, 1-806, 1-807, 1-866, 1-910, 1-912, 1-917, 1-918, 1-919, 1-927, 1-929, 1-930, 2-036, 2-

040, 3-020, 3-091, 3-100, 3-110, 3-126, 3-135, 4-091, 4-100, 4-109, 4-113, 4-129, 4-134, or 4-135,

and even more preferable compounds consist of compound nos. 1-032, 1-044, 1-056, 1-085, 1-117, 1-147, 1-185, 1-387, 1-424, 1-464, 1-502, 1-540, 1-866, 1-910, 1-912, 1-917, 1-918, 1-919, 1-927, 1-929, 1-930, 3-020, 3-091, 3-100, 3-110, 3-126, 3-135, 4-091, 4-100, 4-109, 4-113, 4-129, 4-134, or 4-135.

A compound of general formula (Ia) of the present invention can be produced according to the following method A or B, a compound of general formula (Ib) can be produced according to the following method C or D, a compound of the present invention having a keto group, hydroxyl group, alkoxy group or halogen atom at position 4 can be produced according to the following method E, F or G, a compound of general formula (Ic) can be produced according to the following method H, and a compound of general formula (Id) can be produced according to the following Method I.

(Method A)



In the above formula, R^1, R^2, R^3, R^4, X, n, Y and m are the same as previously defined, and R' represents a hydrogen atom or alkyl group.

Method A is a method for producing compound (Ia) of the present invention by reacting a nitrile (II), an alcohol (III) and/or an olefin (III') and/or an olefin

(III").

(Process A)

Process A is a process for producing compound (Ia) of the present invention by reacting compound (II) with one type of compound (III), compound (III') or compound (III"), or a mixture thereof, in the presence or absence of solvent and in the presence of acid.

The total amount of compound (III), compound (III') and compound (III") used is normally 1 to 6 moles and preferably 1.1 to 3.0 moles based on 1 mole of compound (II).

In the case of using a solvent in this process, there are no particular limitations on the solvent used provided it does not inhibit the reaction, examples of which include hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform or carbon tetrachloride; and, ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or dibutyl ether, preferably hydrocarbons or halogenated hydrocarbons, and more preferably benzene or dichloroethane.

There are no particular limitations on the acid used in the present process provided it is that used as an acid in ordinary ritter reactions, examples of which include inorganic acids such as sulfuric acid, formic acid, phosphoric acid or perchloric acid; sulfonic acids such as benzene sulfonic acid, toluene sulfonic acid or trifluoromethane sulfonic acid; and, Lewis acids such as tin tetrachloride or trifluoroboron, preferably inorganic acids or sulfonic acids, and more preferably sulfuric acid or trifluoromethane sulfonic acid.

The amount of acid used is normally 1 to 20 moles, and preferably 1.1 to 15 moles, based on 1 mole of compound (II).

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the

reaction temperature is normally -20°C to 100°C, and preferably 0°C to 80°C.

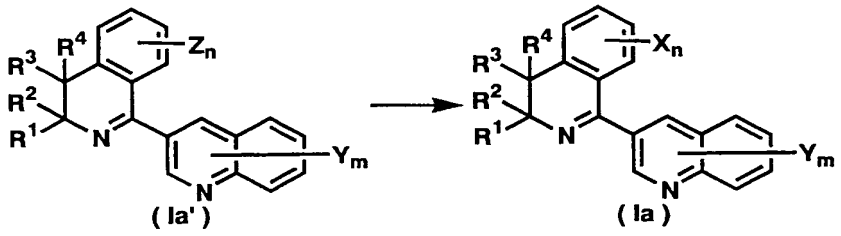
Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 15 minutes to 120 hours, and preferably 30 minutes to 72 hours.

The raw material compound of the aforementioned method A in the form of 3-quinoline carbonitrile compound (II) is a known compound, or can be produced in compliance with a known method (such as the method described in J. Med. Chem., Vol. 22, p. 816 (1979)).

Alcohol compound (III) used in the present process is a known compound, or can be produced in compliance with a known method (such as the method described in Tetrahedron, Vol. 55, p. 4595 (1999)).

Olefin compound (III') and olefin compound (III") used in the present process are known compounds, or can be produced in compliance with a known method (such as a method involving dehydration of alcohol with acid as described in Bull. Chim. Fr., Vol. 2, p. 633 (1935), or a method involving dehydration by attaching a leaving group to an alcohol as described in Tetrahedron Lett., Vol. 35, p. 4129 (1994), or J. Org. Chem., Vol. 47, p.2928 (1982)).

(Method B)



In the above formula, R^1, R^2, R^3, R^4, X, n, Y and m are the same as previously defined, and Z represents bromine or iodine.

Method B is a method for producing compound (Ia) of the present invention by carrying out a coupling reaction

with compound (Ia') of the present invention (X=Z).
(Process B)

Process B is a process for producing compound (Ia) of the present invention by reacting compound (Ia') in a solvent, in the presence or absence of base, and in the presence of a coupling agent and a metal catalyst.

There are no particular limitations on the coupling agent used in the present process provided it is used in ordinary coupling reactions, examples of which include an organic metal such as organic magnesium, organic zinc, organic aluminum, organic zirconium, organic tin, organic boron, organic mercury, organic lithium or organic copper, and preferably organic tin, organic boric acid ester or organic copper.

The amount of coupling agent used is normally 1 to 6 moles, and preferably 1.1 to 3 moles, based on 1 mole of compound (Ia').

There are no particular limitations on the metal catalyst used in the present process provided it is used in ordinary coupling reactions, examples of which include metal salts such as nickel, palladium, copper or chromium salts, and preferably nickel acetyl acetonate, tetraquis triphenyl phosphine palladium or copper iodide.

There are no particular limitations on the solvent used in the present process provided it does not inhibit the reaction, examples of which include hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, chloroform or carbon tetrachloride; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or dibutyl ether; nitriles such as acetonitrile or propionitrile; and, amides such as dimethylformamide or dimethylacetoamide, preferably hydrocarbons, and more preferably toluene.

In the case of using a base in the present process, there are no particular limitations on the base used provided it is used as a base in ordinary reactions,

examples of which include alkaline metal carbonates such as sodium carbonate or potassium carbonates; alkaline metal bicarbonates such as sodium bicarbonate or potassium bicarbonate; alkaline metal hydroxides or alkaline earth metal hydroxides such as sodium hydroxide, potassium hydroxide or barium hydroxide; alkaline metal alkoxides such as sodium methoxide, sodium ethoxide or potassium t-butoxide; organic bases such as triethylamine, tributylamine, diisopropylethylamine, N-methylmorpholine, pyridine, 4-(N,N-dimethylamino)pyridine, N,N-dimethylaniline, N,N-diethylaniline, 1,5-diazabicyclo[4.3.0]nona-5-ene, 1,4-diazabicyclo[2.2.2]octane (DABCO) or 1,8-diazabicyclo[5.4.0]-7-undecene (DBU), preferably alkaline metal carbonates, organic bases or alkaline metal hydroxides; and more preferably sodium carbonate, pyridine, triethylamine or sodium hydroxide.

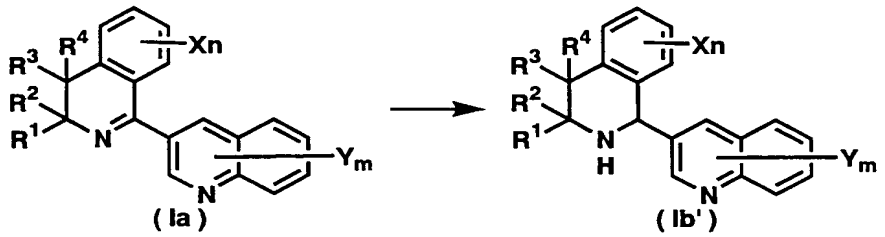
The amount of base used is normally 1 to 6 moles, and preferably 1.1 to 3 moles, based on 1 mole of compound (Ia').

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally 0°C to 200°C, and preferably 20°C to 180°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 1 to 120 hours, and preferably 3 to 72 hours.

The starting raw material of the aforementioned method B in the form of compound (Ia') can be produced with the aforementioned method A.

(Method C)



In the above formula, R^1, R^2, R^3, R^4, X, n, Y and m are the same as previously defined.

Method C is a method for producing compound (Ib') of the present invention (R^5=H) by reducing compound (Ia) of the present invention.

(Process C)

Process C is a process for producing compound (Ib') of the present invention by reducing compound (Ia) in a solvent.

There are no particular limitations on the reducing agent used in the present process provided it is used for reducing imines, examples of which include those used in hydrogenation reactions using a catalyst such as palladium carbon, platinum oxide or Rainey nickel; those used in reactions combining metal and acid such as zinc and acetic acid or tin and hydrochloric acid; and those used in reactions of metal hydrides such as sodium borohydride or sodium cyanoborohydride, preferably those used in reactions of metal hydrides, and more preferably sodium borohydride.

The amount of reducing agent used is normally 0.5 to 20 moles, and preferably 0.5 to 10 moles, based on 1 mole of compound (Ia).

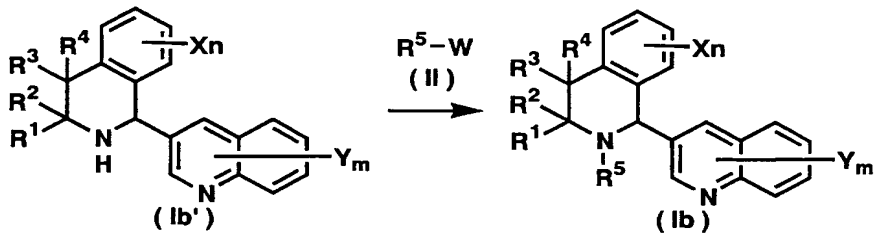
In the case of using a solvent in the present process, there are no particular limitations on the solvent used provided it does not inhibit the reaction, examples of which include hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, chloroform or carbon tetrachloride; alcohols such as methanol, ethanol or 2-

propanol; acids such as acetic acid, hydrochloric acid or sulfuric acid; and ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or dibutyl ether, preferably alcohols, and more preferably ethanol.

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally 0°C to 200°C, and preferably 20°C to 180°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 1 to 120 hours, and preferably 3 to 72 hours.

(Method D)



In the above formula, R^1, R^2, R^3, R^4, R^5, X, n, Y and m are the same as previously defined, and W represents a halogen atom.

Method D is a method for producing compound (Ib) of the present invention by alkylating or acylating compound (Ib') of the present invention (R^5=H).

(Process D)

Process D is a process for producing compound (Ib) of the present invention from an alkyl halide or acyl halide (II) of compound (Ib') in a solvent and in the presence of base.

The amount of compound (II) used is normally 1 to 130 moles, and preferably 1.1 to 10 moles, based on 1 mole of compound (Ib').

In the case of using a base in the present process, there are no particular limitations on the base used provided it is used as a base in ordinary reactions,

examples of which include alkaline metal carbonates such as sodium carbonate or potassium carbonates; alkaline metal bicarbonates such as sodium bicarbonate or potassium bicarbonate; alkaline metal hydrides such as sodium hydride, lithium hydride or potassium hydride; alkaline metal hydroxides or alkaline earth metal hydroxides such as sodium hydroxide, potassium hydroxide or barium hydroxide; alkaline metal alkoxides such as sodium methoxide, sodium ethoxide or potassium t-butoxide; organic bases such as triethylamine, tributylamine, diisopropylethylamine, N-methylmorpholine, pyridine, 4-(N,N-dimethylamino)pyridine, N,N-dimethylaniline, N,N-diethylaniline, 1,5-diazabicyclo[4.3.0]nona-5-ene, 1,4-diazabicyclo[2.2.2]octane (DABCO) or 1,8-diazabicyclo[5.4.0]-7-undecene (DBU); and organic metals such as butyl lithium or lithium diisopropyl amide; preferably alkaline metal carbonates, and more preferably potassium carbonate.

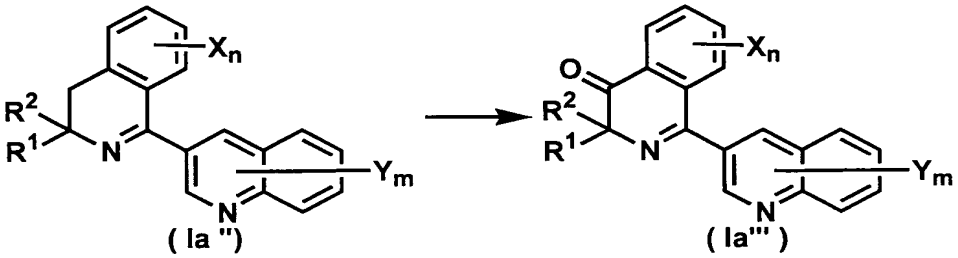
The amount of base used is normally 1 to 30 moles, and preferably 1.1 to 10 moles, based on 1 mole of compound (IV).

There are no particular limitations on the solvent used in the present process provided it does not inhibit the reaction, examples of which include hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform or tetrachloroethane; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or ethylene glycol dimethyl ether; amides such as dimethylformamide, dimethylacetoamide or hexamethylene phosphoric triamide (HMPA); ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone; nitriles such as acetonitrile or isobutyronitrile; and esters such as methyl acetate, ethyl acetate or propyl acetate, preferably ketones, and more preferably acetone.

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally 20°C to 150°C, and preferably 0°C to 40°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 10 minutes to 120 hours, and preferably 30 minutes to 72 hours.

(Method E)



In the above formula, R^1, R^2, X, n, Y and m are the same as previously defined.

Method E is a method for producing compound (Ia"') of the present invention by oxidizing compound (Ia") of the present invention.

(Process E)

Process E is a process for producing compound (Ia"') of the present invention by reacting compound (Ia") with an oxidizing agent in the presence or absence of a solvent.

In the case of using a solvent in the present process, there are no particular limitations on the solvent used provided it does not inhibit the reaction, examples of which include organic acids such as formic acid or acetic acid; hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform or carbon tetrachloride; and ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or dibutyl ether, preferably organic acids or hydrocarbons, and more

preferably acetic acid.

There are no particular limitations on the oxidizing agent used in the present process provided it is used to oxidize an active methylene to a carbonyl group in an ordinary oxidation reaction, examples of which include permanganates such as potassium permanganate or barium permanganate; chromic acids such as chromium oxide, dichromates, chromates, cromyl oxide and chromate esters; and metal oxides such as ruthenium oxide or selenium oxide, preferably chromates, and more preferably chromium oxide.

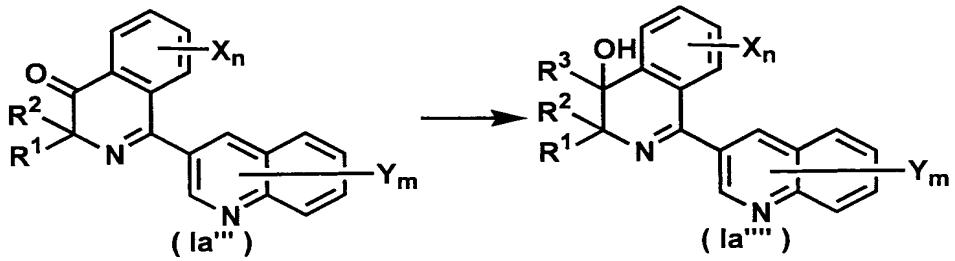
The amount of oxidizing agent used is normally 1 to 20 moles, and preferably 1.1 to 15 moles, based on 1 mole of compound (II).

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally 0°C to 200°C, and preferably 10°C to 150°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 15 minutes to 120 hours, and preferably 30 minutes to 72 hours.

The starting raw material of the aforementioned method E in the form of compound (Ia") can be produced with the aforementioned method A or B.

(Method F)



In the above formula, R^1, R^2, X, n, Y and m are the same as previously defined, and R^3 represents a hydrogen atom or a C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different substituents selected from the

group consisting of a halogen atom, C_1-C_6 alkoxy group, C_1-C_6 alkylthio group and phenoxy group.

Method F is a method for producing compound (1a"") of the present invention by carrying out a nucleophilic reaction on compound (Ia"') of the present invention.

(Process F)

Process F is a process for producing compound (Ia'''') of the present invention by carrying out a nucleophilic reaction on the carbonyl group of compound (Ia''') in a solvent.

There are no particular limitations on the nucleophile used in the present process provided is used in ordinary nucleophilic reactions, examples of which include metal hydrides such as lithium aluminum hydride or sodium borohydride; and organic metal compounds such as Grignard's reagent, Reformatski's reagent, butyl lithium or copper acetylide, and preferably sodium borohydride or chlorinated methyl magnesium.

The amount of nucleophile used is normally 1 to 6 moles, and preferably 1.1 to 3 moles based on 1 mole of compound (Ia''').

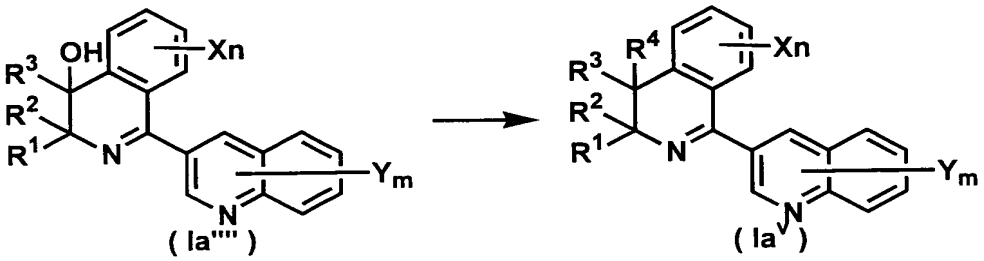
There are no particular limitations on the solvent used in the present process provided it does not inhibit the reaction, examples of which include hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, chloroform or carbon tetrachloride; alcohols such as methanol, ethanol or 2-propanol; acids such as acetic acid, hydrochloric acid or sulfuric acid; and ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or dibutyl ether, preferably alcohols or ethers, and more preferably methanol or diethyl ether.

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally -20°C to 200°C, and preferably 0°C to 180°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 0.5 to 120 hours, and preferably 1 to 72 hours.

The starting raw material of the aforementioned method F in the form of compound (Ia''') can be produced with the aforementioned method E.

(Method G)



In the above formula, R^1, R^2, X, n, Y and m are the same as previously defined, R^3 represents a hydrogen atom or a C_1-C_6 alkyl group which may be substituted with 1 to 3 same or different substituents selected from the group consisting of a halogen atom, C_1-C_6 alkoxy group, C_1-C_6 alkylthio group and phenoxy group, and R^4 represents a halogen atom.

Method G is a method for producing compound (Ia^v) of the present invention by halogenating the hydroxyl group of compound (Ia'''') of the present invention.

(Process G)

Process G is a process for producing compound (Ia^v) of the present invention by carrying out a halogenation reaction on compound (Ia'''') in a solvent.

There are no particular limitations on the halogenating agent used in the present process provided it is used for halogenation. Examples of fluorinating agents include sulfur fluorides such as sulfur tetrafluoride, diethylaminosulfur trifluoride (DAST) or morpholinosulfur trifluoride, examples of chlorinating and brominating agents include hydrogen halides used in the presence of a catalyst such as zinc chloride, sulfuric acid or lithium

bromide; phosphorous halide compounds such as phosphorous trihalides, phosphorous pentahalides or phosphorous oxyhalides; phosphine halides such as triphenylphosphine, carbon tetrahalides or triphenylphosphine halides; and thienyl halides, a preferable fluorinating agent is DAST, and a preferable chlorinating or brominating agent is a phosphorous trihalide.

The amount of halogenating agent used is normally 0.5 to 20 moles, and preferably 1 to 10 moles, based on 1 mole of compound (Ia'''').

There are no particular limitations on the solvent used in the present process provided it does not inhibit the reaction, examples of which include hydrocarbons such as hexane, cyclohexane, benzene, toluene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform or tetrachloroethane; ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or ethylene glycol dimethyl ether; amides such as dimethylformamide, dimethylacetoamide or hexamethylene phosphoric triamide (HMPA); ketones such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone; nitriles such as acetonitrile or isobutyronitrile; and esters such as methyl acetate, ethyl acetate or propyl acetate, preferably hydrocarbons or halogenated hydrocarbons, and more preferably toluene or methylene chloride.

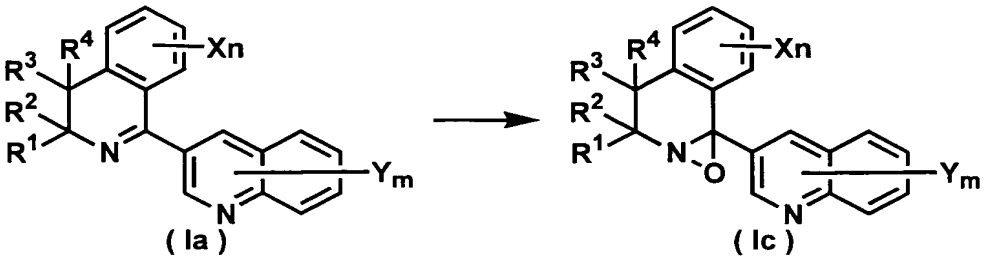
Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally -20°C to 150°C, and preferably 0°C to 80°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 10 minutes to 120 hours, and preferably 30 minutes to 72 hours.

The starting raw material of the aforementioned method G in the form of compound (Ia'''') can be produced

with the aforementioned method F.

(Method H)



In the above formula, R^1, R^2, R^3, R^4, X, n, Y and m are the same as previously defined.

Method H is a method for producing compound (Ic) of the present invention by oxidizing compound (Ia) of the present invention.

(Process H)

Process H is a process for producing compound (Ic) of the present invention by reacting compound (Ia) with an oxidizing agent in the presence or absence of a solvent.

In the case of using a solvent in the present process, there are no particular limitations on the solvent used provided it does not inhibit the reaction, examples of which include organic acids such as formic acid or acetic acid; hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform or carbon tetrachloride; alcohols such as methanol, ethanol or 2-propanol; and ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or dibutyl ether, preferably alcohols or hydrocarbons, and more preferably methanol.

There are no particular limitations on the oxidizing agent used in the present process provided it is used to oxidize an ordinary imine to an oxazolidine, examples of which include perbenzoic acids such as metachloroperbenzoic acid, paranitroperbenzoic acid or monoperoxyphthalic acid; peracids such as trifluoroperacetic acid, peracetic acid or performic acid;

peroxides such as dimethyldioxolan; and hydroperoxides such as t-butyl hydroperoxide, t-amyl hydroperoxide or hydrogen peroxide in the presence of a metal catalyst, preferably perbenzoic acids, peracids or hydroperoxides, and more preferably metachloroperbenzoic acid or peracetic acid.

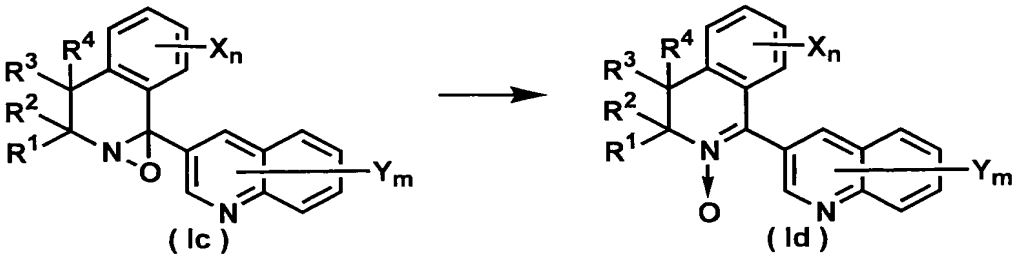
The amount of oxidizing agent used is normally 1 to 20 moles, and preferably 1.1 to 15 moles, based on 1 mole of compound (Ia).

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally 0°C to 200°C, and preferably 10°C to 150°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 15 minutes to 120 hours, and preferably 30 minutes to 72 hours.

The starting raw material of the aforementioned method H in the form of compound (Ia) can be produced with the aforementioned method A, B, C, D, E, F or G.

(Method I)



In the above formula, R^1, R^2, R^3, R^4, X, n, Y and m are the same as previously defined.

Method I is a method for producing compound (Id) of the present invention by treating compound (Ic) of the present invention with acid.

(Process I)

Process I is a process for producing compound (Id) of the present invention by treating compound (Ic) of the

present invention with acid in the presence of absence of solvent.

In the case of using a solvent in the present process, there are no particular limitations on the solvent used provided it does not inhibit the reaction, examples of which include hydrocarbons such as hexane, cyclohexane, benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane, dichloroethane, chloroform or carbon tetrachloride; and ethers such as dioxane, diethyl ether, tetrahydrofuran (THF) or dibutyl ether, preferably halogenated hydrocarbons, and more preferably chloroform.

There are no particular limitations on the acid used in the present process, examples of which include inorganic acids such as sulfuric acid, formic acid, phosphoric acid or perchloric acid; sulfonic acids such as benzene sulfonic acid, toluene sulfonic acid or trifluoromethane sulfonic acid; and, Lewis acids such as tin tetrachloride or trifluoroboron, preferably inorganic acids or sulfonic acids, and more preferably sulfuric acid or methane sulfonic acid.

The amount of acid used is normally 1 to 20 moles, and preferably 1.1 to 15 moles, based on 1 mole of compound (Ic).

Although varying according to the raw material compounds, reaction reagents, solvent and so forth, the reaction temperature is normally -20°C to 100°C, and preferably 0°C to 80°C.

Although varying according to the raw material compounds, reaction reagents, solvent, reaction temperature and so forth, the reaction time is normally 15 minutes to 120 hours, and preferably 30 minutes to 72 hours.

The starting raw material of the aforementioned method I in the form of compound (Ic) can be produced with the aforementioned method H.

Following completion of each of the aforementioned reactions, the target compound of each reaction can be collected from the reaction mixture in accordance with ordinary methods. For example, after suitably neutralizing the reaction mixture, or filtering in the case impurities are present, an immiscible organic solvent in the manner of water and ethyl acetate is added, and after rinsing with water, the organic layer containing the target compound is separated followed by drying with anhydrous magnesium sulfate and so forth and then distilling off the solvent to obtain the target compound.

The resulting target compound can be further purified as necessary using an ordinary method such as recrystallization, re-precipitation or chromatography.

A process for producing a salt of compound (Ia), (Ib), (Ic) or (Id) of the present invention is carried out by adding an acid to an extraction concentrate of a reaction mixture containing compound (Ia), (Ib), (Ic) or (Id) produced in each process, or by adding acid to a solution in which compound (Ia), (Ib, (Ic) or (Id) has been dissolved in a suitable solvent.

Examples of acids used in the reaction include halogenated hydroacids such as hydrofluoric acid, hydrochloric acid, hydrobromic acid or hydroiodic acid; lower alkyl sulfonic acids such as methane sulfonic acid, trifluoromethane sulfonic acid or ethane sulfonic acid; aryl sulfonic acids such as benzene sulfonic acid or p-toluene sulfonic acid; organic acids such as succinic acid or oxalic acid; and, organic acid amide compounds such as saccharin.

The amount of acid used is normally 1 to 10 equivalents, and preferably 1 to 5 equivalents.

Although there are no particular limitations on the solvent used in the reaction provided it does not inhibit the reaction, and preferable examples include ethers such as ether, diisopropyl ether, tetrahydrofuran (THF) or

dioxane, and alcohols such as methanol or ethanol.

The reaction temperature is normally -20°C to 50°C, and preferably -10°C to 30°C.

Although varying according to the type of solvent used, temperature and so forth, the reaction time is normally 10 minutes to 1 hour.

The resulting salt is isolated according to ordinary methods. Namely, in the case of precipitating as crystals, the salt is isolated by filtration, while in the case of an aqueous salt, the salt is isolated in the form of aqueous solution by separating between an organic solvent and water.

A compound of the present invention is useful as an active ingredient of a pest control agent. For example, a compound of the present invention demonstrates superior control effects as an agrohorticultural antimicrobial agent against diseases caused by various types of plant pathogens. A compound of the present invention demonstrates particularly superior control effects against various types of diseases such as rice blast, rice tip blight, gray mold of adzuki bean, tomato, cucumber and green bean plants, root rot, onion leaf blight, wheat snow mold, powdery mildew, apple Monilinia blossom blight, Alternaria leaf spot, tea anthracnose, pear rust, black blight, grape bird's eye rot and citrus fruit black spot disease. A compound of the present invention can be used to control damage by treating after infection since it has superior therapeutic effects.

When using a compound of the present invention, said compound can be prepared in various forms such as an emulsion, powder, water-dispersible powder, liquid, granules or suspension together with an assistant in the same manner as in the case of conventional agricultural chemical preparations. During actual use of these preparations, they can be used directly or used after diluting to a predetermined concentration with water or

other diluent.

Examples of assistants used include carriers, emulsifiers, suspension agents, dispersants, spreading agents, penetrating agents, wetting agents, thickeners and stabilizers, and these assistants can be suitably added as necessary.

Carriers used are divided into solid carriers and liquid carriers. Examples of solid carriers include animal and plant powders such as starch, sugar, powdered cellulose, cyclodextrin, activated charcoal, soybean powder, wheat powder, chaff powder, wood chips, fish meal or powdered milk; and, mineral powders such as talc, kaolin, bentonite, organic bentonite, calcium carbonate, calcium sulfate, sodium bicarbonate, zeolite, diatomaceous earth, white carbon, clay, alumina, silica or sulfur powder, while examples of liquid carriers include water; animal and vegetable oils such as soybean oil, cottonseed oil or corn oil; alcohols such as ethyl alcohol or ethylene glycol; ketones such as acetone or methyl ethyl ketone; ethers such as dioxane or tetrahydrofuran; aliphatic/aromatic hydrocarbons such as kerosene, lamp oil, liquid paraffin, xylene, trimethylbenzene, tetramethylbenzene, cyclohexane or solvent naphtha; halogenated hydrocarbons such as chloroform or chlorobenzene; acid amides such as dimethylformamide; esters such as ethyl acetate ester or glycerin esters of fatty acids; nitriles such as acetonitrile; sulfur-containing compounds such as dimethylsulfoxide; and, N-methylpyrrolidone.

The blending weight ratio of a compound of the present invention and an assistant is normally 0.05:99.95 to 90:10, and preferably 0.2:99.8 to 80:20.

Although varying according to the target crop, usage method, preparation form, applied amount and so forth, the usage concentration and amount used of a compound of the present invention is normally 0.1 to 10000

ppm, and preferably 1 to 1000 ppm, per active ingredient, and in the case of soil treatment, normally 10 to 100000 g/ha, and preferably 100 to 10000 g/ha.

A compound of the present invention can be mixed or used in combination with other agricultural chemicals such as insecticides, miticides, attractants, nematocides, antimicrobial agents, antivirus agents, herbicides or plant growth regulators, and is preferably mixed or used in combination with insecticides, miticides, nematocides or antimicrobial agents.

Examples of insecticides used include organic phosphate ester compounds such as O,O-diethyl-O-(5-phenyl-3-isoxazolyl)phosphorothioate (common name: Isoxathion), O,O-dimethyl-O-(3-methyl-4-nitrophenyl)thiophosphate (common name: Fenitrothion), O,O-diethyl-O-(2-isopropyl-4-methylpyrimidin-6-yl)thiophosphate (common name: Diazinon), O,S-dimethyl-N-acetylphosphoroamide thioate (common name: Acephate) or O,O-dimethyl-S-1,2-diethoxycarbonylethyl dithiophosphate (common name: Malathion);

carbamate compounds such as 2-tert-butylimino-3-isopropyl-5-phenyl-3,4,5,6-tetrahydro-2H-1,3,5-thiadiazin-4-one (common name: Buprofezin), S-methyl-N-(methylcarbamoyloxy) thioacetoimidate (common name: Methyomyl), or N,N-dimethyl-2-methylcarbamoyloxyimino-2-(methylthio)acetoamide (common name: Oxamyl);

pyrethroid compounds such as (RS)-α-cyano-3-phenoxybenzyl=(RS)-2-(4-chlorophenyl)-3-methylbutyrate (common name: Fenvalerate), 3-phenoxybenzyl=(1RS,3RS)-(1RS,3SR)-3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropane carboxylate (common name: Pyrethrum), or (2-(4-ethoxyphenyl)-2-methylpropyl-3-phenoxybenzyl ether (common name: Etofenprox);

benzoylurea compounds such as 1-[3,5-dichloro-4-(3-chloro-5-trifluoromethyl-2-pyridyloxy)phenyl]-3-(2,6-difluorobenzoyl)urea (common name: Chlorfurazuron), or 1-(3,5-dichloro-2,4-difluorophenyl)-3-(2,6-

difluorobenzoyl)urea (common name: Teflubenzuron);

neonicotinoid compounds such as 1-(6-chloro-3-pyridylmethyl)-N-nitro-imidazolidine-2-indeneamine (common name: Imidacloprid), or [C(E)]-N-[(2-chloro-5-thiazinyl)methyl]-N'-methyl-nitroguanidine (common name: Clothianidin); and,

pyrazole compounds such as 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(trifluoromethyl)sulfinyl]-1-1H-pyrazole-3-carbonitrile (common name: Fipronil).

Examples of antimicrobial agents used include dithiocarbamate compounds such as manganese ethylene-bis(dithiocarbamate) (common name: Maneb), zinc and manganese ethylene-bis(dithiocarbamate) (common name: Manzeb), or 3,3-ethylene-bis(tetrahydro-4,6-dimethyl-2H-1,3,5-thiadiazine-2-thione (common name: Milneb);

N-halogenoalkylthioimide compounds such as N-(trichloromethylthio)cyclohex-4-ene-1,2-dicarboximide (common name: Captan), or N-(1,1,2,2-tetrachloroethylthio)cyclohex-4-ene-1,2-dicarboximide (common name: Captahol);

halogenoaromatic compounds such as 4,5,6,7-tetrachlorophthalide (common name: Fthalide), or tetrachloroisophthalonitrile (common name: Chlorothalonil);

benzimidazole compounds such as methyl-1-(butylcarbamoyl)-2-benzimidazole carbamate (common name: Benomyl);

azole compounds such as (E)-4-chloro-α,α,α-trifluoro-N-(1-imidazol-1-yl-2-propoxyethylidene)-o-toluidine (common name: Triflumizole), 2-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)hexanenitrile (common name: Myclobutanil), N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]imidazole-1-carboxamide (common name: Prochloraz), or 2-(4-fluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-3-trimethylsilylpropan-2-ole (common name: Siomeconazole);

pyridinamine compounds such as 3-chloro-N-(3-chloro-2,6-dinitro-4-α,α,α-trifluorotolyl)-5-trifluoromethyl-2-pyridinamine (common name: Fluazinam);

cyanoacetoamide compounds such as 1-(2-cyano-2-methoxyiminoacetyl)-3-ethyl urea (common name: Cymoxanil);

phenylamide compounds such as methyl-N-(2-methoxyacetyl)-N-(2,6-xylyl)-DL-alaninate (common name: Metalaxyl), 2-methoxy-N-(2-oxo-1,3-oxazolidin-3-yl)aceto-2',6'-xylidide (common name: Oxadixyl), or methyl-N-phenylacetyl-N-(2,6-xylyl)-DL-alaninate (common name: Benalaxyl);

dicarboxyimide compounds such as N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboxyimide (common name: Procymidone), 3-(3,5-dichlorophenyl)-N-isopropyl-2,4-dioxoimidazoline-1-carboxamide (common name: Iprodione), or 3-(3,5-dichlorophenyl)-5-methyl-5-vinyl-2,4-oxazolidinone (common name: Vinclozolin);

copper compounds such as cupric hydroxide (common name: cupric hydroxide) or kappa-8-quinolinolate (common name: Copper quinolin);

isoxazole compounds such as 3-hydroxy-5-methylisoxazole (common name: Hymexazol);

organic phosphorous compounds such as aluminum tris(ethylphosphonate) (common name: Fosetyl aluminum), O-2,6-dichloro-p-tolyl=O,O-dimethylphosphorothioate, O-ethyl-S,S-diphenylphosphorodithionate, or aluminum ethyl hydrogen phosphonate;

benzanilide compounds such as α,α,α-trifluoro-3'-isopropoxy-o-toluanilide (common name: Flutolanil), or 3'-isopropoxy-o-toluanilide (common name: Mepronil);

morpholine compounds such as (E,Z)4-[3-(4-chlorophenyl)-3-(3,4-dimethoxyphenyl)acryloyl]morpholine (common name: Dimethomorph), (±)-cis-4-[3-(4-t-butylphenyl)-2-methylpropyl]-2,6-dimethylmorpholine (common name: Fenpropimorph), or (±)-cis-4-[3-(4-t-

butylphenyl)-2-methylpropyl]-2,6-dimethylmorpholine (common name: Fenpropimorph);

iminoctadine compounds such as 1,1-iminodi(octamethylene) diguanidinium triacetate (common name: Iminoctadine);

melanine biosynthesis inhibitors such as 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (common name: Pyroquilon), 4,5,6,7-tetrachlorophthalide (common name: Fthalide), or 2,2-dichloro-N-[1-(4-chlorophenyl)ethyl]-1-ethyl-3-methylcyclopropane carboxamide (common name: Carpropamid);

tolerance inducers such as 1,2,5,6-tetrahydro-3-aryloxy-1,2-benzisothiazole-1,1-dioxide (common name: Probenazole);

sulfur agents, and tin agents.

Although the following provides a detailed explanation of compounds of the present invention using examples, preparation examples and test examples, the present invention is not limited thereto.

Example 1

6'-methyl-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline (Compound No. 1-772) (Process A)

Sulfuric acid (0.4 mL) and 1-(3-methylbenzyl)cyclohexanol (204 mg, 1.0 mmol) were added while cooling with ice to a benzene (1.0 mL) solution of quinoline-3-carbonitrile (154 mg, 1.0 mmol), and after stirring for 1 hour at 80°C, the solution was poured into water followed by extraction with ethyl acetate and applying the resulting residue to chromatography to obtain 180 mg of the target compound (yield: 73%).

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.51-1.85 (10H, m), 2.40 (3H, s), 2.81 (2H, s), 7.02-7.14 (3H, m), 7.57 (1H, t, J=8.4Hz), 7.75 (1H, t, J=8.4Hz), 7.86 (1H, d, J=8.4Hz), 8.15 (1H, d, J=8.4Hz), 8.36 (1H, s), 9.16 (1H, s). MS m/z: 340(M^+), 325,

311, 297, 284, 244, 142, 128.

Example 2

3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-32) (Process A)

Trifluoromethane sulfonic acid (0.52 mL) were added while cooling with ice to a dichloroethane (0.58 mL) solution of an about 4:7 mixture of 1-fluoro-(2-methylpropen-1-yl) benzene and 1-fluoro-(2-methylpropen-2-yl) benzene (87.3 mg, 0.58 mmol) and quinoline-3-carbonitrile (89.6 mg, 0.58 mmol), and after stirring for 18 hours at room temperature, the solution was poured into water followed by extraction with ethyl acetate and applying the resulting residue to chromatography to obtain 82.2 mg of the target compound (yield: 47%).

Melting point: 97-100°C

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 2.89 (2H, s), 7.03 (1H, dd, J=1.4, 6.9Hz) 7.18-7.24 (2H, m), 7.60 (1H, t, J=8.2Hz), 7.77 (1H, ddd, J=1.3, 6.9, 8.2Hz), 7.88 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.09 (1H, d, J=2.1Hz).

MS m/z: 304(M^+), 303, 289, 248, 156.

Example 3

3-(5-acetyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-114) (Process B)

Tributyl (1-ethoxyvinyl) tin (0.85 mL, 2.4 mmol) and dichlorobis(triphenylphosphine)palladium (15.8 mg, 0.022 mmol) were added to a toluene solution (0.9 mL) of 3-(5-bromo-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (806 mg, 2.2 mmol), and after stirring for 3 hours at 100°C, dilute hydrochloric acid was added to temporarily acidify followed by basifying with ammonium water, filtering, concentrating the filtrate and applying the resulting residue to chromatography to obtain 647 mg of the target compound (yield: 89%).

Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (6H, s), 2.67 (3H,s), 3.13 (2H, s), 7.32 (1H, t, *J*=7.6Hz), 7.37 (1H, dd, *J*=1.4, 7.6Hz), 7.60 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.78 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.82 (1H, dd, *J*=1.4, 7.6Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.35 (1H, d, *J*=2.1Hz), 9.06 (1H, d, *J*=2.1Hz).
MS m/z: 328(M^+), 313, 285.

Example 4
3-(3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline (Compound No. 2-1) (Process C)

Sodium borohydride (370 mg, 1.0 mmol) was added to an ethanol (30 mL) solution of 3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (650 mg, 2.7 mmol) followed by heating and refluxing for 3 hours, pouring this reaction solution into ice water, extracting with ethyl acetate, and applying the resulting residue to chromatography to obtain 420 mg of the target compound (yield: 54%).
Melting point: 117-122°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.24 (3H, s), 1.29 (3H, s), 2.65 (1H, d, *J*=15.8Hz), 2.98 (1H, d, *J*=15.8Hz), 5.33 (1H, s), 6.70 (1H, d, *J*=7.7Hz), 6.99-7.03 (1H, m), 7.12 (2H, s), 7.49 (1H, t, *J*=8.2Hz), 7.65 (1H, t, *J*=8.2Hz), 7.74 (1H, d, *J*=8.2Hz), 8.08 (1H, d, *J*=2.1Hz), 8.09 (1H, d, *J*=8.2Hz), 8.85 (1H, d, *J*=2.1Hz).
MS m/z: 288(M^+), 273, 230, 202, 160, 144, 128, 155.

Example 5
3-(2,3,3-trimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline (Compound No. 2-33) (Process D)

Potassium carbonate (500 mg, 3.6 mmol) and methyl iodide (0.33 mL, 5.0 mmol) were added to an acetone (2 mL) solution of 3-(3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl) quinoline (144 mg, 0.5 mmol) followed by stirring

for 3 hours at room temperature, filtering, concentrating the filtrate and applying the resulting residue to chromatography to obtain 60 mg of the target compound (yield: 40%).

Melting point: 116-118°C

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.00 (3H, s), 1.35 (3H, s), 2.15 (3H, s), 2.61 (1H, d, *J*=15.6Hz), 3.23 (1H, d, *J*=15.6Hz), 4.58 (1H, s), 6.64 (1H, d, *J*=7.9Hz), 6.93 (1H, t, *J*=7.9Hz), 7.06-7.08 (2H, m), 7.51 (1H, t, *J*=8.2Hz), 7.65 (1H, t, *J*=8.2Hz), 7.78 (1H, d, *J*=8.2Hz), 8.07 (1H, d, *J*=2.1Hz), 8.08 (1H, d, *J*=7.9Hz), 8.84 (1H, d, *J*=2.1Hz).

MS m/z: 302(M^+), 287, 265, 230, 174, 158, 149, 128, 115.

The following compounds were synthesized in the same manner as Example 1 to 5.

Example 6

3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-1)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.86 (2H, s), 7.20-7.27 (3H, m), 7.37-7.40 (1H, m), 7.56 (1H, t, *J*=8.4Hz), 7.74 (1H, t, *J*=8.4Hz), 7.86 (1H, d, *J*=8.4Hz), 8.16 (1H, d, *J*=8.4Hz), 8.39 (1H, d, *J*=2.0Hz), 9.11 (1H, d, *J*=2.0Hz).

MS m/z: 286(M^+), 285, 271, 230, 128, 115.

Example 7

3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-fluoroquinoline (Compound No. 1-7)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.87 (2H, s), 7.16-7.29 (3H, m), 7.42-7.54 (3H, m), 7.68 (1H, d, *J*=7.6Hz), 8.42 (1H, s), 9.14 (1H, d, *J*=1.4Hz).

MS m/z: 304(M^+), 303, 289, 248, 144, 115.

Example 8

3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-6-chloroquinoline (Compound No. 1-11)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.87 (2H, s), 7.17 (1H, d, *J*=7.7Hz), 7.25 (1H, t, *J*=7.7Hz), 7.28 (1H, d, *J*=7.7Hz), 7.43 (1H, t, *J*=7.7Hz), 7.69 (1H, dd, *J*=1.9, 8.8Hz), 7.85 (1H, d, *J*=1.9Hz), 8.10 (1H, d, *J*=8.8Hz), 8.28 (1H, d, *J*=1.7Hz), 9.10 (1H, d, *J*=1.7Hz).
MS m/z: 320(M^+), 319, 305, 264, 229, 152, 116.

Example 9
3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-methylquinoline (Compound No. 1-19)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.85 (3H, s), 2.87 (2H, s), 7.21-7.28 (3H, m), 7.40-7.43 (1H, m), 7.47 (1H, t, *J*=7.6Hz), 7.60 (1H, d, *J*=7.6Hz), 7.72 (1H, d, *J*=7.6Hz), 8.36 (1H, d, *J*=2.1Hz), 9.11 (1H, d, *J*=2.1Hz).
MS m/z: 300(M^+), 299, 285, 244, 149, 115.

Example 10
3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-methoxyquinoline (Compound No. 1-25)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.87 (2H, s), 4.12 (3H, s), 7.10 (1H, d, *J*=7.6Hz), 7.17 (1H, d, *J*=7.6Hz), 7.21 (1H, t, *J*=7.6Hz), 7.27 (1H, d, *J*=7.6Hz), 7.41 (1H, t, *J*=7.6Hz), 7.46 (1H, t, *J*=7.6Hz), 7.51 (1H, d, *J*=7.6Hz), 8.39 (1H, d, *J*=1.4Hz), 9.06 (1H, d, *J*=1.4Hz).
MS m/z: 316(M^+), 315, 301, 286, 260, 230, 149, 128, 115.

Example 11
3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-hydroxyquinoline (Compound No. 1-31)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 2.86 (2H, s),

5.33 (1H, s), 7.18-7.47 (7H, m), 8.35 (1H, s), 8.98 (1H, s).
MS m/z: 303, 302(M^+), 288, 245, 164, 149, 129, 115.

Example 12
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-4-chloroquinoline (Compound No. 1-35)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (3H, s), 1.47 (3H, s), 2.91 (1H, d, J=15.8Hz), 2.98 (1H, d, J=15.8Hz), 6.71 (1H, dd, J=1.4, 7.6Hz), 7.11-7.17 (2H, m), 7.70 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.82 (1H, ddd, J=1.4, 6.9, 8.2Hz), 8.18 (1H, d, J=8.2Hz), 8.30 (1H, d, J=8.2Hz), 8.81 (1H, s).
MS m/z: 338(M^+), 323 303, 287, 247.

Example 13
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-4-methoxyquinoline (Compound No. 1-37)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.40 (6H, brs), 2.42 (2H, brs), 3.90 (3H, s), 6.82-6.86 (1H, m), 7.15-7.17 (2H, m), 7.57 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.75 (1H, ddd, J=1.4, 6.9, 8.2Hz), 8.11 (1H, d, J=8.2Hz), 8.23 (1H, dd, J=1.4, 8.2Hz), 8.70 (1H, s).
MS m/z: 334(M^+), 319, 303, 288, 277, 263.

Example 14
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-methylquinoline (Compound No. 1-38)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (6H, s), 2.85 (3H, s), 2.89 (2Hs), 7.05 (1H, d, J=6.9Hz), 7.18-7.22 (2H, m), 7.47 (1H, t, J=7.3Hz), 7.61 (1H, d, J=6.9Hz), 7.73 (1H, d, J=7.3Hz), 8.34 (1H, s), 9.09 (1H, s).
MS m/z: 318(M^+), 317, 303, 262, 152, 134, 115.

Example 15

3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-methoxyquinoline (Compound No. 1-39)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 2.89 (2H, s), 4.12 (3H, s), 7.00 (1H, d, *J*=8.2Hz), 7.12 (1H, d, *J*=7.6Hz), 7.18-7.27 (2H, m), 7.46 (1H, d, *J*=8.2Hz), 7.51 (1H, t, *J*=8.2Hz), 8.37 (1H, d, *J*=2.1Hz), 9.04 (1H, d, *J*=2.1Hz).

MS m/z: 334(M^+), 333, 319, 278, 248, 167.

Example 16

3-(6-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-41)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.85 (2H, s), 6.91 (1H, td, *J*=2.1, 8.9Hz), 6.98 (1H, dd, *J*=2.1 8.9Hz), 7.21 (1H, dd, *J*=5.5, 8.2Hz), 7.58 (1H, t, *J*=8.2Hz), 7.76 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.1Hz), 9.09 (1H, d, *J*=2.1Hz).

MS m/z: 304(M^+), 303, 289, 279, 248, 156.

Example 17

3-(7-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-42)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.83 (2H, s), 6.93 (1H, dd, *J*=2.7, 8.9Hz), 7.13 (1H, td, *J*=2.7, 8.2Hz), 7.25 (1H, dd, *J*=5.5, 8.2Hz), 7.60 (1H, t, *J*=8.2Hz), 7.78 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.88 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.9Hz), 8.30 (1H, d, *J*=2.1Hz), 9.11 (1H, d, *J*=2.1Hz).

MS m/z: 304(M^+), 303, 289, 248, 156.

Example 18

3-(5-chloro-3,3-dimethyl-3,4-dihydroisoquinolin-1-

yl)quinoline (Compound No. 1-44)
Melting point: 85-88°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 2.97 (2H, s), 7.11-7.22 (2H, m), 7.49 (1H, dd, *J*=1.3, 7.6Hz), 7.58 (1H, ddd, *J*=1.3, 6.9, 8.2Hz), 7.76 (1H, ddd, *J*=1.6, 6.9, 8.2Hz), 7.87 (1H, d, *J*=7.9Hz), 8.16 (1H, d, *J*=8.2Hz), 8.34 (1H, d, *J*=2.0Hz), 9.06 (1H, d, *J*=2.0Hz).
MS m/z: 320(M^+), 319, 305, 285, 264.

Example 19
3-(5-chloro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-4-methylquinoline (Compound No. 1-49)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.41 (6H, s), 2.54 (3H, s), 3.03 (2H, s), 6.78 (1H, d, *J*=7.6Hz), 7.09 (1H, t, *J*=7.6Hz), 7.45 (1H, d, *J*=7.6Hz), 7.61 (1H, t, *J*=8.2Hz), 7.75 (1H, t, *J*=8.2Hz), 8.06 (1H, d, *J*=8.2Hz), 8.14 (1H, d, *J*=8.2Hz), 8.71 (1H, s).
MS m/z: 334(M^+), 333, 319, 194, 149, 115.

Example 20
3-(6-chloro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-53)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.84 (2H, s), 7.13-7.27 (3H, m), 7.59 (1H, t, *J*=7.9Hz), 7.77 (1H, ddd, *J*=1.3, 6.9, 8.2Hz), 7.87 (1H, d, *J*=7.9Hz), 8.16 (1H, d, *J*=8.2Hz), 8.34 (1H, d, *J*=2.0Hz), 9.08 (1H, d, *J*=2.0Hz).
MS m/z: 320(M^+), 319, 305, 285, 264.

Example 21
3-(7-chloro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-54)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.82 (2H, s), 7.16-7.26 (2H, m), 7.34 (1H, dd, *J*=2.3, 8.2Hz), 7.60 (1H,

t, J=7.9Hz), 7.77 (1H, ddd, J=1.3, 6.9, 8.2Hz), 7.88 (1H, d, J=7.9Hz), 8.17 (1H, d, J=8.6Hz), 8.36 (1H, d, J=2.0Hz), 9.09 (1H, d, J=2.0Hz).
MS m/z: 320(M^+), 319, 305, 285, 264.

Example 22
3-(5-bromo-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-56)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.84 (2H, s), 7.09 (1H, d, J=8.2Hz), 7.39 (1H, dd, J=1.6, 8.2Hz), 7.44 (1H, d, J=1.6Hz), 7.59 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.08 (1H, d, J=2.2Hz).
MS m/z: 365(M^+), 349, 309, 285, 269.

Example 23
3-(6-bromo-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-65)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.84 (2H, s), 7.09 (1H, d, J=8.2Hz), 7.39 (1H, dd, J=1.6, 8.2Hz), 7.44 (1H, d, J=1.6Hz), 7.59 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.08 (1H, d, J=2.2Hz).
MS m/z: 365(M^+), 349, 309, 285, 269.

Example 24
3-(7-bromo-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-66)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.81 (2H, s), 7.17 (1H, d, J=7.7Hz), 7.34 (1H, d, J=1.6Hz), 7.55 (1H, dd, J=1.6, 7.7Hz), 7.61 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.78 (1H,

ddd, J=1.6, 7.1, 8.2Hz), 7.90 (1H, d, J=8.2Hz), 8.18 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.2Hz), 9.09 (1H, d, J=2.2Hz).
MS m/z: 365(M^+), 349, 309, 285, 229.

Example 25
3-(5-iodo-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-68)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (6H, s), 2.92 (2H, s), 6.99 (1H, t, J=8.2Hz), 7.19 (1H, d, J=8.2Hz), 7.59 (1H, t, J=8.2Hz), 7.77 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 7.92 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.34 (1H, d, J=2.1Hz), 9.06 (1H, d, J=2.1Hz).
MS m/z: 412(M^+), 397, 355, 285, 243, 229.

Example 26
3-(3,3,5-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-69)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.37 (3H, s), 2.81 (2H, s), 7.04 (1H, d, J=7.6Hz) 7.13 (1H, t, J=7.6Hz), 7.30 (1H, d, J=7.6Hz), 7.58 (1H, ddd, J=1.4, 6.9, 7.6Hz), 7.75 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.86 (1H, d, J=7.6Hz), 8.15 (1H, d, J=7.6Hz), 8.35 (1H, d, J=2.1Hz), 9.07 (1H, d, J=2.1Hz).
MS m/z: 300(M^+), 299, 285, 269, 258, 244.

Example 27
3-(3,3,6-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-70)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.39 (3H, s), 2.82 (2H, s), 7.04-7.09 (3H, d, m), 7.57 (1H, t, J=8.2Hz), 7.75 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.86 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.10 (1H, d, J=2.1Hz).

MS m/z: 300(M^+), 299, 285, 269, 258, 244.

Example 28

3-(3,3,7-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-71)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 2.26 (3H, s), 2.82 (2H, s), 6.99 (1H, s), 7.14-7.24 (2H, m), 7.58 (1H, ddd, J=1.3, 6.9, 8.2Hz), 7.76 (1H, ddd, J=1.3, 6.9, 8.2Hz), 7.89 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.38 (1H, d, J=2.0Hz), 9.09 (1H, d, J=2.0Hz).

MS m/z: 300(M^+), 299, 285, 269, 258, 244, 156.

Example 29

3-(5-vinyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-81)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.91 (2H, s), 5.45 (1H, d, J=11.0Hz), 5.72 (1H, t, J=17.2Hz), 7.02 (1H, dd, J=11.0, 17.2Hz), 7.13 (1H, d, J=7.6Hz), 7.23 (1H, t, J=7.6Hz), 7.58 (1H, t, J=8.2Hz), 7.62 (1H, d, J=7.6Hz), 7.76 (1H, t, J=8.2Hz), 7.87 (1H, d, J=7.6Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.08 (1H, d, J=2.1Hz).

MS m/z: 312(M^+), 311 297, 285, 269, 256.

Example 30

3-(5-ethynyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-85)

Physical property: amorphous.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (6H, s), 3.06 (2H, s), 3.36 (1H, s), 7.21 (2H, d, J=4.4Hz), 7.58-7.62 (2H, m), 7.77 (1H, ddd, J=1.6, 7.1, 7.7Hz), 7.88 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.07 (1H, d, J=2.2Hz).

MS m/z: 310(M^+), 295, 268, 254.

Example 31

3-(5-phenyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-89)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.25 (6H, s), 2.81 (2H, s), 7.21-7.32 (2H, m), 7.36-7.51 (6H, m), 7.58 (1H, ddd, *J*=1.4, 6.9, 7.9Hz), 7.58 (1H, ddd, *J*=1.4, 6.9, 8.5Hz), 7.89 (1H, d, *J*=7.9Hz), 8.17 (1H, d, *J*=8.5Hz), 8.42 (1H, d, *J*=2.1Hz), 9.15 (1H, d, *J*=2.1Hz).

MS m/z: 362(M^+), 347 306.

Example 32

3-[5-(2-thienyl)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-94)

Physical property: amorphous.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.29 (6H, s), 2.96 (2H, s), 7.10 (1H, dd, *J*=1.1, 3.8Hz), 7.17 (1H, dd, *J*=3.8, 4.9Hz), 7.22 (1H, dd, *J*=1.1, 7.7Hz), 7.26-7.29 (1H, m), 7.43 (1H, dd, *J*=1.1, 4.9Hz), 7.57-7.61 (2H, m), 7.77 (1H, ddd, *J*=1.6, 7.1, 8.2Hz), 7.89 (1H, d, *J*=7.6Hz), 8.17 (1H, d, *J*=8.2Hz), 8.40 (1H, d, *J*=2.2Hz), 9.13 (1H, d, *J*=2.2Hz).

MS m/z: 368(M^+), 353, 326, 312, 299, 285, 271.

Example 33

3-[5-(3-thienyl)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-95)

Physical property: amorphous.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.28 (6H, s), 2.88 (2H, s), 7.19-7.21 (2H, m), 7.26-7.27 (1H, m), 7.30 (1H, dd, *J*=1.1, 2.7Hz), 7.46 (1H, dd, *J*=2.7, 4.9Hz), 7.50 (1H, dd, *J*=1.1, 7.7Hz), 7.60 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.77 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.86 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.41 (1H, d, *J*=2.2Hz), 9.13 (1H, d, *J*=2.2Hz).

MS m/z: 368(M^+), 353, 326, 312, 285, 271.

Example 34

3-[5-(5-oxazolyl)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-97)
Melting point: 175-179°C.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 3.00 (2H, s), 7.26-7.31 (2H, m), 7.35 (1H, t, *J*=7.7Hz), 7.60 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.76-7.80 (2H, m), 7.88 (1H, d, *J*=8.2Hz), 8.05 (1H, s), 8.17 (1H, d, *J*=8.2Hz), 8.38 (1H, d, *J*=2.2Hz), 9.10 (1H, d, *J*=2.2Hz).
MS m/z: 353(M^+), 338, 311, 297, 269.

Example 35
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=oxime (Compound No. 1-98)
Melting point: 187-190°C.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (6H, s), 2.29 (3H,s), 2.89 (2H, s), 7.21 (1H, dd, *J*=1.4, 7.6Hz), 7.25 (1H, t, *J*=7.6Hz), 7.41 (1H, dd, *J*=1.4, 7.6Hz), 7.59 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.77 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.19 (1H, d, *J*=8.2Hz), 8.39 (1H, d, *J*=2.1Hz), 9.11 (1H, d, *J*=2.1Hz), 9.39 (1H, brs).
MS m/z: 343(M^+), 326, 310, 296, 285, 269.

Example 36
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-methyloxime (Compound No. 1-100)
Stereoisomer of compound of Example 37
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (6H, s), 2.24 (3H,s), 2.89 (2H, s), 4.03 (3H, s), 7.21 (1H, dd, *J*=1.4, 7.6Hz), 7.25-7.28 (1H, m), 7.42 (1H, dd, *J*=1.4, 7.6Hz), 7.59 (1H, t, *J*=8.2Hz), 7.76 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.1Hz), 9.08 (1H, d, *J*=2.1Hz).
MS m/z: 357(M^+), 342, 326, 310, 285, 269.

Example 37

1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-methyloxime (Compound No. 1-100)
Stereoisomer of compound of Example 36
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 2.20 (3H, s), 2.69 (2H, brs), 3.85 (3H, s), 7.21 (1H, d, *J*=7.6Hz), 7.22 (1H, d, *J*=7.6Hz), 7.29 (1H, t, *J*=7.6Hz), 7.59 (1H, t, *J*=8.2Hz), 7.77 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.39 (1H, d, *J*=2.1Hz), 9.12 (1H, d, *J*=2.1Hz).
MS m/z: 357(M^+), 342, 326, 310, 285, 269.

Example 38
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-ethyloxime (Compound No. 1-101)
Stereoisomer of compound of Example 39
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (6H, s), 1.37 (3H, t, *J*=6.9Hz), 2.26 (3H, s), 2.90 (2H, s), 4.27 (2H, q, *J*=6.9Hz), 7.20 (1H, dd, *J*=1.4, 7.6Hz), 7.26 (1H, t, *J*=7.6Hz), 7.43 (1H, dd, *J*=1.4, 7.6Hz), 7.59 (1H, t, *J*=8.2Hz), 7.76 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.1Hz), 9.08 (1H, d, *J*=2.1Hz).
MS m/z: 371(M^+), 356, 326, 310, 285, 269.

Example 39
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-ethyloxime (Compound No. 1-101)
Stereoisomer of compound of Example 38
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.23 (3H, t, *J*=6.9Hz), 1.32 (6H, s), 2.19 (3H, s), 2.69 (2H, brs), 4.10 (2H, q, *J*=6.9Hz), 7.19-7.23 (2H, m), 7.59 (1H, t, *J*=8.2Hz), 7.77 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.88 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.39 (1H, d, *J*=2.1Hz), 9.12 (1H, d,

J=2.1Hz).
MS m/z: 371(M^+), 356, 326, 310, 285, 269.

Example 40
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-t-butyloxime (Compound No. 1-103)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.30 (6H, s), 1.37 (9H, s), 2.24 (3H, s), 2.95 (2H, s), 7.18 (1H, d, J=7.6Hz), 7.26 (1H, t, J=7.6Hz) 7.44 (1H, dd, J=1.4, 7.6Hz), 7.59 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.76 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.37 (1H, d, J=2.1Hz), 9.10 (1H, d, J=2.1Hz).
MS m/z: 399(M^+), 384, 342, 326, 310, 285, 269.

Example 41
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-allyloxime (Compound No. 1-104)
Stereoisomer of compound of Example 42
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (6H, s), 2.20 (3H, s), 2.70 (2H, brs), 4.55 (2H, d, J=6.2Hz), 5.19 (1H, ddd, J=1.4, 2.7, 11.7Hz), 5.23 (1H, ddd, J=1.4, 2.7, 17.2Hz), 5.94-5.99 (1H, m), 7.21-7.23 (2H, m), 7.28 (1H, t, J=7.6Hz), 7.59 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.77 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.88 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.11 (1H, d, J=2.1Hz).

Example 42
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-allyloxime (Compound No. 1-104)
Stereoisomer of compound of Example 41
Physical property: 128-131°C.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (6H, s), 2.28 (3H, s), 2.90 (2H, s), 4.73 (2H, d, J=5.5Hz), 5.28 (1H, ddd, J=1.4, 2.7, 10.3Hz), 5.38 (1H, ddd, J=1.4, 2.7, 17.2Hz), 6.05-

6.13 (1H, m), 7.21 (1H, d, *J*=7.6Hz), 7.24-7.28 (1H, m), 7.42 (1H, dd, *J*=1.4, 7.6Hz), 7.59 (1H, t, *J*=8.2Hz), 7.78 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.1Hz), 9.08 (1H, d, *J*=2.1Hz).
MS m/z: 383(M^+), 368, 326, 310, 285, 269.

Example 43
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-benzyloxime (Compound No. 1-105)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.21 (6H, s), 2.29 (3H, s), 2.72 (2H, s), 5.25 (2H, s), 7.18-7.45 (8H, m), 7.58 (1H, t, *J*=7.6Hz), 7.76 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.86 (1H, d, *J*=7.6Hz), 8.15 (1H, d, *J*=8.2Hz), 8.39 (1H, d, *J*=2.1Hz), 9.06 (1H, d, *J*=2.1Hz).
MS m/z: 433(M^+), 418, 326, 310, 285, 269.

Example 44
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)ethanone=O-phenyloxime (Compound No. 1-106)
Stereoisomer mixture (1:2)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.28 (12Hx1/3, s), 1.33 (12Hx2/3, s), 2.35 (6Hx1/3, s), 2.48 (6Hx2/3, s), 2.74 (4Hx1/3, brs), 2.99 (4Hx2/3, s), 7.00-7.53 (16H, m), 7.58-7.62 (2H, m), 7.76-7.79 (2H, m), 7.88-7.89 (2H, m), 8.16-8.18 (2H, m), 8.39 (2Hx2/3, d, *J*=2.1Hz), 8.41 (2Hx1/3, d, *J*=2.1Hz), 9.11 (2Hx2/3, d, *J*=2.1Hz), 9.13 (2Hx1/3, d, *J*=2.1Hz).
MS m/z: 419(M^+), 404, 326, 310, 269, 255.

Example 45
3-(6-methoxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-108)
Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.83 (2H, s), 3.86 (3H, s), 6.71 (1H, dd, *J*=2.8, 8.2Hz) 6.80 (1H, d, *J*=2.8Hz), 7.14 (1H, d, *J*=8.2Hz), 7.58 (1H, t, *J*=8.2Hz), 7.75 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 7.87 (1H, d, *J*=7.6Hz), 8.15 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.1Hz), 9.09 (1H, d, *J*=2.1Hz).
MS m/z: 316(M^+), 315, 301, 285, 260.

Example 46
3-(8-methoxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-110)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.30 (6H, s), 2.79 (2H, s), 3.40 (3H, s), 6.82 (1H, d, *J*=8.9Hz) 6.89 (1H, d, *J*=7.6Hz), 7.39 (1H, t, *J*=7.6Hz), 7.53 (1H, t, *J*=8.2Hz), 7.69 (1H, t, *J*=8.2Hz), 7.80 (1H, d, *J*=8.2Hz), 8.10 (1H, d, *J*=8.9Hz), 8.83 (1H, d, *J*=2.1Hz), 8.85 (1H, d, *J*=2.1Hz).
MS m/z: 316(M^+), 315, 301, 285, 260.

Example 47
3-(5-amino-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-111)
Melting point: 181-184°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.37 (6H, s), 2.63 (2H, s), 3.76 (2H, brs), 6.65 (1H, dd, *J*=1.1, 7.7Hz), 6.84 (1H, dd, *J*=1.1, 7.7Hz), 7.05 (1H, t, *J*=7.7Hz), 7.57 (1H, ddd, *J*=1.3, 6.9, 8.2Hz), 7.74 (1H, ddd, *J*=1.3, 6.9, 8.5Hz), 7.86 (1H, dd, *J*=1.3, 8.2Hz), 8.15 (1H, d, *J*=8.5Hz), 8.34 (1H, d, *J*=2.3Hz), 9.07 (1H, d, *J*=2.3Hz).
MS m/z: 401(M^+), 286, 270, 259, 245.

Example 48
3-(5-acetylamino-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-112)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 2.27 (3H, s),

2.72 (2H, s), 7.08 (1H, d, J=7.7Hz), 7.20-7.26 (1H, m), 7.43 (1H, brs), 7.58 (1H, ddd, J=1.1, 6.9, 7.9Hz), 7.71-7.79 (2H, m), 7.86 (1H, d, J=7.9Hz), 8.15 (1H, d, J=8.5Hz), 8.35 (1H, d, J=2.1Hz), 9.08 (1H, d, J=2.1Hz).
MS m/z: 343(M^+), 328, 300, 285, 269, 245.

Example 49
3-(5-Formyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 3.37 (2H, s), 7.43 (1H, t, J=7.7Hz), 7.49 (1H, dd, J=1.1, 7.7Hz), 7.60 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.78 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.88 (1H, d, J=8.2Hz), 7.97 (1H, dd, J=1.1, 7.7Hz), 8.17 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.07 (1H, d, J=2.2Hz), 10.4 (1H, s).
MS m/z: 314(M^+), 299, 285, 269, 258, 244.

Example 50
3-(5-methylaminocarbonyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-115)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (3H, brs), 1.43 (3H, s), 2.19 (3H, s), 2.75 (2H, brs), 7.22 (1H, dd, J=1.6, 7.7Hz), 7.25 (1H, dd, J=1.6, 7.7Hz), 7.30 (1H, t, J=7.7Hz), 7.59 (1H, ddd, J=1.1, 6.6, 7.7Hz), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=7.7Hz), 8.19 (1H, d, J=8.2Hz), 8.41 (1H, d, J=2.2Hz), 8.94 (1H, brs), 9.12 (1H, d, J=2.2Hz).
MS m/z: 343(M^+), 326, 310, 285, 269.

Example 51
3-(5-cyano-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-116)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.37 (6H, s), 3.10 (2H, s), 7.37 (1H, t, J=7.9Hz), 7.48 (1H, dd, J=0.8, 7.9Hz), 7.61

(1H, ddd, J=1.2, 6.9, 8.2Hz), 7.73-7.82 (2H, m), 7.88 (1H, d, J=7.9Hz), 8.17 (1H, d, J=8.5Hz), 8.35 (1H, d, J=2.1Hz), 9.06 (1H, d, J=2.1Hz).
MS m/z: 311(M^+), 310, 296, 269, 255

Example 52
3-(5,6-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-117)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 2.91 (2H, s), 7.01-7.08 (2H, m), 7.57-7.62 (1H, m) 7.74-7.80 (1H, m), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.5Hz), 8.33 (1H, d, J=2.1Hz), 9.06 (1H, d, J=2.1Hz).
MS m/z: 322(M^+), 321, 307, 266.

Example 53
3-(5,6-dichloro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-126)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 3.02 (2H, s), 7.10 (1H, d, J=8.2Hz) 7.37 (1H, d, J=8.2Hz), 7.60 (1H, t, J=8.2Hz), 7.78 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.33 (1H, d, J=2.1Hz), 9.05 (1H, d, J=2.1Hz).
MS m/z: 355(M^+), 354, 353, 319, 298, 263.

Example 54
3-(6-fluoro-3,3,7-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-136)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 2.18 (3H, s), 2.81 (2H, s), 6.93 (1H, d, J=9.5Hz), 7.02 (1H, d, J=7.4Hz), 7.59 (1H, ddd, J=1.1, 6.9, 8.2Hz), 7.77 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.89 (1H, dd, J=1.1, 8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.06 (1H, d, J=2.1Hz).
MS m/z: 318(M^+), 317, 303, 262.

Example 55
3-(3-ethyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-137)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.01 (3H, t, *J*=7.4Hz), 1.25 (3H, s), 1.63 (1H, qd, *J*=13.0Hz, 7.4Hz), 1.73 (1H, qd, *J*=13.0Hz, 7.4Hz), 2.78 (1H, d, *J*=15.8Hz), 2.90 (1H, d, *J*=15.8Hz), 7.19-7.28 (3H, m), 7.38-7.43 (1H, m), 7.58 (1H, dd, *J*=7.9Hz, 7.1Hz), 7.76 (1H, dd, *J*=8.5Hz, 7.1Hz), 7.87 (1H, d, *J*=7.9Hz), 8.16 (1H, d, *J*=8.5Hz), 8.37 (1H, d, *J*=2.1Hz), 9.12 (1H, d, *J*=2.1Hz).
MS m/z: 300(M^+), 285, 271, 255, 245, 230, 202, 128.

Example 56
3-(3-ethyl-5-fluoro-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-147)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.03 (3H, t, *J*=7.4Hz), 1.26 (3H, s), 1.65 (1H, qd, *J*=14.0Hz, 7.4Hz), 1.74 (1H, qd, *J*=14.0Hz, 7.4Hz), 2.82 (1H, d, *J*=16.4Hz), 2.88 (1H, d, *J*=16.4Hz), 7.04 (1H, dd, *J*=6.6Hz, 2.1Hz), 7.14-7.23 (2H, m), 7.61 (1H, ddd, *J*=8.2Hz, 6.9Hz, 1.3Hz), 7.77 (1H, ddd, *J*=8.2Hz, 6.9Hz, 1.3Hz), 7.87 (1H, d, *J*=8.2Hz), 8.15 (1H, d, *J*=8.2Hz), 8.35 (1H, d, *J*=2.1Hz), 9.10 (1H, d, *J*=2.1Hz).
MS m/z: 318(M^+), 303, 289, 263, 248, 220, 134.

Example 57
3-(3-methyl-3-propyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-175)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm 0.92 (3H, t, *J*=7.5Hz), 1.26 (3H, s), 1.43-1.70 (4H, m), 2.78 (1H, d, *J*=15.8Hz), 2.92 (1H, d, *J*=15.8Hz), 7.19-7.27 (3H, m), 7.37-7.44 (1H, m), 7.58 (1H, ddd, *J*=8.2Hz, 7.1Hz, 1.3Hz), 7.76 (1H, ddd, *J*=8.2Hz, 7.1Hz, 1.3Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d,

J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.11 (1H, d, J=2.1Hz).
MS m/z: 314(M^+), 313, 299, 285, 271, 255, 230, 202, 128.

Example 58

3-(5-fluoro-3-methyl-3-propyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-185)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.93 (3H, t, J=6.3Hz), 1.28 (3H, s), 1.46-1.72 (4H, m), 2.82 (1H, d, J=16.4Hz), 2.89 (1H, d, J=16.4Hz), 7.03 (1H, dd, J=6.5Hz, 2.1Hz), 7.14-7.22 (2H, m), 7.59 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.77 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.87 (1H, dd, J=8.2Hz, 1.3Hz), 8.15 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.1Hz), 9.10 (1H, d, J=2.1Hz).

MS m/z: 331(M-1), 315, 303, 289, 275, 263, 248, 149.

Example 59

3-(3-isopropyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-213)

Physical property: gum.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.98 (3H, d, J=6.8Hz), 1.11 (3H, d, J=6.8Hz), 1.13 (3H, s), 1.94 (1H, hept, J=6.8Hz), 2.74 (1H, d, J=15.8Hz), 2.95 (1H, d, J=15.8Hz), 7.21-7.28 (3H, m), 7.37-7.44 (1H, m), 7.58 (1H, t, J=8.2Hz), 7.76 (1H, t, J=8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.15 (1H, d, J=2.1Hz).

MS m/z: 314(M^+), 299, 271, 255, 230.

Example 60

3-(3-isobutyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-251)

Physical property: gum.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.93 (3H, d, J=6.6Hz), 1.01 (3H, d, J=6.6Hz), 1.34 (3H, s), 1.40-1.62 (2H, m), 1.96 (1H, bhept, J=6.6Hz), 2.81 (1H, d, J=15.8Hz), 2.89 (1H, d, J=15.8Hz), 7.22-7.27 (3H, m), 7.37-7.44 (1H, m), 7.57 (1H,

ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.75 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.86 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.1Hz), 9.15 (1H, d, J=2.1Hz).
MS m/z: 328(M^+), 313, 285, 271, 257, 245, 230, 128.

Example 61
3-(3-t-butyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-289)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.97 (3H, s), 1.10 (9H, s), 2.67 (1H, d, J=15.6Hz), 3.14 (1H, d, J=15.6Hz), 7.20-7.30 (3H, m), 7.37-7.42 (1H, m), 7.58 (1H, t, J=8.4Hz), 7.75 (1H, t, J=8.4Hz), 7.87 (1H, d, J=8.4Hz), 8.17 (1H, d, J=8.4Hz), 8.36 (1H, s), 9.23 (1H, s).
MS m/z: 328(M^+), 313, 271, 255, 230, 142, 128, 115.

Example 62
3-(3-isopentyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-307)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.86 (3H, d, J=6.6Hz), 0.89 (3H, d, J=6.6Hz), 1.25 (3H, s), 1.25-1.75 (5H, m), 2.79 (1H, d, J=15.8Hz), 2.88 (1H, d, J=15.8Hz), 7.21-7.27 (3H, m), 7.37-7.43 (1H, m), 7.58 (1H, ddd, J=7.9Hz, 6.9Hz, 1.3Hz), 7.76 (1H, ddd, J=8.5Hz, 6.9Hz, 1.3Hz), 7.87 (1H, d, J=7.9Hz), 8.16 (1H, d, J=8.5Hz), 8.36 (1H, d, J=2.1Hz), 9.12 (1H, d, J=2.1Hz).
MS m/z: 342(M^+), 341, 327, 285, 271, 257, 245, 230, 202, 128.

Example 63
3-(3,3-diethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-345)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.96 (6H, t, J=7.4Hz), 1.53-1.74 (4H, m), 2.82 (2H, s), 7.20-7.25 (3H, m), 7.35-7.41

(1H, m), 7.56 (1H, t, J=8.3Hz), 7.73 (1H, t, J=8.3Hz), 7.85 (1H, d, J=8.3Hz), 8.16 (1H, d, J=8.3Hz), 8.35 (1H, s), 9.16 (1H, s).
MS m/z: 314(M^+), 285, 255, 230, 128, 116.

Example 64
3-(3-ethyl-3-isobutyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-383)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.90 (3H, d, J=6.0Hz), 0.98 (3H, t, J=7.4Hz), 1.00 (3H, d, J=6.0Hz), 1.44 (1H, dd, J=14.0Hz, 6.0Hz), 1.53 (1H, dd, J=14.0Hz, 6.0Hz), 1.64-1.97 (3H, m), 2.82 (1H, d, J=15.8Hz), 2.85 (1H, d, J=15.8Hz), 7.22-7.26 (3H, m), 7.37-7.44 (1H, m), 7.58 (1H, dd, J=8.2Hz, 7.1Hz), 7.76 (1H, dd, J=8.2Hz, 7.1Hz), 7.86 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, s), 9.16 (1H, s).
MS m/z: 342(M^+), 341, 327, 313, 299, 285, 271, 257, 245, 230, 202, 128.

Example 65
3-(3,3-dipropyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-384)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.26 (6H, d, J=7.1Hz), 1.31-1.67 (8H, m), 2.83 (2H, s), 7.20-7.26 (3H, m), 7.36-7.43 (1H, m), 7.58 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.76 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.87 (1H, d, J=8.2Hz), 8.15 (1H, d, J=8.2Hz), 8.34 (1H, d, J=2.1Hz), 9.12 (1H, d, J=2.1Hz).
MS m/z: 342(M^+), 341, 313, 299, 285, 271, 257, 230, 149, 128.

Example 66
3-(3-chloromethyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-385)

Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.33 (3H, s), 2.91 (1H, d, *J*=16.1Hz), 3.14 (1H, d, *J*=16.1Hz), 3.65 (1H, d, *J*=10.8Hz), 3.76 (1H, d, *J*=10.8Hz), 7.23-7.34 (3H, m), 7.43-7.49 (1H, m), 7.60 (1H, ddd, *J*=8.5Hz, 7.1Hz, 1.3Hz), 7.78 (1H, ddd, *J*=8.5Hz, 7.1Hz, 1.3Hz), 7.88 (1H, d, *J*=8.5Hz), 8.17 (1H, d, *J*=8.5Hz), 8.38 (1H, d, *J*=2.1Hz), 9.11 (1H, d, *J*=2.1Hz).
MS m/z: 340(M^+), 311, 269, 255, 242, 230, 149.

Example 67
3-(3-dichloromethyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-386)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.37 (3H, s), 3.02 (1H, d, *J*=15.8Hz), 3.41 (1H, d, *J*=15.8Hz), 6.01 (1H, s), 7.28-7.37 (3H, m), 7.44-7.51 (1H, m), 7.60 (1H, dd, *J*=8.2Hz, 6.9Hz), 7.78 (1H, dd, *J*=8.2Hz, 6.9Hz), 7.89 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.39 (1H, d, *J*=2.1Hz), 9.14 (1H, d, *J*=2.1Hz).
MS m/z: 354(M^+), 319, 283, 271, 255, 149.

Example 68
3-(3-trifluoromethyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-387)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.63 (3H, s), 3.78 (1H, d, *J*=16.9Hz), 4.50 (1H, d, *J*=16.9Hz), 7.33-7.44 (2H, m), 7.55-7.65 (3H, m), 7.79 (1H ddd, *J*=8.2Hz, 7.1Hz, 1.3Hz), 7.87 (1H, d, *J*=8.2Hz), 8.18 (1H, d, *J*=8.2Hz), 8.35 (1H, d, *J*=2.1Hz), 9.12 (1H, d, *J*=2.1Hz).
MS m/z: 340(M^+), 311, 269, 255, 242, 230, 149.

Example 69
3-(3-trifluoroethyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-424)
Physical property: gum.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.44 (3H, s), 2.41 (1H, qd, *J*=15.1Hz, 11.6Hz), 2.60 (1H, qd, *J*=15.1Hz, 11.6Hz), 2.98 (1H, d, *J*=15.0Hz), 3.06 (1H, d, *J*=15.0Hz), 7.24-7.32 (3H, m), 7.43-7.49 (1H, m), 7.59 (1H, dd, *J*=8.2Hz, 6.9Hz), 7.78 (1H, dd, *J*=8.2Hz, 6.9Hz), 7.88 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.38 (1H, d, *J*=1.8Hz), 9.13 (1H, d, *J*=1.8Hz).
MS m/z: 354(M^+), 340, 286, 272, 256, 231, 136.

Example 70
3-[3,3-di(chloromethyl)-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-212)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 3.15 (2H, s), 3.68 (2H, d, *J*=11.1Hz), 3.87 (2H, d, *J*=11.1Hz), 7.30-7.38 (3H, m), 7.45-7.53 (1H, m), 7.61 (1H, ddd, *J*=8.2Hz, 6.9Hz, 1.3Hz), 7.79 (1H, ddd, *J*=8.2Hz, 6.9Hz, 1.3Hz), 7.90 (1H, dd, *J*=8.2Hz, 1.3Hz), 8.17 (1H, d, *J*=8.2Hz), 8.41 (1H, d, *J*=2.1Hz), 9.14 (1H, d, *J*=2.1Hz).
MS m/z: 354(M^+), 319, 305, 283, 269, 255, 229.

Example 71
3-(3-methyl-3-phenyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-464)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.60 (3H, s), 3.18 (1H, d, *J*=15.8Hz), 3.30 (1H, d, *J*=15.8Hz), 7.17-7.44 (7H, m), 7.57-7.63 (3H, m), 7.78 (1H, ddd, *J*=1.3, 6.9, 8.2Hz), 7.90 (1H, dd, *J*=1.1, 7.9Hz), 8.18 (1H, d, *J*=8.2Hz), 8.47 (1H, d, *J*=2.1Hz), 9.26 (1H, d, *J*=2.1Hz).
MS m/z: 348(M^+), 333 271, 245, 230.

Example 72
3-[3-(4-fluorophenyl)-3-methyl-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-502)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.57 (3H, s), 3.17 (1H, d,

J=15.8Hz), 3.24 (1H, d, J=15.8Hz), 6.99 (2H, t, J=8.7Hz), 7.21-7.45 (4H, m), 7.56-7.63 (3H, m), 7.78 (1H, ddd, J=8.2Hz, 6.9Hz, 1.0Hz), 7.90 (1H, d, J=8.2Hz), 8.19 (1H, d, J=8.2Hz), 8.46 (1H, d, J=2.1Hz), 9.25 (1H, d, J=2.1Hz).
MS m/z: 367(M+1), 352, 272, 246, 231, 184.

Example 73
3-[3-(4-chlorophenyl)-3-methyl-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-540)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.56 (3H, s), 3.15 (1H, d, J=15.8Hz), 3.25 (1H, d, J=15.8Hz), 7.26-7.45 (6H, m), 7.56 (2H, d, J=8.7Hz), 7.60 (1H, ddd, J=8.2Hz, 6.9Hz, 1.0Hz), 7.78 (1H, ddd, J=8.2Hz, 6.9Hz, 1.0Hz), 7.90 (1H, d, J=8.2Hz), 8.19 (1H, d, J=8.2Hz), 8.46 (1H, d, J=2.1Hz), 9.25 (1H, d, J=2.1Hz).
MS m/z: 383(M+1), 368, 272, 246, 231, 150.

Example 74
3-(3-trifluoromethyl-3-phenyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-578)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 3.57 (1H, d, J=15.8Hz), 3.64 (1H, d, J=15.8Hz), 7.18-7.30 (5H, m), 7.34-7.42 (2H, m), 7.56 (2H, d, J=7.1Hz), 7.63 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.79 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.94 (1H, d, J=8.2Hz), 8.19 (1H, d, J=8.2Hz), 8.54 (1H, d, J=2.1Hz), 9.29 (1H, d, J=2.1Hz).
MS m/z: 402(M^+), 361, 333, 325, 255, 230, 166, 128.

Example 75
3-[3-chloromethyl-3-(4-fluorophenyl)-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-594)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 3.46 (1H, d, J=16.1Hz), 3.52 (1H, d, J=16.1Hz), 3.94 (2H, s), 6.98 (2H, t, J=8.7Hz),

7.21-7.26 (2H, m), 7.36-7.47 (2H, m), 7.52-7.65 (3H, m), 7.80 (1H, ddd, J=8.5Hz, 7.1Hz, 1.3Hz), 7.91 (1H, d, J=8.5Hz), 8.18 (1H, d, J=8.5Hz), 8.44 (1H, d, J=1.8Hz), 9.24 (1H, d, J=1.8Hz).
MS m/z: 400(M^+), 365, 351, 245, 230, 175, 128.

Example 76
3-[3-chloromethyl-3-(4-chlorophenyl)-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-632)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 3.46 (1H, d, J=16.1Hz), 3.51 (1H, d, J=16.1Hz), 3.93 (2H, s), 7.22-7.28 (4H, m), 7.36-7.53 (4H, m), 7.62 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.80 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.91 (1H, d, J=8.2Hz), 8.18 (1H, d, J=8.2Hz), 8.44 (1H, d, J=2.1Hz), 9.24 (1H, d, J=2.1Hz).
MS m/z: 416(M^+), 381, 367, 255, 245, 230, 165, 128.

Example 77
3-[3-methyl-3-(3-pyridyl)-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-670)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.61 (3H, s), 3.23 (1H, d, J=15.6Hz), 3.28 (1H, d, J=15.6Hz), 7.22-7.47 (5H, m), 7.61 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.79 (1H, ddd, J=8.2Hz, 6.9Hz, 1.3Hz), 7.90 (1H, dd, J=8.2Hz, 1.3Hz), 7.99 (1H, dd, J=8.2Hz, 2.4Hz, 1.6Hz), 8.19 (1H, d, J=8.2Hz), 8.45 (1H, d, J=1.6Hz), 8.47 (1H, dd, J=2.4Hz, 1.6Hz), 8.85 (1H, d, J=2.1Hz), 9.25 (1H, d, J=2.1Hz).
MS m/z: 349(M^+), 334, 305, 271, 245, 230, 195.

Example 78
3-[3-methyl-3-(4-pyridyl)-3,4-dihydroisoquinolin-1-yl]quinoline (Compound No. 1-671)
Physical property: gum.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.55 (3H, s), 3.03 (1H, d,

J=13.8Hz), 3.18 (1H, d, *J*=13.8Hz), 7.27-7.46 (4H, m), 7.55 (2H, d, *J*=6.3Hz), 7.61 (1H, ddd, *J*=8.2Hz, 6.9Hz, 1.3Hz), 7.79 (1H, ddd, *J*=8.2Hz, 6.9Hz, 1.3Hz), 7.91 (1H, d, *J*=8.2Hz), 8.19 (1H, d, *J*=8.2Hz), 8.46 (1H, d, *J*=2.1Hz), 8.55 (2H, d, *J*=6.3Hz), 9.26 (1H, d, *J*=2.1Hz).
MS m/z: 349(M^+), 334, 271, 245, 230, 175.

Example 79
3-(3-Benzyl-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-672)
Physical property: gum.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.32 (3H, s), 2.74 (1H, d, *J*=15.8Hz), 2.84 (1H, d, *J*=13.0Hz), 2.87 (1H, d, *J*=15.8Hz), 2.93 (1H, d, *J*=13.0Hz), 7.18-7.31 (8H, m), 7.41-7.47 (1H, m), 7.59 (1H, dd, *J*=8.2Hz, 6.9Hz), 7.77 (1H, dd, *J*=8.2Hz, 6.9Hz), 7.88 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.39 (1H, d, *J*=2.1Hz), 9.16 (1H, d, *J*=2.1Hz).
MS m/z: 362(M^+), 361, 341, 313, 299, 271, 255, 230.

Example 80
1'-quinolin-3-yl-4'H-spiro[cyclopentane-1,3'-isoquinoline] (Compound No. 1-710)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.55-1.96 (8H, m), 2.91 (2H, s), 7.19-7.26 (3H, m), 7.29-7.38 (1H, m), 7.58 (1H, t, *J*=8.5Hz), 7.76 (1H, t, *J*=8.5Hz), 7.87 (1H, d, *J*=8.5Hz), 8.15 (1H, d, *J*=8.5Hz), 8.37 (1H, d, *J*=2.3Hz), 9.13 (1H, d, *J*=2.3Hz).
MS m/z: 312(M^+), 311, 283, 270, 230, 149, 128, 115.

Example 81
5'-fluoro-1'-quinolin-3-yl-4'H-spiro[cyclopentane-1,3'-isoquinoline] (Compound No. 1-720)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.74-1.98 (8H, m), 2.93 (2H, s), 7.04 (1H, d, *J*=7.6Hz), 7.17-7.23 (2H, m), 7.59 (1H, t,

J=8.2Hz) 7.77 (1H, ddd, J=1.4, 6.9, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.1Hz), 9.12 (1H, d, J=2.1Hz).
MS m/z: 330(M^+), 301, 288, 273, 248, 149.

Example 82
6'-fluoro-1'-quinolin-3-yl-4'H-spiro[cyclopentane-1,3'-isoquinoline] (Compound No. 1-721)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.70-1.99 (8H, m), 2.89 (2H, s), 6.91 (1H, td, J=2.6, 8.6Hz), 6.99 (1H, dd, J=2.3, 8.6Hz), 7.21 (1H, dd, J=5.6, 8.6Hz), 7.58 (1H, t, J=7.9Hz) 7.75 (1H, t, J=8.2Hz), 7.86 (1H, d, J=7.9Hz), 8.15 (1H, d, J=8.2Hz), 8.34 (1H, d, J=2.0Hz), 9.11 (1H, d, J=2.0Hz).
MS m/z: 330(M^+), 301, 288, 273, 248, 149.

Example 83
7'-fluoro-1'-quinolin-3-yl-4'H-spiro[cyclopentane-1,3'-isoquinoline] (Compound No. 1-722)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.70-1.98 (8H, m), 2.87 (2H, s), 6.94 (1H, dd, J=2.7, 8.9Hz), 7.12 (1H, td, J=2.7, 8.2Hz), 7.24-7.26 (1H, m), 7.60 (1H, t, J=8.2Hz), 7.78 (1H, t, J=8.2Hz), 7.89 (1H, d, J=8.2Hz), 8.17 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.1Hz), 9.13 (1H, d, J=2.1Hz).
MS m/z: 330(M^+), 301, 288, 273, 248.

Example 84
6'-fluoro-1'-(4-methylquinoline)-3-yl-4'H-spiro[cyclopentane-1,3'-isoquinoline] (Compound No. 1-723)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.77-1.96 (8H, m), 2.56 (3H, s), 2.96 (2H, s), 6.69-6.85 (2H, m), 6.98(1H, dd, J=2.1, 8.9Hz), 7.61 (1H, ddd, J=1.4, 6.9, 7.6Hz) 7.75 (1H, ddd, J=1.4, 6.9, 8.2Hz), 8.07 (1H, d, J=7.6Hz), 8.14 (1H, d, J=8.2Hz), 8.73 (1H, s).

MS m/z: 344(M^+), 343, 329, 170, 156, 128.

Example 85

5'-chloro-1'-quinolin-3-yl-4'H-spiro[cyclopentane-1,3'-isoquinoline] (Compound No. 1-724)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.69-2.00 (8H, m), 3.02 (2H, s), 7.12-7.22 (2H, m), 7.48 (1H, dd, *J*=1.3, 7.7Hz) 7.59 (1H, ddd, *J*=1.3, 6.9, 7.9Hz) 7.77 (1H, ddd, *J*=1.3, 6.9, 8.2Hz), 7.87 (1H, d, *J*=7.9Hz), 8.16 (1H, d, *J*=8.2Hz), 8.34 (1H, d, *J*=2.1Hz), 9.09 (1H, d, *J*=2.1Hz).

MS m/z: 346(M^+), 311, 304, 279, 264, 231.

Example 86

1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-749)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.51-1.54 (6H, m), 1.74-1.81 (4H, m), 2.85 (2H, s), 7.23-7.28 (3H, m), 7.37-7.42 (1H, m), 7.56 (1H, t, *J*=8.0Hz), 7.75 (1H, t, *J*=8.0Hz), 7.86 (1H, d, *J*=8.0Hz), 8.15 (1H, d, *J*=8.0Hz), 8.36 (1H, d, *J*=2.0Hz), 9.18 (1H, d, *J*=2.0Hz).

MS m/z: 326(M^+), 283, 230, 128, 115.

Example 87

1'-(4-methylquinoline)-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-755)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.54-1.80 (10H, m), 2.61 (3H, s), 2.95 (2H, s), 6.84 (1H, d, *J*=7.6Hz), 7.10-7.36 (3H, m), 7.60 (1H, t, *J*=8.0Hz), 7.73 (1H, t, *J*=8.0Hz), 8.06 (1H, d, *J*=8.0Hz), 8.14 (1H, d, *J*=8.0Hz), 8.77 (1H, s).

MS m/z: 340(M^+), 339, 325, 311, 297, 285, 257, 244.

Example 88

5'-fluoro-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-

isoquinoline] (Compound No. 1-759)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.55-1.83 (10H, m), 2.88 (2H, s), 7.07 (1H, d, *J*=6.6Hz), 7.19-7.27 (2H, m), 7.60 (1H, t, *J*=8.0Hz), 7.78 (1H, t, *J*=8.0Hz), 7.88 (1H, d, *J*=8.0Hz), 8.17 (1H, d, *J*=8.0Hz), 8.36 (1H, d, *J*=2.0Hz), 9.16 (1H, d, *J*=2.0Hz).
MS m/z: 344(M^+), 301, 288, 275, 263, 248, 220.

Example 89
6'-fluoro-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-760)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.51-1.85 (10H, m), 2.84 (2H, s), 6.88-7.00 (2H, m), 7.21-7.26 (1H, m), 7.59 (1H, t, *J*=8.4Hz), 7.76 (1H, t, *J*=8.4Hz), 7.87 (1H, d, *J*=8.4Hz), 8.16 (1H, d, *J*=8.4Hz), 8.35 (1H, s), 9.14 (1H, s).
MS m/z: 344(M^+), 315, 301, 288, 248, 220.

Example 90
7'-fluoro-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-761)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.55-1.81 (10H, m), 2.81 (2H, s), 6.95-7.26 (3H, m), 7.60 (1H, t, *J*=8.0Hz), 7.77 (1H, t, *J*=8.0Hz), 7.88 (1H, d, *J*=8.0Hz), 8.17 (1H, d, *J*=8.0Hz), 8.36 (1Hs), 9.17 (1H, s).
MS m/z: 344(M^+), 315, 301, 288, 275, 262, 248, 220, 156, 128.

Example 91
6'-fluoro-1'-(4-methylquinoline)-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-762)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.55-1.80 (10H, m), 2.55 (3H, s), 2.92 (2H, s), 6.80-6.84 (2H, m), 6.97 (1H, d, *J*=7.3Hz),

7.60 (1H, t, J=8.2Hz), 7.74 (1H, t, J=8.2Hz), 8.06 (1H, d, J=8.2Hz), 8.13 (1H, d, J=8.2Hz), 8.74 (1H, s).
MS m/z: 358(M^+), 357, 343, 315, 168, 140, 129, 114.

Example 92
6'-chloro-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-764)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.47-1.85 (10H, m), 2.83 (2H, s), 7.16-7.27 (3H, m), 7.59 (1H, t, J=8.3Hz), 7.76 (1H, t, J=8.3Hz), 7.87 (1H, d, J=8.3Hz), 8.16 (1H, d, J=8.3Hz), 8.34 (1H, d, J=2.0Hz), 9.14 (1H, d, J=2.0Hz).
MS m/z: 362(M^++2), 360(M^+), 317, 304, 264, 141, 128, 115.

Example 93
7'-chloro-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-765)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.55-1.87 (12H, m), 2.85 (2H, s), 7.20-7.26 (3H, m), 7.37-7.39 (1H, m), 7.58 (1H, t, J=8.6Hz), 7.75 (1H, t, J=8.6Hz), 7.87 (1H, d, J=8.6Hz), 8.15 (1H, d, J=8.6Hz), 8.36 (1H, d, J=2.0Hz), 9.14 (1H, d, J=2.0Hz).
MS m/z: 362(M^++2), 360(M^+), 317, 304, 264, 229, 128, 115.

Example 94
6'-chloro-1'-(4-methylquinoline)-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-766)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.55-1.81 (10H, m), 2.54 (3H, s), 2.91 (2H, s), 6.78 (1H, d, J=8.2Hz), 7.10-7.29 (2H, m), 7.61 (1H, t, J=8.2Hz), 7.74 (1H, t, J=8.2Hz), 8.07 (1H, d, J=8.2Hz), 8.14 (1H, d, J=8.2Hz), 8.74 (1H, s).
MS m/z: 376(M^++2), 374(M^+), 373, 357, 331, 170, 141, 115.

Example 95

6'-bromo-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-764)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.50-1.84 (10H, m), 2.81 (2H, s), 7.11 (1H, d, *J*=8.1Hz), 7.38 (1H, d, *J*=8.1Hz), 7.42 (1H, s), 7.57 (1H, t, *J*=8.3Hz), 7.75 (1H, t, *J*=8.3Hz), 7.85 (1H, d, *J*=8.3Hz), 8.15 (1H, d, *J*=8.3Hz), 8.33 (1H, d, *J*=2.0Hz), 9.15 (1H, d, *J*=2.0Hz).
MS m/z: 406(M^++2), 404(M^+), 375, 361, 349, 325, 268, 229, 141, 128, 115.

Example 96
5'-methyl-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-771)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.52-1.86 (10H, m), 2.39 (3H, s), 2.80 (2H, s), 7.06-7.16 (2H, m), 7.26-7.30 (1H, m), 7.57 (1H, t, *J*=8.0Hz), 7.75 (1H, t, *J*=8.0Hz), 7.86 (1H, d, *J*=8.0Hz), 8.15 (1H, d, *J*=8.0Hz), 8.35 (1H, s), 9.14 (1H, s).
MS m/z: 340(M^+), 297, 284, 244, 149, 128, 115.

Example 97
7'-methyl-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-773)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.51-1.84 (10H, m), 2.27 (3H, s), 2.81 (2H, s), 7.03 (1H, s), 7.16 (1H, d, *J*=7.6Hz), 7.26 (1H, d, *J*=7.6Hz), 7.58 (1H, t, *J*=8.0Hz), 7.76 (1H, t, *J*=8.0Hz), 7.89 (1H, d, *J*=8.0Hz), 8.16 (1H, d, *J*=8.0Hz), 8.38 (1H, s), 9.15 (1H, s).
MS m/z: 340(M^+), 325, 311, 297, 284, 271, 258, 244, 142, 128.

Example 98
6'-methyl-1'-(4-methylquinoline)-3-yl-4'H-

spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-774)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.53-1.80 (10H, m), 2.35 (3H, s), 2.55 (3H, s), 2.88 (2H, s), 6.72 (1H, d, *J*=7.9Hz), 6.92 (1H, d, *J*=7.9Hz), 7.06 (1H, s), 7.58 (1H, t, *J*=8.2Hz), 7.71 (1H, t, *J*=8.2Hz), 8.05 (1H, d, *J*=8.2Hz), 8.13 (1H, d, *J*=8.2Hz), 8.76 (1H, s).
MS m/z: 354(M^+), 353, 339, 311, 298, 168, 149, 115.

Example 99
6'-cyano-1'-quinolin-3-yl-4'H-spiro[cyclohexane-1,3'-isoquinoline] (Compound No. 1-786)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.47-1.84 (10H, m), 2.88 (2H, s), 7.37 (1H, d, *J*=8.2Hz), 7.55-7.78 (3H, m), 7.81 (1H, t, *J*=8.0Hz), 7.88 (1H, d, *J*=8.0Hz), 8.16 (1H, d, *J*=8.0Hz), 8.33 (1H, d, *J*=2.0Hz), 9.14 (1H, d, *J*=2.0Hz).
MS m/z: 351(M^+), 322, 308, 295, 270, 255, 227.

Example 100
1'-quinolin-3-yl-4'H-spiro[cycloheptane-1,3'-isoquinoline] (Compound No. 1-789)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.55-1.87 (12H, m), 2.85 (2H, s), 7.20-7.26 (3H, m), 7.37-7.39 (1H, m), 7.58 (1H, t, *J*=8.6Hz), 7.75 (1H, t, *J*=8.6Hz), 7.87 (1H, d, *J*=8.6Hz), 8.15 (1H, d, *J*=8.6Hz), 8.36 (1H, d, *J*=2.0Hz), 9.14 (1H, d, *J*=2.0Hz).
MS m/z: 340(M^+), 283, 271, 230, 149, 128, 115.

Example 101
1'-quinolin-3-yl-4'H-spiro[(3-methylcyclopentane)-1,3'-isoquinoline] (Compound No. 1-774)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.06-2.89 (10H, s), 2.93 (2H, s), 7.19-7.307 (3H, m), 7.38-7.44 (1H, m), 7.58 (1H, t,

J=8.3Hz), 7.76 (1H, t, J=8.3Hz), 7.88 (1H, d, J=8.3Hz), 8.17 (1H, d, J=8.3Hz), 8.37 (1H, s), 9.14 (1H, s).
MS m/z: 326(M^+), 325, 311, 297, 283, 271, 230, 128, 115.

Example 102
1-quinolin-3-yl-2',3',5',6'-tetrahydro-4H-spiro[isoquinoline-3,4'-pyran] (Compound No. 1-791)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.72-1.76 (4H, m), 2.84 (2H, s), 3.76-3.83 (2H, m), 4.05-4.14 (2H, m), 7.19-7.30 (3H, m), 7.40-7.45 (1H, m), 7.58 (1H, t, J=8.2Hz), 7.76 (1H, t, J=8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.15 (1H, d, J=8.2Hz), 8.38 (1H, s), 9.20 (1H, s).
MS m/z: 328(M^+), 299, 283, 271, 255, 230, 128, 115.

Example 103
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline hydrochloride (Compound No. 1-793)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.78 (6H, s), 3.31 (2H, brs), 7.26-7.47 (1H, m) 7.54 (2H, brs), 7.91 (1H, brs), 8.12 (1H, brs), 8.37 (1H, brs), 8.62 (1H, brs), 9.41 (1H, brs), 9.87 (1H, brs).

Example 104
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline sulfate (Compound No. 1-796)
Physical property: amorphous.
^{1}H-NMR (500MHz, D_2O) δ ppm: 1.51 (6H, s), 3.26 (2H, s), 7.25 (1H, d, J=7.6Hz) 7.42 (1H, td, J=5.5, 7.6Hz), 7.58 (1H, t, J=8.2Hz), 7.95 (1H, t, J=8.2Hz), 8.19 (1H, ddd, J=1.4, 6.9, 8.2Hz), 8.25 (1H, d, J=8.9Hz), 8.26 (1H, d, J=8.9Hz), 9.27 (1H, d, J=2.1Hz), 9.29 (1H, d, J=2.1Hz).

Example 105
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-

yl)quinoline nitrate (Compound No. 1-799)
Melting point: 190-193°C.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.63 (6H, s), 3.18 (2H, s), 7.29 (1H, d, *J*=7.6Hz) 7.45-7.53 (2H, m), 7.75-7.78 (1H, m), 7.96-7.99 (1H, m), 8.10 (1H, d, *J*=8.2Hz), 8.26 (1H, d, *J*=8.9Hz), 9.06-9.07 (2H, m).

Example 106
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline oxalate (Compound No. 1-802)
Physical property: amorphous.
^{1}H-NMR (500MHz, CDCl3) δ ppm: 1.48 (6H, s), 3.03 (2H, s), 7.14 (1H, dd, *J*=3.4, 5.5Hz), 7.33-7.35 (2H, m), 7.70 (1H, t, *J*=8.2Hz), 7.89 (1H, t, *J*=8.2Hz), 7.96 (1H, t, *J*=8.2Hz), 8.28 (1H, d, *J*=8.2Hz), 8.68 (1H, s), 9.12 (1H, d, *J*=1.4Hz).

Example 107
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline methanesulfonate (Compound No. 1-804)
Melting point: 227-230°C.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.77 (6H, s), 2.76 (6H, s), 3.31 (2H, s), 7.26-7.27 (1H, m) 7.51-7.59 (2H, m), 7.95 (1H, t, *J*=8.2Hz), 8.16 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 8.42 (1H, d, *J*=8.2Hz), 8.55 (1H, d, *J*=8.2Hz), 9.40 (1H, s), 9.91 (1H, s).

Example 108
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline salicylate (Compound No. 1-806)
Physical property: oil.
^{1}H-NMR (500MHz, CDCl3) δ ppm: 1.42 (6H, s), 2.93 (2H, s), 6.83-6.86 (1H, m) 6.94 (1H, d, *J*=8.2Hz), 7.05 (1H, d, *J*=6.9Hz), 7.22-7.29 (2H, m), 7.39-7.45 (1H, m), 7.64 (1H, dd, *J*=6.9, 8.2Hz), 7.83 (1H, ddd, *J*=1.4, 6.9, 8.9Hz), 7.88-7.90 (1H, m), 7.91 (1H, d, *J*=8.2Hz), 8.29 (1H, d, *J*=8.2Hz), 8.54 (1H, d, *J*=2.1Hz), 9.18 (1H, d, *J*=2.1Hz).

Example 109

3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline fumarate (Compound No. 1-807)

Melting point: 146-149°C.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.26 (6H, s), 2.84 (2H, s), 6.63 (4H, s), 7.14 (1H, dd, *J*=1.4, 7.6Hz), 7.35-7.43 (2H, m), 7.68 (1H, t, *J*=8.2Hz), 7.84 (1H, ddd, *J*=1.4, 6.9, 8.2Hz), 8.09-8.12 (2H, m), 8.50 (1H, d, *J*=2.1Hz), 9.04 (1H, d, *J*=2.1Hz), 13.13 (2H, br s)

Example 110

3-(5-fluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-36)

Melting point: 142-144°C.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.27 (3H, s), 1.35 (3H, s), 2.74 (1H, d, *J*=16.5Hz), 2.86 (1H, d, *J*=16.5Hz), 5.35 (1H, s), 6.51 (1H, d, *J*=7.9Hz), 6.87-7.03 (2H, m), 7.54 (1H, t, *J*=7.9Hz), 7.70 (1H, t, *J*=7.9Hz), 7.79 (1H, d, *J*=7.9Hz), 8.09 (1H, d, *J*=2.1Hz), 8.10 (1H, d, *J*=7.9Hz), 8.84 (1H, d, *J*=2.1Hz).

MS m/z: 306(M^+), 291, 248, 220, 178, 162.

Example 111

3-(5-fluoro-1,3,3-trimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-37)

Melting point: 148-150°C.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.01 (3H, s), 1.39 (3H, s), 2.15 (3H, s), 2.84 (1H, d, *J*=16.3Hz), 2.86 (1H, d, *J*=16.3Hz), 4.59 (1H, s), 6.43 (1H, d, *J*=7.7Hz), 6.78-6.91 (2H, m), 7.53 (1H, t, *J*=8.2Hz), 7.68 (1H, t, *J*=8.2Hz), 7.80 (1H, d, *J*=8.2Hz), 8.06 (1H, d, *J*=1.8Hz), 8.08 (1H, d, *J*=8.2Hz), 8.81 (1H, d, *J*=1.8Hz).

MS m/z: 320(M^+), 305, 248, 192, 176, 161.

Example 112

3-(5-chloro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-40)
Melting point: 129-131°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.26 (3H, s), 1.35 (3H, s), 2.78 (1H, d, *J*=16.5Hz), 2.92 (1H, d, *J*=16.5Hz), 5.34 (1H, s), 6.63 (1H, d, *J*=8.2Hz), 6.94 (1H, t, *J*=8.2Hz), 7.25 (1H, d, *J*=8.2Hz), 7.52 (1H, t, *J*=7.6Hz), 7.69 (1H, t, *J*=7.6Hz), 7.77 (1H, d, *J*=7.6Hz), 8.08 (1H, d, *J*=2.1Hz), 8.10 (1H, d, *J*=8.2Hz), 8.83 (1H, d, *J*=2.1Hz).
MS m/z: 322(M^+), 307, 264, 230, 194, 178, 130, 115.

Example 113
3-(5-chloro-1,3,3-trimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-41)
Melting point: 142-144°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.00 (3H, s), 1.40 (3H, s), 2.14 (3H, s), 2.95 (1H, d, *J*=15.8Hz), 2.97 (1H, d, *J*=15.8Hz), 4.60 (1H, s), 6.56 (1H, d, *J*=7.9Hz), 6.88 (1H, t, *J*=7.9Hz), 7.11 (1H, d, *J*=7.9Hz), 7.53 (1H, t, *J*=8.2Hz), 7.68 (1H, t, *J*=8.2Hz), 7.79 (1H, d, *J*=8.2Hz), 8.05 (1H, d, *J*=2.0Hz), 8.08 (1H, d, *J*=8.2Hz), 8.79 (1H, d, *J*=2.0Hz).
MS m/z: 336(M^+), 323, 321, 264, 230, 208, 192, 142.

Example 114
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-866)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 1.46 (6H, d, *J*=3.4Hz), 6.96 (1H, dd, *J*=6.6, 2.1Hz), 7.15-7.20 (2H, m), 7.59 (1H, t, 7.5Hz), 7.76 (1H, dt, *J*=11.0, 3.8Hz), 7.87 (1H, d, *J*=7.9Hz), 8.16 (1H, d, *J*=8.5Hz), 8.31 (1H, d, *J*=2.1Hz), 9.03 (1H, d, *J*=1.8Hz).
MS m/z: 332(M^+), 317, 289, 275, 260, 233, 146.

Example 115
3-(3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-2-

methylquinoline (Compound No. 1-14)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.37 (6H, s), 2.58 (3H, s), 2.91 (2H, s), 6.85 (1H, d, *J*=7.4Hz), 7.14 (1H, t, *J*=7.4Hz), 7.26 (1H, d, *J*=7.4Hz), 7.38 (1H, t, *J*=7.4Hz), 7.51 (1H, t, *J*=7.7Hz), 7.71 (1H, t, *J*=7.7Hz), 7.80 (1H, d, *J*=7.7Hz), 8.06 (1H, s), 8.07 (1H, d, *J*=7.7Hz).
MS m/z: 300(M^+), 299, 285, 269, 257, 244, 229.

Example 116
3-(5-ethyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-73)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.25 (3H, t, *J*=7.7Hz), 1.34 (6H, s), 2.77 (2H, q, *J*=7.7Hz), 2.83 (2H, s), 7.05 (1H, d, *J*=7.7Hz), 7.16 (1H, t, *J*=7.7Hz), 7.32 (1H, d, *J*=7.7Hz), 7.57 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.86 (1H, d, *J*=8.2Hz), 8.15 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.2Hz), 9.08 (1H, d, *J*=2.2Hz)
MS m/z: 314(M^+), 313, 299, 285, 269, 242, 229, 128.

Example 117
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)methanone=O-methyloxime (Compound No. 1-99)
Stereoisomer of compound of Example 118
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.96 (2H, s), 4.03 (3H, s), 7.23-7.27 (2H, m), 7.59 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.77 (1H, ddd, *J*=1.6, 6.6, 8.2Hz), 7.85-7.88 (2H, m), 8.16 (1H, d, *J*=8.2Hz), 8.35 (1H, d, *J*=2.2Hz), 8.42 (1H, s), 9.07 (1H, d, *J*=2.2Hz).
MS m/z: 343(M^+), 328, 312, 296, 285, 269, 255, 128.

Example 118
1-(3,3-dimethyl-1-quinolin-3-yl-3,4-dihydroisoquinolin-5-yl)methanone=O-methyloxime (Compound No. 1-99)

Stereoisomer of compound of Example 117
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 2.84 (2H, s), 4.00 (3H, s), 7.23-7.29 (2H, m), 7.59 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.63 (1H, s), 7.75-7.78 (2H, s), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.8Hz), 8.37 (1H, d, *J*=2.2Hz), 9.09 (1H, d, *J*=2.2Hz).
MS m/z: 343(M^+), 328, 312, 296, 285, 269, 255, 128.

Example 119
3-(3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-856)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.29 (3H, s), 1.31 (6H,s), 2.86 (1H, q, *J* = 7.0 Hz), 7.15-7.28 (2H, m), 7.33 (1H, d, J = 7.4Hz), 7.42 (1H, t, *J* = 6.4Hz), 7.58 (1H, t, *J* = 7.5 Hz), 7.75 (1H, t, *J* = 7.3 Hz), 7.87 (1H, d, *J* = 7.9 Hz), 8.16 (1H, d, *J* = 8.5 Hz), 8.38 (1H, d, *J* = 2.0 Hz), 9.11 (1H, d, *J* = 1.6 Hz).
MS m/z: 300(M^+), 285, 269, 244, 230, 215, 135, 115.

Example 120
3-(5-fluoro-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-857)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.09(3H, s), 1.20 (3H, d, *J*=7.1Hz), 1.62 (3H, s), 3.17 (1H, q, *J*=7.1), 7.00-7.06 (1H, m), 7.15-7.24 (2H, m), 7.59 (1H, t, *J*=7.5), 7.79 (1H, t, *J*=7.6Hz), 7.88 (1H, d, *J*=7.7Hz), 8.17 (1H, d, *J*=8.5Hz), 8.36 (1H, d, *J*=1.8Hz), 9.09 (1H, d, *J*=2.1Hz).
MS m/z: 318(M^+), 317, 303, 287, 265, 247, 233, 144, 133, 101, 84.

Example 121
3-(5-chloro-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-858)

Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.07(3H, s), 1.18 (3H, d, *J*=6.9Hz), 1.63 (3H, s), 3.23 (1H, q, *J*=7.1Hz), 7.10-7.20 (2H, m), 7.49 (1H, d, *J*=7.4Hz), 7.59 (1H, t, *J*=7.5Hz), 7.76 (1H, t, *J*=7.7Hz), 7.87 (1H, d, *J*=7.9Hz), 8.16 (1H, d, *J*=8.5Hz), 8.35 (1H, d, *J*=1.8), 9.08 (1H, d, *J*=1.6Hz).
MS m/z: 334(M^+), 319, 303, 278, 263, 242, 152, 128, 101.

Example 122
3-(3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-quinoline (Compound No. 1-865)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.28 (6H,s), 1.35 (6H,s), 2.04 (2H,s), 7.15-7.26 (2H, m), 7.48 (2H, d, *J* = 3.2 Hz), 7.58 (1H, t, *J* = 7.5 Hz), 7.76 (1H, t, *J* = 7.4 Hz), 7.87 (1H, d, *J* = 7.7 Hz), 8.16 (1H, d, *J* = 8.4 Hz), 8.36 (1H, d, *J* = 2.1 Hz), 9.10 (1H, d, *J* = 1.6 Hz).
MS m/z: 314(M^+), 299,257, 242, 142, 128, 115.

Example 123
3-(5-chloro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-867)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, br s), 1.59 (6H, s), 7.07 (1H, dd, *J*=1.6, 7.7Hz), 7.13 (1H, t, *J*=7.7Hz), 7.48 (1H, dd, *J*=1.6, 7.7Hz), 7.59 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.76 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.86 (1H, d, *J*=8.2Hz), 8.15 (1H, d, *J*=8.2Hz), 8.28 (1H, d, *J*=2.2Hz), 8.99 (1H, d, *J*=2.2Hz).
MS m/z: 348(M^+), 347, 333, 305, 276, 256, 128.

Example 124
3-(5-fluoro-3,3,4-trimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-255)
Physical property: Melting point 133-134°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.22 (3H, s), 1.26 (3H, s),

1.40 (3H, d, J=6.9Hz), 2.92 (1H, q, J=6.6Hz), 5.31 (1H, s), 6.50 (1H, d, J=7.7Hz), 6.85-7.03 (2H, m), 7.54 (1H, t, J=7.5Hz), 7.70 (1H, t, J=7.4Hz), 7.80 (1H, d, J=7.9Hz), 8.09 (1H, d, J=2.1), 8.01 (1H, d, J=7.7Hz), 8.86 (1H, d, J=1.8Hz).
MS m/z: 320(M^+), 305, 263, 248, 162.

Example 125
3-(5-fluoro-3,3,4,4-tetramethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-264)
Physical property: Melting point1 79-181°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.19 (3H, s), 1.31 (3H, s), 1.46 (3H, d, J=4.8Hz), 1.55 (3H, s), 5.38 (1H, s), 6.45 (1H, d, J=7.4Hz), 6.82-6.98 (2H, m), 7.53 (1H, t, J=7.9Hz), 7.69 (1H, t, J=8.4Hz), 7.79 (1H, d, J=8.2Hz), 8.05 (1H, d, J=2.1Hz), 8.08 (1H, d, J=8.7Hz), 8,78 (1H, d, J=2.1Hz).
MS m/z: 334(M^+), 332, 319, 277, 262, 248, 149, 133.

Example 126
3-(5-isopropyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-880)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.30 (6H, d, J=6.6Hz), 1.34 (6H, s), 2.87 (2H, s), 3.27 (1H, sep, J=6.6Hz), 7.05 (1H, d, J=7.7Hz), 7.20 (1H, t, J=7.7Hz), 7.43 (1H, d, J=7.7Hz), 7.58 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.76 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.2Hz), 9.08 (1H, d, J=2.2Hz).
MS m/z: 328(M^+), 327, 313, 297, 285, 271, 256, 128.

Example 127
3-{5-(1-methylpropyl)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl}quinoline (Compound No. 1-881)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 0.90 (3H, t, J=7.1Hz), 1.27 (3H, d, J=7.1Hz), 1.33 (3H, s), 1.35 (3H, s), 1.62-1.72

(2H, m), 2.84 (1H, d, J=15.4Hz), 2.88 (1H, d, J=15.4H), 3.02 (1H, sep, J=7.1Hz), 7.04 (1H, d, J=7.7Hz), 7.20 (1H, t, J=7.7Hz), 7.37 (1H, d, J=7.7Hz), 7.57 (1H, t, J=7.7Hz), 7.59 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.87 (1H, d, J=7.7Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.2Hz), 9.09 (1H, d, J=2.2Hz).
MS m/z: 342(M^+), 341, 327. 313, 297, 285, 271, 128.

Example 128
3-{5-(1-methylvinyl)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl}quinoline (Compound No. 1-882)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.30 (6H, s), 2.09 (3H, s), 2.85 (2H, s), 4.91-4.92 (1H, m), 5.31-5.32 (1H, m), 7.11 (1H, dd, J=1.1, 7.7Hz), 7.19 (1H, t, J=7.7Hz), 7.30 (1H, dd, J=1.1, 7.7Hz), 7.58 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.76 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.39 (1H, d, J=2.2Hz), 9.11 (1H, d, J=2.2Hz).
MS m/z: 326(M^+), 311, 295, 285, 270, 254, 128.

Example 129
3-{5-(2-methoxycarbonylvinyl)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl}quinoline (Compound No. 1-883)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (6H, s), 2.98 (2H, s), 3.86 (3H, s), 6.45 (1H, d, J=15.9Hz), 7.25-7.29 (2H, m), 7.59 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.70 (1H, dd, J=1.6, 7.1Hz), 7.77 (1H, ddd, J=1.1, 6.6, 7.7Hz), 7.88 (1H, d, J=8.2Hz), 8.06 (1H, d, J=15.9Hz), 8.16 (1H, d, J=8.8Hz), 8.36 (1H, d, J=2.2Hz), 9.07 (1H, d, J=2.2Hz).
MS m/z: 370(M^+), 355, 339, 320, 305, 295, 254, 127.

Example 130
3-(5-fluoromethyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-884)

Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 2.91 (2H, s), 5.52 (2H, d, *J*=47.8Hz), 7.25-7.29 (2H, m), 7.49-7.51 (1H, m), 7.59 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.88 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.37 (1H, d, *J*=2.2Hz), 9.08 (1H, d, *J*=2.2Hz).
MS m/z: 318(M^+), 303, 285, 269, 262, 242, 128.

Example 131
3-(5-chloromethyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-885)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.37 (6H, s), 2.95 (2H, s), 4.69 (2H, s), 7.21-7.26 (2H, m), 7.47 (1H, dd, *J*=2.2, 7.1Hz), 7.59 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.77 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.2Hz), 9.08 (1H, d, *J*=2.2Hz).
MS m/z: 334(M^+), 319, 299, 285, 269, 262, 242, 128.

Example 132
3-(5-difluoromethyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-886)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 2.98 (2H, s), 6.86 (1H, t, *J*=55.5Hz), 7.32-7.36 (2H, m), 7.60 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.65 (1H, dd, *J*=2.2, 6.6Hz), 7.76 (1H, ddd, *J*=1.1, 6.6, 8.2Hz), 7.88 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.36 (1H, d, *J*=2.2Hz), 9.08 (1H, d, *J*=2.2Hz).
MS m/z: 336(M^+), 321, 285, 255, 229.

Example 133
3-(5-hydroxymethyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-887)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (6H, s), 2.92 (2H, s), 4.82 (2H, s), 7.17 (1H, d, *J*=7.1Hz), 7.24 (1H, t, *J*=7.1Hz),

7.51 (1H, d, J=7.1Hz), 7.59 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.2Hz), 9.05 (1H, d, J=2.2Hz).
MS m/z: 316(M^+), 297, 285, 269, 255, 242, 128.

Example 134
3-{5-(1-hydroxy-1-methylethyl)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl}quinoline (Compound No. 1-888)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 1.73 (6H, s), 3.10 (1H, br s), 3.29 (2H, s), 7.02 (1H, dd, J=1.1, 7.7Hz), 7.15 (1H, t, J=7.7Hz), 7.57-7.60 (2H, m), 7.76 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.38 (1H, d, J=1.6Hz), 8.78 (1H, br s).
MS m/z: 344(M^+), 325, 311, 285, 270, 254.

Example 135
3-(5-methoxymethyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-889)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.88(2H, s), 3.45 (3H, s), 4.56 (2H, s), 7.17 (1H, d, J=7.1Hz), 7.22 (1H, t, J=7.1Hz), 7.47 (1H, d, J=7.1Hz), 7.58 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.76 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.08 (1H, d, J=2.2Hz).
MS m/z: 330(M^+), 315, 297, 285, 268, 256, 242, 128.

Example 136
3-(5-methoxycarbonylmethyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-890)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.83 (2H, s), 3.73 (3H, s), 3.76 (2H, s), 7.16 (1H, d, J=7.7Hz), 7.21 (1H, t, J=7.7Hz), 7.36 (1H, d, J=7.7Hz), 7.59 (1H, ddd,

J=1.1, 7.1, 8.2Hz), 7.76 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.2Hz), 9.09 (1H, d, J=2.2Hz).
MS m/z: 358(M^+), 357, 343, 285, 269, 242, 128.

Example 137
3-(5-benzoylamino-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-891)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (6H, s), 2.79 (2H, s), 7.14 (1H, d, J=7.7Hz), 7.31 (1H, t, J=7.7Hz), 7.54-7.64 (4H, m), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.86-7.89 (3H, m), 7.96 (2H, d, J=7.1Hz), 8.16 (1H, d, J=8.8Hz), 8.38 (1H, d, J=2.2Hz), 9.11 (1H, d, J=2.2Hz).
MS m/z: 405(M^+), 390, 349, 299, 285, 269.

Example 138
3-{5-(2-fluorobenzoylamino)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl}quinoline (Compound No. 1-892)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 2.81 (2H, s), 7.13 (1H, d, J=7.7Hz), 7.24-7.28 (1H, m), 7.32 (1H, t, J=7.7Hz), 7.38 (1H, d, J=7.7Hz), 7.57-7.61 (2H, m), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.88 (1H, d, J=7.7Hz), 8.06 (1H, d, J=8.2Hz), 8.17 (1H, d, J=8.2Hz), 8.25 (1H, td, J=2.2, 7.7Hz), 8.38 (1H, d, J=2.2Hz), 8.50 (1H, d, J=6.5Hz), 9.11 (1H, d, J=2.2Hz).
MS m/z: 423(M^+), 408, 367, 328, 313, 300, 285, 269.

Example 139
3-{5-(3-fluorobenzoylamino)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl}quinoline (Compound No. 1-893)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.77 (2H, s), 7.15 (1H, d, J=7.7Hz), 7.28-7.32 (2H, m), 7.49-7.54 (1H, m), 7.60 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.64-7.68 (1H, m),

7.73 (1H, d, J=7.7Hz), 7.75-7.77 (2H, m), 7.88 (1H, d, J=8.2Hz), 8.05 (1H, br s), 8.16 (1H, d, J=8.2Hz), 8.38 (1H, d, J=1.6Hz), 9.10 (1H, d, J=1.6Hz).
MS m/z: 423(M^+), 408, 367, 328, 313, 300, 285, 269.

Example 140
3-{5-(4-fluorobenzoylamino)-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl}quinoline (Compound No. 1-894)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 2.77 (2H, s), 7.14 (1H, d, J=7.7Hz), 7.21 (2H, t, J=8.2Hz), 7.29 (1H, t, J=7.7Hz), 7.60 (1H, d, J=7.7Hz), 7.75-7.79 (2H, m), 7.88 (1H, d, J=8.2Hz), 7.94-7.99 (3H, m), 8.15 (1H, d, J=8.8Hz), 8.38 (1H, d, J=1.6Hz), 9.09 (1H, d, J=1.6Hz).
MS m/z: 423(M^+), 408, 300, 285, 269.

Example 141
3-(5-carboxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-895)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36 (6H, s), 3.34 (2H, s), 7.34 (1H, t, J=7.7Hz), 7.41 (1H, dd, J=1.1, 7.7Hz), 7.63 (1H, ddd, J=1.6, 7.1, 8.2Hz), 7.81 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.91 (1H, d, J=7.7Hz), 8.15 (1H, dd, J=1.6, 8.2Hz), 8.25 (1H, d, J=8.2Hz), 8.44 (1H, d, J=2.2Hz), 9.11 (1H, d, J=2.2Hz).
MS m/z: 330(M^+), 315, 297, 285, 269, 243, 128.

Example 142
3-(5-methoxycarbonyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-methoxyquinoline (Compound No. 1-896)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 3.27 (2H, s), 3.97 (3H, s), 7.30 (1H, t, J=7.7Hz), 7.39 (1H, dd, J=1.1, 7.7Hz), 7.59 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, J=1.6, 6.6, 8.2Hz), 7.87 (1H, dd, J=1.1, 8.2Hz), 8.03 (1H,

dd J=1.1, 7.7Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.06 (1H, d, J=2.2Hz).
MS m/z: 344(M^+), 343, 329. 313, 297, 285, 128.

Example 143
3-(5-ethoxycarbonyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-8-methoxyquinoline (Compound No. 1-897)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 1.44 (3H, t, J=7.1Hz), 3.27 (2H, s), 4.43 (2H, q, J=7.1Hz), 7.30 (1H, t, J=7.7Hz), 7.38 (1H, d, J=7.7Hz), 7.59 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.02 (1H, d, J=7.7Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.05 (1H, d, J=2.2Hz).
MS m/z: 358(M^+), 357, 343, 329. 313, 297, 285, 128.

Example 144
3-(5-aminocarbonyl-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-898)
Physical property: Melting point 236-240°C.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.34 (6H, s), 3.09 (2H, s), 5.84 (2H, br s), 7.28-7.34 (2H, m), 7.60 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.64 (1H, dd, J=1.6, 7.1Hz), 7.78 (1H, ddd, J=1.6, 7.1, 8.2Hz), 7.88 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.36 (1H, d, J=2.2Hz), 9.06 (1H, d, J=2.2Hz).
MS m/z: 329(M^+), 314, 297, 285, 269, 242, 128.

Example 145
3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-2-methylquinoline (Compound No. 1-899)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.39 (6H, s), 2.57 (3H, s), 2.92 (2H, s), 6.68 (1H, d, J=7.1Hz), 7.11-7.15 (2H, m), 7.52 (1H, t, J=7.7Hz), 7.72 (1H, t, J=7.7Hz), 7.80 (1H, d, J=7.7Hz), 8.05 (1H, s), 8.06 (1H, d, J=7.7Hz).
MS m/z: 318(M^+), 317, 303, 262.

Example 146

3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)-4-methylquinoline (Compound No. 1-900)

Physical property: amorphous.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.42 (6H, s), 2.56 (3H, s), 2.95 (2H, s), 6.69 (1H, d, *J*=7.1Hz), 7.11-7.15 (2H, m), 7.62 (1H, t, *J*=8.2Hz), 7.75 (1H, t, *J*=8.2Hz), 8.07 (1H, d, *J*=8.2Hz), 8.15 (1H, d, *J*=8.2Hz), 8.74 (1H, s).

MS m/z: 318(M^+), 317, 303, 287, 262, 247.

Example 147

3-(5-fluoro-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)-2-methylquinoline (Compound No. 1-901)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.17 (3H, s), 1.25 (3H, d, *J*=7.1Hz), 1.59 (3H, s), 2.58 (3H, s), 3.21 (1H, q, *J*=7.1Hz), 6.67 (1H, d, *J*=7.1Hz), 7.09-7.17 (2H, m), 7.52 (1H, t, *J*=7.7Hz), 7.73 (1H, t, *J*=7.7Hz), 7.81 (1H, d, *J*=7.7Hz), 8.07 (2H, d, *J*=7.7Hz).

MS m/z: 332(M^+), 331, 317, 301, 287, 274.

Example 148

3-(5-fluoro-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)-8-methylquinoline (Compound No. 1-902)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.09 (3H, s), 1.20 (3H, d, *J*=7.1Hz), 1.61 (3H, s), 2.85 (3H, s), 3.17 (1H, q, *J*=7.1Hz), 7.04 (1H, dd, *J*=1.6, 6.0Hz), 7.18-7.21 (2H, m), 7.48 (1H, t, *J*=7.7Hz), 7.61 (1H, d, *J*=7.7Hz), 7.73 (1H, d, *J*=7.7Hz), 8.35 (1H, d, *J*=2.2Hz), 9.10 (1H, d, *J*=2.2Hz).

MS m/z: 332(M^+), 317, 301, 289, 276, 261.

Example 149

3-(5-fluoro-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)-8-methoxyquinoline (Compound No. 1-903)

Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.09 (3H, s), 1.20 (3H, d, *J*=7.1Hz), 1.61 (3H, s), 3.17 (1H, q, *J*=7.1Hz), 4.12 (3H, s), 6.98 (1H, t, *J*=4.4Hz), 7.11 (1H, d, *J*=7.1Hz), 7.17-7.19 (2H, m), 7.46 (1H, d, *J*=7.7Hz), 7.51 (1H, t, *J*=7.7Hz), 8.37 (1H, d, *J*=1.6Hz), 9.04 (1H, d, *J*=1.6Hz).
MS m/z: 348(M^+), 333, 317, 305, 292, 277, 262, 248.

Example 150
3-(6-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-904)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.29 (6H, br s), 1.34 (6H, s), 6.88 (1H, td, *J*=2.2, 8.2Hz), 7.17-7.20 (2H, m), 7.59 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.77 (1H, ddd, *J*=1.6, 7.1, 8.2Hz), 7.88 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.2Hz), 8.35 (1H, d, *J*=2.2Hz), 9.08 (1H, d, *J*=2.2Hz).
MS m/z: 332(M^+), 317, 289, 275, 260.

Example 151
3-(7-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-905)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.29 (6H, br s), 1.34 (6H, s), 6.88 (1H, dd, *J*=2.7, 8.8Hz), 7.17 (1H, ddd, *J*=2.7, 8.2, 8.8Hz), 7.45 (1H, dd, *J*=4.9, 8.2Hz), 7.60 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.78 (1H, ddd, *J*=1.6, 7.1, 8.2Hz), 7.89 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.35 (1H, d, *J*=2.2Hz), 9.11 (1H, d, *J*=2.2Hz).
MS m/z: 332(M^+), 317, 301, 289, 275, 260.

Example 152
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-2-methylquinoline (Compound No. 1-906)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.35 (6H, s), 1.50 (6H, s),

2.56 (3H, s), 6.65 (1H, dd, J=1.6, 7.1Hz), 7.08-7.14 (2H, m), 7.51 (1H, t, J=8.2Hz), 7.71 (1H, t, J=8.2Hz), 7.80 (1H, d, J=8.2Hz), 8.03 (1H, s), 8.06 (1H, d, J=8.2Hz).
MS m/z: 346(M^+), 331, 316, 303, 290, 274.

Example 153
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-4-methylquinoline (Compound No. 1-907)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.27-1.33 (6H, m), 1.50 (6H, s), 2.54 (3H, s), 6.65 (1H, dd, J=1.6, 7.1Hz), 7.08-7.13 (2H, m), 7.61 (1H, t, J=8.2Hz), 7.74 (1H, t, J=8.2Hz), 8.06 (1H, d, J=8.2Hz), 8.14 (1H, d, J=8.2Hz), 8.70 (1H, s).
MS m/z: 346(M^+), 331, 316, 303, 290, 274.

Example 154
3-(6-chloro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-908)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.29 (6H, br s), 1.34 (6H, s), 7.13 (1H, d, J=8.2Hz), 7.20 (1H, dd, J=2.2, 8.2Hz), 7.47 (1H, d, J=2.2Hz), 7.60 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.88 (1H, d, J=8.2Hz), 8.17 (1H, d, J=8.2Hz), 8.34 (1H, d, J=2.2Hz), 9.08 (1H, d, J=2.2Hz).
MS m/z: 348(M^+), 333, 317, 305, 292, 277, 256, 128.

Example 155
3-(7-chloro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-909)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.28 (6H, br s), 1.34 (6H, s), 7.15 (1H, d, J=1.6Hz), 7.42-7.46 (2H, m), 7.61 (1H, t, J=8.2Hz), 7.78 (1H, t, J= 8.2Hz), 7.90 (1H, d, J=8.2Hz), 8.18 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.09 (1H, d, J=2.2Hz).

MS m/z: 348(M^+), 333, 317, 305, 292, 277, 256, 128.

Example 156
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline hydrochloride (Compound No. 1-910)
Physical property: Melting point 123-135°C.
^{1}H-NMR (500MHz, DMSO-d_6) δ ppm: 1.46 (12H, s), 7.37-7.43 (1H, m), 7.53-7.57 (1H, m), 7.74-7.78 (1H, m), 7.81 (1H, t, *J*=8.2Hz), 8.02 (1H, t, *J*=8.2Hz), 8.21-8.22 (2H, m), 8.90 (1H, s), 9.17 (1H, s).

Example 157
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline sulfate (Compound No. 1-911)
Physical property: amorphous.
^{1}H-NMR (500MHz, DMSO-d_6) δ ppm: 1.46 (12H, s), 7.37-7.43 (1H, m), 7.53-7.57 (1H, m), 7.74-7.78 (1H, m), 7.81 (1H, t, *J*=8.2Hz), 8.01 (1H, t, *J*=8.2Hz), 8.21 (2H, d, *J*=8.2Hz), 8.86 (1H, s), 9.16 (1H, s).

Example 158
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline nitrate (Compound No. 1-912)
Physical property: Melting point 165-170°C.
^{1}H-NMR (500MHz, DMSO-d_6) δ ppm: 1.41 (6H, s), 1.45 (6H, s), 7.31-7.38 (1H, m), 7.48-7.55 (1H, m), 7.60-7.77 (1H, m), 7.79 (1H, t, *J*=8.2Hz), 7.98 (1H, t, *J*=8.2Hz), 8.19 (2H, d, *J*=8.2Hz), 8.78 (1H, s), 9.13 (1H, s).

Example 159
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline methanesulfonate (Compound No. 1-913)
Physical property: Melting point 185-190°C.
^{1}H-NMR (500MHz, DMSO-d_6) δ ppm: 1.47 (12H, s), 2.32 (3H, s), 7.41-7.43 (1H, m), 7.55-7.59 (1H, m), 7.74-7.78 (1H, m), 7.82 (1H, t, *J*=8.2Hz), 8.03 (1H, t, *J*=8.2Hz), 8.22 (2H, d,

J=8.2Hz), 8.90 (1H, s), 9.17 (1H, s).

Example 160
3-(3,3,4,4,5-pentamethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-914)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.05 (3H, br s), 1.30 (3H, br s), 1.62 (6H, br s), 2.60 (3H, s), 6.99 (1H, dd, J=1.1, 7.7Hz), 7.09 (1H, t, J=7.7Hz), 7.25 (1H, d, J=7.7Hz), 7.58 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.75 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.86 (1H, d, J=8.2Hz), 8.15 (1H, d, J=8.2Hz), 8.30 (1H, d, J=2.2Hz), 9.00 (1H, d, J=2.2Hz).
MS m/z: 328(M^+), 313, 285, 271, 256, 241, 128.

Example 161
3-(3,3,4,4,6-pentamethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-915)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.28 (6H, br s), 1.34 (6H, s), 2.42 (3H, s), 7.01 (1H, d, J=7.7Hz), 7.05 (1H, d, J=7.7Hz), 7.20 (1H, s), 7.58 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.75 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.35 (1H, d, J=2.2Hz), 9.09 (1H, d, J=2.2Hz).
MS m/z: 328(M^+), 313, 297, 285, 256, 241, 128.

Example 162
3-(3,3,4,4,7-pentamethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-916)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.28 (6H, br s), 1.36 (6H, s), 2.25 (3H, s), 6.96 (1H, s), 7.29 (1H, d, J=7.7Hz), 7.37 (1H, d, J=7.7Hz), 7.59 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.77 (1H, ddd, J=1.1, 6.6, 8.2Hz), 7.89 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.38 (1H, d, J=2.2Hz), 9.09 (1H, d, J=2.2Hz).
MS m/z: 328(M^+), 313, 297, 285, 256, 241, 128.

Example 163
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-6-fluoroquinoline (Compound No. 1-917)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 1.46 (6H, s), 6.95 (1H, dd, *J*=1.6, 7.5Hz), 7.15-7.21 (2H, m), 7.46-7.55 (2H, m), 8.16 (1H, dd, *J*=4.9, 8.8Hz), 8.27 (1H, d, *J*=2.2Hz), 9.00 (1H, d, *J*=2.2Hz).
MS m/z: 350(M^+), 335, 319, 307, 293, 278.

Example 164
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-8-fluoroquinoline (Compound No. 1-918)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.33 (6H, s), 1.46 (6H, s), 6.97 (1H, d, *J*=7.1Hz), 7.15-7.21 (2H, m), 7.42-7.54 (2H, m), 7.67 (1H, d, *J*=8.2Hz), 8.37 (1H, s), 9.09 (1H, s).
MS m/z: 350(M^+), 335, 319, 307, 293, 278.

Example 165
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-8-methylquinoline (Compound No. 1-919)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 1.46 (6H, s), 2.84 (3H, s), 6.99 (1H, d, *J*=6.6Hz), 7.11-7.17 (2H, m), 7.45 (1H, t, *J*=7.7Hz), 7.58 (1H, d, *J*=7.6Hz), 7.70 (1H, d, *J*=7.6Hz), 8.30 (1H, d, *J*=1.6Hz), 9.06 (1H, d, *J*=1.6Hz).
MS m/z: 346(M^+), 331, 315, 303, 289, 274.

Example 166
3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-8-methoxyquinoline (Compound No. 1-920)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.32 (6H, s), 1.46 (6H, s), 4.12 (3H, s), 6.93 (1H, d, *J*=7.1Hz), 7.11 (1H, d, *J*=7.1Hz),

7.14-7.17 (2H, m), 7.45 (1H, d, *J*=7.7Hz), 7.51 (1H, t, *J*=7.7Hz), 8.33 (1H, d, *J*=2.2Hz), 8.98 (1H, d, *J*=2.2Hz).
MS m/z: 362(M^+), 347, 331, 319, 306, 290, 276, 260.

Example 167
3',3'-dimethyl-1'-quinolin-3-yl-3'*H*-spiro[cyclopentane-1,4'-isoquinoline] (Compound No. 1-921)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 0.65 (3H, s), 1.26 (3H, s), 1.34-1.96 (6H, m), 2.35-2.37 (1H, m), 2.78-2.80 (1H, m), 7.23-7.49 (4H, m), 7.57 (1H, t, *J*=7.6Hz), 7.75 (1H, t, *J*=7.6Hz), 7.87 (1H, d, *J*=7.6Hz), 8.16 (1H, d, *J*=7.6Hz), 8.39 (1H, d, *J*=2.2Hz), 9.22 (1H, d, *J*=2.2Hz).
MS m/z: 340(M^+), 325, 311, 283, 271, 257.

Example 168
4',4'-dimethyl-1'-quinolin-3-yl-4'*H*-spiro[cyclopentane-1,4'-isoquinoline] (Compound No. 1-922)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 0.94-1.90 (14H, m), 7.18 (2H, d, *J*=3.3Hz), 7.46 (2H, d, *J*=3.3Hz), 7.56 (1H, t, *J*=7.6Hz), 7.73 (1H, t, *J*=7.6Hz), 7.86 (1H, d, *J*=7.6Hz), 8.18 (1H, d, *J*=7.6Hz), 8.35 (1H, d, *J*=2.2Hz), 9.11 (1H, d, *J*=2.2Hz).
MS m/z: 340(M^+), 325, 311, 285, 271, 257.

Example 169
3',3'-dimethyl-1'-quinolin-3-yl-3'*H*-spiro[cyclohexane-1,4'-isoquinoline] (Compound No. 1-923)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 0.86-1.90 (16H, m), 7.17 (1H, d, *J*=7.3Hz), 7.22 (1H, t, *J*=7.3Hz), 7.45 (1H, t, *J*=8.2Hz), 7.59 (1H, t, *J*=8.2Hz), 7.73-7.78 (2H, m), 7.89 (1H, d, *J*=8.2Hz), 8.19 (1H, d, *J*=8.2Hz), 8.42 (1H, d, *J*=2.2Hz), 9.18 (1H, d, *J*=2.2Hz).
MS m/z: 354(M^+), 339, 325, 311, 297, 268, 257.

Example 170

4',4'-dimethyl-1'-quinolin-3-yl-4'*H*-spiro[cyclohexane-1,4'-isoquinoline] (Compound No. 1-924)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.24-1.85 (16H, m), 7.22 (1H, t, *J*=7.1Hz), 7.27 (1H, d, *J*=7.1Hz), 7.44-7.48 (2H, m), 7.56 (1H, t, *J*=8.2Hz), 7.74 (1H, t, *J*=8.2Hz), 7.87 (1H, d, *J*=8.2Hz), 8.18 (1H, d, *J*=8.2Hz), 8.40 (1H, d, *J*=2.2Hz), 9.28 (1H, d, *J*=2.2Hz).

MS m/z: 354(M^+), 339, 311, 273, 257, 242.

Example 171

1'-quinolin-3-yl-4'*H*-spiro[cyclobutane-1,4'-isoquinoline] (Compound No. 1-925)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.88-2.20 (4H, m), 2.32-2.46 (2H, m), 3.08 (2H, s), 7.04 (1H, d, *J*=6.6Hz), 7.17-7.25 (2H, m), 7.59 (1H, t, *J*=7.5Hz), 7.77 (1H, t, *J*=10.7Hz), 7.88 (1H, d, *J*=7.9Hz), 8.16 (1H, d, *J*=8.5Hz), 8.39 (1H, d, *J*=2.1Hz), 9.14 (1H, d, *J*=2.1Hz).

MS m/z: 316(M^+), 315, 287, 273, 247, 144.

Example 172

3-(5-fluoro-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-934)(Process E)

Chromic acid (4.9 g) was added to an acetic acid (50 mL) solution of 3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (5.0 g, 16.4 mmol), followed by heating and refluxing for 14 hours, pouring water, aqueous sodium sulfite solution and aqueous sodium hydrogencarbonate solution. After stirring for 30 minutes, extracting with ethyl acetate, and applying the resulting residue to chromatography to obtain 0.3g (yield 6%) of the target compound.

Physical property: Melting point 151-152°C.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.61 (6H, s), 7.19 (1H, d,

J=7.7Hz), 7.37 (1H, t, J=9.2Hz), 7.60-7.74 (2H, m), 7.81 (1H, t, J=6.6Hz), 7.91 (1H, d, J=7.9Hz), 8.19 (1H, d, J=8.5Hz), 8.37 (1H, d, J=2.4Hz), 9.09 (1H, d, J=2.4Hz).

Example 173

3-(5-fluoro-4-hydroxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-935)(Process F)

Sodium borohydride (103 mg) was added to a methanol (8 mL) solution of 3-(5-fluoro-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (300 mg, 0.9 mmol) followed by stirring for 2.5 hours at room temperature, pouring water, extracting with ethyl acetate, and applying the resulting residue to chromatography to obtain 215 mg (yield 74%) of the target compound.

Physical property: Melting point 225-226°C.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.06 (3H, s), 1.75 (3H, s), 2,42 (1H, s), 4.89 (1H, s), 7.09 (1H, d, J=7.7Hz), 7.20-7.38 (2H, m), 7.59 (1H, t, J=7.0Hz), 7.77 (1H, t, J=7.0Hz), 7.86 (1H, d, J=8.2Hz), 8.15 (1H, d, J=8.5Hz), 8.36 (1H, d, J=2.1Hz), 9.07 (1H, d, J=2.1Hz).

MS m/z: 320(M^+), 277, 263, 235, 214, 207.

Example 174

3-(4,5-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-927) (Process G)

Diethylaminosulphur trifluoride (76 mg) was added to an methylene chloride (20 mL) solution of 3-(5-fluoro-4-hydroxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (50 mg, 0.16mmol), followed by stirring for 1 hour under ice cooling, pouring water, extracting with ethyl acetate, and applying the resulting residue to chromatography to obtain 45 mg (yield 90%) of the target compound.

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.07 (3H, s), 1.78 (3H, d, J=1.6Hz), 5.65 (1H, d, J=49.4Hz), 7.17 (1H, d, J=7.7Hz),

7.30 (1H, t, J=8.0Hz), 7.42-7.54 (1H, m), 7.59 (1H, t, J=7.6Hz), 7.78 (1H, t, J=7.7Hz), 7.88 (1H, d, J=8.2Hz), 8.17 (1H, d, J=8.5Hz), 8.37 (1H, s), 9.13 (1H, d, J=1.6Hz).
MS m/z: 322(M^+), 301, 287, 266, 248, 151, 119, 84.

The following compounds were synthesized in the same manner as Example 172 to 174.

Example 175
3-(5-fluoro-3,3-dimethyl-4-methylene-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-926)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.53 (6H, s), 5.80 (2H, dd, J=17.4, 1.8Hz), 7.02 (1H, dt, J=9.5, 4.2Hz), 7.22-7.30 (2H, m), 7.59 (1H, t, J=7.4Hz), 7.77 (1H, t, J=7.7Hz), 7.87 (1H, d, J=8.5Hz), 8.16 (1H, d, J=8.2Hz), 8.34 (1H, d, J=2.1Hz), 9.07 (1H, d, J=1.8Hz).
MS m/z: 316(M^+), 301, 275, 259, 119, 84.

Example 176
3-(4-chloro-5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-928)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.15 (3H, s), 1.85 (3H, s), 5.35 (1H, s), 7.14 (1H, d, J=7.7Hz), 7.23-7.43 (2H, m), 7.60 (1H, t, J=7.7Hz), 7.78 (1H, t, J=7.7Hz), 7.88 (1H, d, J=5.5Hz), 8.18 (1H, d, J=8.5Hz), 8.39 (1H, d, J=2.1Hz), 9.14 (1H, d, J=2.1Hz).
MS m/z: 338(M^+), 303, 287, 262, 247, 151, 144, 134, 110.

Example 177
3-(4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-929)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.46 (6H, s), 7.34 (1H, d, J=7.7Hz), 7.55 (1H, t, J=7.7Hz), 7.61 (1H, ddd, J=1.1, 7.1, 8.2Hz), 7.67 (1H, td, J=1.1, 7.7Hz), 7.80 (1H, ddd, J=1.6,

7.1, 8.2Hz), 7.87-7.90 (2H, m), 8.18 (1H, d, J=8.2Hz), 8.40 (1H, d, J=2.2Hz), 9.14 (1H, d, J=2.2Hz).
MS m/z: 322(M^+), 307, 287, 266, 230.

Example 178
3-(4,4,5-trifluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-930)
Physical property: Melting point 126-127°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.50 (6H, s), 7.13 (1H, d, J=7.7Hz), 7.35 (1H, t, J=9.2Hz), 7.48-7.64 (2H, m), 7.80 (1H, t, J=8.5Hz), 7.88 (1H, d, J=8.2Hz), 8.18 (1H, d, J=1.5Hz), 8.35 (1H, d, J=2.1Hz), 9.08 (1H, d, J=2.4Hz).
MS m/z: 340(M^+), 325, 305, 284, 248, 149, 128.

Example 179
3-(5-fluoro-4-methoxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-932)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.00 (3H, s), 1.75 (3H, s), 3.38 (3H, s), 4.39 (1H, s), 7.13 (1H, d, J=7.4Hz), 7.22-7.42 (2H, m), 7.58 (1H, t, J=7.4Hz), 7.76 (1H, t, J=7.4Hz), 7.87 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.2Hz), 8.39 (1H, s), 9.14(1H, s).
MS m/z: 334(M^+), 319, 303, 287, 262, 234, 207, 190, 151, 130, 104.

Example 180
3-(4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-933)
Physical property: Melting point 137°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.62 (6H, s), 7.38-7.43 (1H, m), 7.58-7.68 (2H, m), 7.81 (1H, t, J=8.2Hz), 7.91 (1H, d, J=7.9Hz), 8.20 (1H, d, J=7.1Hz), 8.37 (1H, d, J=2.1Hz), 9.11 (1H, d, J=2.1Hz).
MS m/z: 300(M^+), 285, 271, 257, 244, 231, 216, 189, 149, 128, 107, 94.

Example 181
3-(5-fluoro-4-hydroxy-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-935)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.25 (6H, s), 1.58 (3H, s), 1.63 (3H, s), 2.95 (1H, d, *J*=10.0Hz), 6.99 (1H, d, *J*=7.1Hz), 7.18-7.33 (2H, m), 7.59 (1H, t, *J*=8.1Hz), 7.77 (1H, t, *J*=8.1Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.5Hz), 8.32 (1H, d, *J*=2.1Hz), 9.03 (1H, d, *J*=2.1Hz).
MS m/z: 334(M^+), 277, 248, 234, 220, 207, 138, 128, 101.

Example 182
3-(4-ethyl-5-fluoro-4-hydroxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-936)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.91 (3H, td, *J*=7.5, 1.5Hz), 1.17 (3H, s), 1.63 (3H, s), 1.95 (2H, q, *J*=7.5Hz), 3.14 (1H, d, *J*=12.4Hz), 7.00 (1H, d, *J*=4.0Hz), 7.18-7.33 (2H, m), 7.60 (1H, t, *J*=7.5Hz), 7.77 (1H, t, *J*=7.7Hz), 7.87 (1H, d, *J*=8.2Hz), 8.16 (1H, d, *J*=8.5Hz), 8.32 (1H, d, *J*=2.1Hz), 9.04 (1H, d, *J*=1.8Hz).
MS m/z: 348(M^+), 291, 276, 248, 234.

Example 183
3-(5-fluoro-4-methoxy-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-937)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.05 (3H, s), 1.69 (3H, s), 1.80 (3H, d, *J*=6.1Hz), 3.13 (3H, s), 7.07 (1H, d, 7.5Hz), 7.17-7.37 (2H, m), 7.58 (1H, t, *J*=8.2Hz), 7.76 (1H, t, *J*=8.5Hz), 7.86 (1H, d, *J*=8.2Hz), 8.15 (1H, d, *J*=8.5Hz), 8.34 (1H, d, *J*=2.1Hz), 9.08 (1H, d, *J*=2.1Hz).
MS m/z: 348(M^+), 333, 317, 301, 292, 277, 192, 149, 136, 108, 83.

Example 184

3-(4-ethoxy-5-fluoro-3,3,4-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-938)

Physical property: Melting point 118-119°C.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.01 (3H, t, *J*=6.9Hz), 1.03 (3H, s), 1.69 (3H, s), 1.79 (3H, d, *J*=6.1Hz), 3.09 (1H, m), 3.54 (1H, m), 7.04 (1H, d, *J*=7.5Hz), 7.15-7.35 (2H, m), 7.58 (1H, t, *J*=8.0Hz), 7.76 (1H, t, *J*=8.5Hz), 7.87 (1H, d, *J*=8.2Hz), 8.15 (1H, d, *J*=8.5Hz), 8.33 (1H, d, *J*=2.1Hz), 9.04 (1H, d, *J*=2.1Hz).

MS m/z: 362(M^+), 333, 306, 277, 248, 234, 128, 101.

Example 185

3-(4-ethyl-5-fluoro-4-methoxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-939)

Physical property: Melting point 145-147°C.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 0.95 (3H, td, *J*=7.5, 1.9Hz), 1.31 (3H, s), 1.49 (3H, s), 1.96-2.11 (1H, m), 2.20-2.36 (1H, m), 3.49 (3H, s), 6.98 (1H, dd, *J*=7.3, 1.5Hz), 7.17-7.33 (2H, m), 7.59 (1H, t, *J*=8.0Hz), 7.76 (1H, t, *J*=8.6Hz), 7.86 (1H, d, *J*=8.5Hz), 8.15 (1H, d, *J*=8.7Hz), 8.29 (1H, d, *J*=2.1Hz), 9.01 (1H, d, *J*=2.1Hz).

MS m/z: 362(M^+), 347, 330, 315, 305, 290, 277, 234, 192, 149, 128, 101.

Example 186

3-(5-hydroxymethyl-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-274)

Physical property: amorphous.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.27 (3H, s), 1.34 (3H, s), 1.84-1.87 (1H, m), 2.81 (1H, d, *J*=16.5Hz), 2.88 (1H, d, *J*=16.5Hz), 3.73-3.76 (1H, m), 4.75 (2H, s), 5.39 (1H, s), 6.70 (1H, d, *J*=7.7Hz), 7.04 (1H, t, *J*=7.7Hz), 7.25-7.27 (1H, m), 7.53 (1H, ddd, *J*=1.1, 7.1, 8.2Hz), 7.70 (1H, ddd, *J*=1.6, 7.1, 8.2Hz), 7.78 (1H, dd, *J*=1.1, 8.2Hz), 8.08-8.11 (2H, m), 8.83 (1H, d, *J*=2.2Hz).

MS m/z: 318(M^+), 303, 285, 243, 230, 128.

Example 187
3-(2-acetyl-5-fluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-275)
Physical property: amorphous.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.29 (3H, s), 1.89 (3H, s), 2.31 (3H, s), 2.35 (1H, d, *J*=15.4Hz), 2.81 (1H, d, *J*=15.4Hz), 6.10-6.20 (1H, m), 7.12 (1H, t, *J*=8.5Hz), 7.33 (1H, d, *J*=8.5Hz), 7.37-7.39 (1H, m), 7.56 (1H, t, *J*=8.2Hz), 7.71 (1H, t, *J*=8.2Hz), 7.77 (1H, d, *J*=8.2Hz), 7.94 (1H, s), 8.08 (1H, d, *J*=8.2Hz), 8.75 (1H, s).
MS m/z: 348(M^+), 305, 291, 274, 263, 248.

Example 188
3-(2-methoxyacetyl-5-fluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-276)
Stereoisomer of compound of Example 189
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.31 (3H, s), 1.89 (3H, s), 2.31 (1H, d, *J*=15.4Hz), 2.82 (1H, d, *J*=15.4Hz), 3.41 (3H, s), 4.08 (1H, d, *J*=13.2Hz), 4.37 (1H, d, *J*=13.2Hz), 6.29 (1H, s), 7.14 (1H, t, *J*=8.2Hz), 7.33 (1H, d, *J*=8.2Hz), 7.39-7.40 (1H, m), 7.56 (1H, t, *J*=8.2Hz), 7.70-7.75 (2H, m), 7.92 (1H, s), 8.08 (1H, d, *J*=8.2Hz), 8.75 (1H, s).
MS m/z: 378(M^+), 347, 333, 305, 290, 274, 262, 248.

Example 189
3-(2-methoxyacetyl-5-fluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline -1-yl)quinoline (Compound No. 2-276)
Stereoisomer of compound of Example 188
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 0.58 (3H, s), 1.21 (3H, s), 2.68 (1H, d, *J*=17.0 Hz), 2.91 (1H, d, *J*=17.0Hz), 3.26 (3H, s), 3.89 (2H, s), 5.59 (1H, s), 6.48 (1H, d, *J*=7.7Hz),

6.93 (1H, t, J=7.7Hz), 7.02 (1H, q, J=7.7Hz), 7.57 (1H, t, J=8.2Hz), 7.74 (1H, t, J=8.2Hz), 7.79 (1H, d, J=8.2Hz), 8.12 (1H, d, J=8.2Hz), 8.31 (1H, s), 8.91 (1H, s).
MS m/z: 378(M^+), 306, 291, 248.

Example 190
3-(2-cinnamyl-5-fluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-277)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.14 (3H, s), 1.47 (3H, s), 3.38 (1H, dd, J=6.6, 16.5Hz), 3.52 (1H, dd, J=6.6, 16.5Hz), 3.74 (2H, s), 5.08 (1H, s), 5.98-6.02 (1H, m), 6.14 (1H, d, J=15.9Hz), 6.51 (1H, d, J=7.7Hz), 6.82 (1H, t, J=7.7Hz), 6.91-6.93 (1H, m), 7.08 (2H, d, J=7.1Hz), 7.13-7.17 (1H, m), 7.18 (2H, d, J=7.1Hz), 7.51 (1H, t, J=8.2Hz), 7.66 (1H, t, J=8.2Hz), 7.78 (1H, d, J=8.2Hz), 8.04 (1H, d, J=8.2Hz), 8.09 (1H, s), 8.87 (1H, s).
MS m/z: 422(M^+), 407, 303, 265, 248.

Example 191
3-(5-fluoro-2,3,3,4,4-pentamethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-278)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.02 (3H, s), 1.24 (3H, s), 1.48 (3H, d, J=4.5Hz), 1.61 (3H, s), 2.12 (3H, s), 4.66 (1H, s), 6.38 (1H, d, J=7.9Hz), 6.72-6.90 (2H, m), 7.54 (1H, t, J=7.4Hz), 7.68 (1H, t, J=7.7Hz), 7.80 (1H, d, J=8.2Hz), 8.01 (1H, d, J=1.8Hz), 8.07 (1H, d, J=8.5Hz), 8.73 (1H, d, J=2.1Hz).
MS m/z: 348(M^+), 333, 277, 262, 190, 167, 149, 133.

Example 192
3-(5-fluoro-4-keto-3,3-dimethyl-1,2,3,4-tetrahydroisoquinoline-1-yl)quinoline (Compound No. 2-279)
Physical property: Melting point 228-229°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.06 (3H, s), 1.75 (3H, s),

4.89 (1H, s), 7.09 (1H, d, J=7.4Hz), 7.20-7.38 (2H, m), 7.59 (1H, t, J=7.0Hz), 7.76 (1H, t, J=8.4Hz), 7.86 (1H, d, J=8.2Hz), 8.15 (1H, d, J=8.5Hz), 8.36 (1H, d, J=1.8Hz), 9.07 (1H, d, J=2.1Hz).
MS m/z: 320(M^+), 287, 277, 263, 235, 207.

Example 193
5-fluoro-3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-100) (Process H)

M-chloroperbenzoic acid (9.0 g) was added to a methanol (250 mL) solution of 3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (12.0 g, 36.0 mmol), followed by stirring for 5 hours at room temperature, pouring aqueous sodium sulfite solution and aqueous sodium hydrogencarbonate solution. After stirring for 30 minutes, extracting with ethyl acetate, and applying the resulting residue to chromatography to obtain 6.8 g (yield 54%) of the target compound.
Physical property: Melting point 120-121°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.30 (3H, s), 1.53 (3H, s), 1.54 (3H, s), 1.56 (3H, s), 6.82-6.86 (1H, m), 7.05-7.15 (2H, m), 7.60 (1H, t, J=7.0Hz), 7.77 (1H, t, J=8.4Hz), 7.86 (1H, d, J=8.2Hz), 8.16 (1H, d, J=8.5Hz), 8.21 (1H, d, J=2.1Hz), 8.94 (1H, d, J=2.1Hz).
MS m/z: 348(M^+), 331, 317, 292, 275, 260, 248, 177, 128, 101.

The following compounds were synthesized in the same manner as Example 192.

Example 194
5-fluoro-3,3-dimethyl-8b-(1-oxidequinoline-3-yl)-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-38)
Physical property: Melting point 164-166°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.15 (3H, s), 1.57 (3H, s),

2.58 (1H, d, J=16.1Hz), 2.93 (1H, d, J=16.1Hz), 6.94 (1H, t, J=4.7Hz), 7.11-7.23 (2H, m), 7.70 (1H, t, J=7.6Hz), 7.82 (1H, t, J=7.5Hz), 7.86 (1H, s), 7.91 (1H, d, J=8.2Hz), 8.57 (1H, d, J=1.3Hz), 8.77 (1H, d, J=9.0Hz).
MS m/z: 336(M^+), 320, 303, 288, 261, 235, 202, 162, 134, 101.

Example 195
3,3,4-trimethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-56)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 0.99 (3H, s), 1.42 (3H, d, J=7.1Hz), 1.61 (3H, s), 3.00 (1H, q, J=7.1Hz), 7.01 (1H, d, J=7.7Hz), 7.13 (1H, t, J=7.7Hz), 7.38-7.41 (2H, m), 7.58 (1H, t, J=8.2Hz), 7.75 (1H, t, J=8.2Hz), 7.86 (1H, d, J=8.2Hz), 8.17 (1H, d, J=8.2Hz), 8.28 (1H, s), 8.95 (1H, s).
MS m/z: 316(M^+), 299, 285, 271, 257, 243.

Example 196
3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-91)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.25 (3H, s), 1.44 (3H, s), 1.45 (3H, s), 1.49 (3H, s), 7.06 (1H, d, J=7.4Hz), 7.14 (1H, t, J=7.4Hz), 7.42 (1H, t, J=7.4Hz), 7.50 (1H, d, J=7.4Hz), 7.59 (1H, t, J=7.6Hz), 7.76 (1H, t, J=7.6Hz), 7.85 (1H, d, J=7.6Hz), 8.16 (1H, d, J=7.6Hz), 8.28 (1H, s), 8.93 (1H, s).
MS m/z: 330(M^+), 313, 299, 273, 257, 242.

Example 197
5-fluoro-3,3-dimethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-20)
Physical property: amorphous.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.19 (3H, s), 1.59 (3H, s),

2.62 (1H, d, J=16.1Hz), 2.95 (1H, d, J=16.1Hz), 6.84 (1H, d, J=6.5Hz), 7.06-7.16 (2H, m), 7.60 (1H, t, J=7.5Hz), 7.78 (1H, t, J=10.5Hz), 7.87 (1H, d, J=8.2Hz), 8.17 (1H, d, J=8.5Hz), 8.28 (1H, d, J=2.1Hz), 8.95 (1H, d, J=2.1Hz).
MS m/z: 320(M^+), 303, 289, 261, 248, 254, 238, 201, 84.

Example 198
5-fluoro-3,3,4,4-tetramethyl-8b-(1-oxidequinoline-3-yl)-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-108)
Physical property: Melting point 173-175°C.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.29(6H, s), 1.43(6H, d, J=3.2Hz), 7.04(1H, d, J=6.7Hz), 7.12-7.27(2H, m), 7.68(1H, t, J=7.5Hz), 7.80(1H, t, J=7.4Hz), 7.90(2H, d, J=8.2Hz), 8.77(2H, d, J=9.8Hz).
MS m/z: 354(M^+), 348, 331, 307, 275, 260, 229, 214, 164, 146, 101.

Example 199
6-fluoro-3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-110)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.24 (3H, s), 1.41 (3H, s), 1.44 (3H, s), 1.49 (3H, s), 6.82 (1H, dt, J=2.2, 8.8Hz), 7.04 (1H, dd, J=6.0, 8.8Hz), 7.19 (1H, dd, J=2.2, 10.4Hz), 7.59 (1H, t, J=8.2Hz), 7.76 (1H, t, J=8.2Hz), 7.85 (1H, d, J=8.2Hz), 8.15 (1H, d, J=8.2Hz), 8.26 (1H, s), 8.90 (1H, s).
MS m/z: 348(M^+), 331, 317, 291, 275, 260.

Example 200
6-chloro-3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-113)
Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.24 (3H, s), 1.42 (3H, s), 1.45 (3H, s), 1.49 (3H, s), 7.01 (1H, d, *J*=8.2Hz), 7.12 (1H, d, *J*=8.2Hz), 7.47 (1H, s), 7.60 (1H, t, *J*=7.6Hz), 7.77 (1H, t, *J*=7.6Hz), 7.86 (1H, d, *J*=7.6Hz), 8.16 (1H, d, *J*=7.6Hz), 8.26 (1H, s), 8.90 (1H, s).
MS m/z: 364(M^+), 347, 291, 256.

Example 201
7-methyl-3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-118)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.25 (3H, s), 1.42 (3H, s), 1.43 (3H, s), 1.48 (3H, s), 2.19 (3H, s), 6.84 (1H, s), 7.24 (1H, d, *J*=8.2Hz), 7.39 (1H, d, *J*=8.2Hz), 7.60 (1H, t, *J*=7.6Hz), 7.77 (1H, t, *J*=7.6Hz), 7.87 (1H, d, *J*=7.6Hz), 8.17 (1H, d, *J*=7.6Hz), 8.28 (1H, s), 8.93 (1H, s).
MS m/z: 344(M^+), 327, 313, 288, 271, 256.

Example 202
4',4'-dimethyl-8b'-quinolin-3-yl-4',8b'-dihydrospiro[cyclopentane-1,3'-oxazileno[3,2-a]isoquinoline] (Compound No. 3-126)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.25-1.67 (14H, m), 7.05-7.59 (4H, m), 7.59-7.61 (1H, m), 7.76 (1H, t, *J*=7.7Hz), 7.85-7.87 (1H, m), 8.17 (1H, d, *J*=8.2Hz), 8.29 (1H, s), 8.96 (1H, s).
MS m/z: 356(M^+), 339, 301, 287, 271, 257, 213.

Example 203
4,4,5-trifluoro-3,3-dimethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-135)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.41 (3H, d, *J*=2.7Hz), 1.73

(3H, d, J=2.2Hz), 6.96 (1H, d, J=8.7Hz), 7.29 (1H, t, J=8.7Hz), 7.37-7.40 (1H, m), 7.64 (1H, t, J=8.2Hz), 7.81 (1H, t, J=8.2Hz), 7.89 (1H, d, J=8.2Hz), 8.19 (1H, d, J=8.2Hz), 8.29 (1H, d, J=2.2Hz), 8.94 (1H, d, J=2.2Hz).
MS m/z: 356(M^+), 339, 319, 283.

Example 204
3-(5-fluoro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-100)
(Process I)

Methanesulfonic acid (3.5 mL) was added to a chloroform (60 mL) solution of 5-fluoro-3,3,4,4-tetramethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (6.8 g, 19.5 mmol), followed by stirring for 4 hours at room temperature, pouring aqueous sodium hydrogencarbonate solution, extracting with ethyl acetate, washing with water, concentrating and applying the resulting residue to chromatography to obtain 5.7 g (yield 84%) of the target compound.
Physical property: Melting point 165-168°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.56 (12H, s), 6.65 (1H, dd, J=7.5, 1.5Hz), 6.98-7.16 (2H, m), 7.57 (1H, t, J=7.5Hz), 7.73-7.88 (2H, m), 8.14 (1H, d, J=8.5Hz), 8.40 (1H, s), 8.92 (1H, s).
MS m/z: 348(M^+), 331, 317, 291, 275, 260, 234, 177, 128, 101, 83.
The following compounds were synthesized in the same manner as Example 204.

Example 205
3-(5-fluoro-3,3-dimethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline 1-oxide (Compound No. 4-38)
Physical property: Melting point 130-135°C.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.20 (3H, s), 1.34 (3H, s), 2.04 (2H, s), 7.25-7.55 (5H, m), 7.69 (1H, d, J=7.7Hz), 7.95 (1H, d, J=7.4Hz), 8.02 (1H, d, J=7.4Hz), 8.61 (1H, s).

MS m/z: 336(M^+), 321, 204, 177, 160, 149, 133, 109, 89.

Example 206

3-(3,3,4-trimethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-65)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.38 (3H, d, *J*=7.2Hz), 1.52 (3H, s), 1.54 (3H, s), 3.08 (1H, q, *J*=7.2Hz), 6.88 (1H, d, *J*=7.4Hz), 7.16 (1H, t, *J*=7.4Hz), 7.28-7.34 (2H, m), 7.57 (1H, t, *J*=7.6Hz), 7.77 (1H, t, *J*=7.6Hz), 7.85 (1H, d, *J*=7.6Hz), 8.15 (1H, d, *J*=7.6Hz), 8.52 (1H, s), 9.02 (1H, s).

MS m/z: 316(M^+), 299, 257, 243, 256.

Example 207

3-(3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-91)

Physical property: oil.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.38-1.75 (12H, m), 6.88 (1H, d, *J*=7.7Hz), 7.16 (1H, t, *J*=7.7Hz), 7.36 (1H, t, *J*=7.7Hz), 7.45 (1H, d, *J*=7.7Hz), 7.58 (1H, t, *J*=7.7Hz), 7.77 (1H, t, *J*=7.7Hz), 7.85 (1H, d, *J*=7.7Hz), 8.16 (1H, d, *J*=7.7Hz), 8.49 (1H, s), 9.00 (1H, s).

MS m/z: 330(M^+), 313, 271, 257, 242.

Example 208

3-(5-fluoro-3,3-dimethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-20)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.59 (6H, d, *J*=2.4Hz), 3.26 (2H, s), 6.68 (1H, d, *J*=7.7Hz), 7.02-7.19 (2H, m), 7.58 (1H, t, *J*=7.5Hz), 7.78 (1H, t, *J*=7.1Hz), 7.84 (1H, d, *J*=7.9Hz), 8.15 (1H, d, *J*=8.7Hz), 8.48 (1H, d, *J*=1.8Hz), 8.97 (1H, d, *J*=2.1Hz).

MS m/z: 320(M^+), 303, 288, 261, 248, 173, 156, 128, 101, 84.

Example 209
3-(6-fluoro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-109)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.42-1.80 (12H, m), 6.85-6.88 (2H, m), 7.16 (1H, dd, *J*=1.6, 9.9Hz), 7.58 (1H, t, *J*=7.6Hz), 7.78 (1H, t, *J*=7.6Hz), 7.85 (1H, d, *J*=7.6Hz), 8.15 (1H, d, *J*=7.6Hz), 8.48 (1H, s), 8.98 (1H, s).
MS m/z: 348(M^+), 331, 317, 289, 275, 260.

Example 210
3-(7-fluoro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-110)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.43-1.60 (12H, m), 6.59 (1H, dd, *J*=2.7, 9.3Hz), 7.04 (1H, dt, *J*=2.7, 8.2Hz), 7.40 (1H, dd, *J*=5.5, 8.2Hz), 7.59 (1H, t, *J*=7.6Hz), 7.79 (1H, t, *J*=7.6Hz), 7.86 (1H, d, *J*=7.6Hz), 8.17 (1H, d, *J*=7.6Hz), 8.44 (1H, s), 8.98 (1H, s).
MS m/z: 348(M^+), 331, 275, 260.

Example 211
3-(6-chloro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-113)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.43-1.45 (12H, m), 6.83 (1H, d, *J*=8.2Hz), 7.14 (1H, dd, *J*=2.2, 8.2Hz), 7.41 (1H, d, *J*=2.2Hz), 7.58 (1H, t, *J*=8.0Hz), 7.78 (1H, t, *J*=8.0Hz), 7.85 (1H, d, *J*=8.0Hz), 8.16 (1H, d, *J*=8.0Hz), 8.46 (1H, s), 8.97 (1H, s).
MS m/z: 364(M^+), 347, 291, 256.

Example 212
3-(7-chloro-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-114)

Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.44-1.65 (12H, m), 6.86 (1H, d, *J*=1.6Hz), 7.33 (1H, dd, *J*=1.6, 8.2Hz), 7.38 (1H, d, *J*=8.2Hz), 7.61 (1H, t, *J*=8.0Hz), 7.81 (1H, t, *J*=8.0Hz), 7.88 (1H, d, *J*=8.0Hz), 8.18 (1H, d, *J*=8.0Hz), 8.46 (1H, s), 8.97 (1H, s).
MS m/z: 364(M^+), 347, 291, 256.

Example 213
3-(6-methyl-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-117)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.43-1.76 (12H, m), 2.39 (3H, s), 6.77 (1H, d, *J*=7.8Hz), 6.97 (1H, d, *J*=7.8Hz), 7.24 (1H, s), 7.57 (1H, t, *J*=7.6Hz), 7.76 (1H, t, *J*=7.6Hz), 7.84 (1H, d, *J*=7.6Hz), 8.15 (1H, d, *J*=7.6Hz), 8.50 (1H, s), 9.00 (1H, s).
MS m/z: 344(M^+), 327, 313, 285, 271, 256.

Example 214
3-(7-methyl-3,3,4,4-tetramethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-118)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.36-1.52 (12H, m), 2.20 (3H, s), 6.67 (1H, s), 7.17 (1H, d, *J*=8.2Hz), 7.32 (1H, d, *J*=8.2Hz), 7.59 (1H, t, *J*=7.6Hz), 7.78 (1H, t, *J*=7.6Hz), 7.86 (1H, d, *J*=7.6Hz), 8.16 (1H, d, *J*=7.6Hz), 8.50 (1H, s), 8.98 (1H, s).
MS m/z: 344(M^+), 327, 313, 271, 256.

Example 215
3',3'-dimethyl-1'-(1-oxide-quinolin-3-yl)-3'*H*-spiro[cyclopentane-1,4'-isoquinoline] 2'-oxide (Compound No. 4-126)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 0.79 (3H, s), 1.18 (3H, d,

J=6.6Hz), 1.24-1.91 (6H, m), 2.43-2.48 (1H, m), 2.85-2.90 (1H, m), 7.08 (1H, d, *J*=7.7Hz), 7.16 (1H, t, *J*=7.7Hz), 7.31 (1H, d, *J*=7.7Hz), 7.42 (1H, t, *J*=7.7Hz), 7.70 (1H, t, *J*=8.2Hz), 7.82 (1H, t, *J*=8.2Hz), 7.87 (1H, s), 7.93 (1H, d, *J*=8.2Hz), 8.58 (1H, s), 8.79 (1H, d, *J*=8.2Hz).
MS m/z: 372(M^+), 356, 339, 287, 269, 257.

Example 216
4',4'-dimethyl-1'-quinolin-3-yl-4'*H*-spiro[cyclopentane-1,4'-isoquinoline] 2'-oxide (Compound No. 4-127)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.24-2.04 (14H, m), 6.86 (1H, d, *J*=7.6Hz), 7.14 (1H, t, *J*=7.6Hz), 7.36 (1H, t, *J*=7.6Hz), 7.43 (1H, d, *J*=7.6Hz), 7.58 (1H, t, *J*=7.6Hz), 7.77 (1H, t, *J*=7.6Hz), 7.85 (1H, d, *J*=7.6Hz), 8.16 (1H, d, *J*=7.6Hz), 8.48 (1H, s), 8.99 (1H, s).
MS m/z: 356(M^+), 339, 301, 283, 257.

Example 217
4',4'-dimethyl-1'-quinolin-3-yl-4'*H*-spiro[cyclohexane-1,4'-isoquinoline] 2'-oxide (Compound No. 4-126)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.30 (3H, s), 1.42-1.90 (8H, m), 1.58 (3H, s), 2.37-2.40 (1H, m), 2.47-2.50 (1H, m), 6.87 (1H, d, *J*=7.8Hz), 7.14 (1H, t, *J*=7.8Hz), 7.33 (1H, t, *J*=7.8 Hz), 7.41 (1H, d, *J*=8.2Hz), 7.57 (1H, t, *J*=7.8Hz), 7.76 (1H, t, *J*=7.8Hz), 7.84 (1H, d, *J*=7.8Hz), 8.15 (1H, d, *J*=7.8Hz), 8.35 (1H, s), 8.99 (1H, s).
MS m/z: 370(M^+), 353, 285, 257, 242.

Example 218
3-(4,4-difluoro-3,3-dimethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-218)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.68 (6H, s), 7.03 (1H, d, *J*=7.7Hz), 7.40-7.63 (3H, m), 7.78-7.88 (3H, m), 8.17 (1H,

d, J=8.2Hz), 8.47 (1H, d, J=2.1Hz), 9.00 (1H, d, J=2.1Hz).
MS m/z: 338(M^+), 321, 301, 294, 265, 246, 128, 119, 101, 84.

Example 219
3-(4,4,5-trifluoro-3,3-dimethyl-2-oxide-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 4-219)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.72 (6H, s), 6.80 (1H, d, J=8.2Hz), 7.19 (1H, t, J=8.2Hz), 7.37-7.42 (1H, m), 7.61 (1H, t, J=7.6Hz), 7.81 (1H, t, J=7.6Hz), 7.86 (1H, d, J=7.6Hz), 8.17 (1H, d, J=7.6Hz), 8.40 (1H, s), 8.94 (1H, s).
MS m/z: 356(M^+), 339, 319, 283.

Example 220
3-(4,4,6-trifluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-940)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.46 (6H, s), 7.16-7.17 (1H, m), 7.33-7.40 (1H, m), 7.57 (1H, d, J=8.5Hz), 7.62 (1H, t, J=6.9Hz), 7.80 (1H, t, J=6.9Hz), 7.89 (1H, d, J=8.2Hz), 8.18 (1H, d, J=8.5Hz), 8.37 (1H, d, J=1.8Hz), 9.12 (1H, d, J=2.1Hz).
MS m/z: 340(M^+), 325, 305, 284, 248, 170, 128, 101.

Example 221
3-(4,4,7-trifluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-941)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.46 (6H, s), 7.04 (1H, d, J=8.9Hz), 7.35 (1H, td, J=8.4Hz, 2.3Hz), 7.63 (1H, t, J=7.2Hz), 7.81 (1H, t, J=8.9Hz), 7.86-7.87 (1H, m), 7.90 (1H, d, J=8.2Hz), 8.19 (1H, d, J=8.9Hz), 8.38 (1H, d, J=1.4Hz), 9.14 (1H, d, J=2.7Hz).
MS m/z: 340(M^+), 325, 305, 284, 248, 160, 149, 128, 101.

Example 222
3-(6-chloro-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-943)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.46 (6H, s), 7.30 (1H, d, *J*=8.2Hz), 7.52 (1H, d, *J*=6.9Hz), 7.63 (1H, t, *J*=7.6Hz), 7.81 (1H, t, *J*=7.6Hz), 7.86 (1H, s), 7.89 (1H, d, *J*=8.2Hz), 8.19 (1H, d, *J*=8.2Hz), 8.37 (1H, d, *J*=2.1Hz), 9.12 (1H, d, *J*=2.1Hz).
MS m/z: 356(M^+), 321, 300, 265, 149, 101.

Example 223
3-(7-chloro-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-944)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.45 (6H, s), 7.31(1H, s), 7.58-7.67 (2H, m), 7.80 (2H, t, *J*=8.2Hz), 7.91 (1H, d, *J*=7.7Hz), 8.19 (1H, d, *J*=8.5Hz), 8.38 (1H, s), 9.12 (1H, s).
MS m/z: 356(M^+), 341, 321, 300, 265, 168, 119, 101.

Example 224
3-(6-bromo-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-946)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.46 (6H, s), 7.28-7.70 (1H, m), 7.61 (1H, t, *J*=7.4Hz), 7.67 (1H, d, *J*=8.2Hz), 7.80 (1H, t, *J*=7.7Hz), 7.89 (1H, d, *j*=8.2Hz), 8.00 (1H, s), 8.19 (1H, d, *J*=8.8Hz), 8.19 (1H, s), 9.13 (1H, d, *J*=2.2Hz).
MS m/z: 400(M^+), 385, 353, 321, 297, 265.

Example 225
3-(7-bromo-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-947)
Physical property: Melting point 123-125°C.

^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.45 (6H, s), 7.47 (1H, s), 7.63 (1H, t, *J*=7.7Hz), 7.75 (1H, d, *J*=8.2Hz), 7.78-7.83 (2H, m), 7.92 (1H, d, *J*=7.7Hz), 8.20 (1H, d, *J*=8.2Hz), 8.39 (1H, d, *J*=2.2Hz), 9.13 (1H, d, *J*=2.2Hz).
MS m/z: 400(M^+), 385, 265, 346, 321, 265, 245, 149, 119, 101.

Example 226
3-(6-methyl-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-949)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.45 (6H, s), 2.50 (3H, s), 7.21 (1H, d, *J*=8.2Hz), 7.33 (1H, d, *J*=7.7Hz), 7.61 (1H, t, *J*=7.7Hz), 7.68 (1H, s), 7.79 (1H, t, *J*=7.7Hz), 7.89 (1H, d, 7.7Hz), 8.18 (1H, d, *J*=8.2Hz), 8.39(1H, s), 9.13 (1H, d, *J*=1.6Hz).
MS m/z: 336(M^+), 335, 321, 301, 280, 265, 239, 158, 101.

Example 227
3-(4,4-difluoro-6-methoxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-950)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.45 (6H s), 3.93 (3H, s), 6.98 (1H, dd, *J*=8.6, 2.4Hz), 7.24-7.27 (1H, m), 7.37 (1H, d, *J*=2.1Hz), 7.61 (1H, t, *J*=6.9Hz), 7.78 (1H, t, *J*=7.6Hz), 7.88 (1H, d, *J*=8.2Hz), 8.17 (1H, d, *J*=8.2Hz), 8.38 (1H, d, *J*=1.4Hz), 9.12 (1H, d, *J*=2.1Hz).
MS m/z: 352(M^+), 337, 321, 296, 265, 196, 167, 149, 101, 88, 59.

Example 228
3-(5,7-dichloro-4,4-difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-951)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.49 (6H, s), 7.21 (1H, d, *J*=1.4Hz), 7.63 (1H, d, *J*=7.6Hz), 7.66 (1H, d, *J*=2.1Hz),

7.82 (1H, t, J=7.9Hz), 7.91 (1H, d, J=7.6Hz), 8.19 (1H, d, J=8.2Hz), 8.32 (1H, d, J=2.1Hz), 9.05 (1H, d, J=2.1Hz).
MS m/z: 390(M^+), 355, 334, 299, 178, 149, 126, 101, 72.

Example 229
3-(4,4,6-trifluoro-3,3,7-trimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-952)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.44 (6H, s), 2.27 (3H, s), 7.16 (1H, d, J=7.6Hz), 7.50 (1H, d, J=7.6Hz), 7.63 (1H, t, J=7.6Hz), 7.81 (1H, td, J=7.9, 1.6Hz), 7.91 (1H, d, J=8.2Hz), 8.19 (1H, d, J=8.2Hz), 8.39 (1H, d, J=2.1Hz), 9.10 (1H, J=2.1Hz).
MS m/z: 353(M^+-1), 339, 298, 149, 126, 118, 100.

Example 230
3-(6-fluoro-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-953)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.62 (6H, s), 7.33-7.48 (3H, m), 7.64 (1H, t, J=8.1Hz), 7.78-7.87 (2H, m), 7.91 (1H, d, J=8.2Hz), 8.20 (1H, d, J=8.7Hz), 8.36 (1H, d, J=2.1Hz), 9.09 (1H, d, J=2.1Hz).
MS m/z: 318(M^+), 303, 289, 275, 262, 248, 234, 207, 159, 128, 117, 104.

Example 231
3-(7-fluoro-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-954)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.46 (6H, s), 7.04 (1H, d, J=8.9Hz), 7.35 (1H, td, J=8.4Hz, 2.3Hz), 7.63 (1H, br.t, J=7.2Hz), 7.81 (1H, br.t, J=8.9Hz), 7.86-7.87 (1H, m), 7.90 (1H, d, J=8.2Hz), 8.19 (1H, d, J=8.9Hz), 8.38 (1H, d, J=1.4Hz), 9.14 (1H, d, J=2.7Hz).
MS m/z: 340(M^+), 325, 305, 284, 248, 160, 149, 128, 101.

Example 232

3-(5-chloro-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-955)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.60 (6H, s), 7.27 (1H, d, *J*=6.9Hz), 7.52-7.70 (3H, m), 7.80 (1H, t, *J*=8.2Hz), 7.90 (1H, d, *J*=7.9Hz), 8.19 (1H, d, *J*=7.9Hz), 8.35 (1H, d, *J*=2.1Hz), 9.07 (1H, d, *J*=2.1Hz).

MS m/z: 334(M^+), 319, 305, 291, 271, 250, 214, 187, 128, 101.

Example 233

3-(7-chloro-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-957)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.61 (6H, s), 7.37 (1H, d, *J*=2.1Hz), 7.62-7.68 (2H, m), 7.82 (1H, t, *J*=8.7Hz), 7.93 (1H, d, *J*=8.1Hz), 8.13 (1H, d, *J*=8.5Hz), 8.21 (1H, d, *J*=8.7Hz), 8.37 (1H, d, *J*=2.1Hz), 9.09 (1H, d, *J*=2.4Hz).

MS m/z: 334(M^+), 319, 305, 291, 271, 250, 214, 187, 128, 101.

Example 234

3-(5-bromo-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-958)

Physical property: oil.

^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.60 (6H, s), 7.31 (1H, dd, *J*=7.9, 1.1Hz), 7.47 (1H, t, *J*=7.9Hz), 7.63 (1H, t, *J*=8.2Hz), 7.80 (1H, t, *J*=8.5Hz), 7.87-7.93 (2H, m), 8.18 (1H, d, *J*=8.2Hz), 8.35 (1H, d, *J*=1.6Hz), 9.07 (1H, d, *J*=2.1Hz).

Example 235

3-(6-bromo-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-959)

Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.61 (6H, s), 7.29 (1H, d, *J*=8.2Hz), 7.55-7.67 (1H, m), 7.75-7.88 (2H, m), 7.90 (1H, d, *J*=9.0Hz), 8.19 (1H, d, 8.5Hz), 8.19 (1H, d, *J*=1.8Hz), 8.35 (1H, d, *J*=1.8Hz), 9.08 (1H, d, *J*=1.6Hz).
MS m/z: 378(M^+), 365, 349, 337, 294, 285, 271, 229, 214, 128, 101.

Example 236
3-(7-bromo-4-keto-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (Compound No. 1-960)
Physical property: oil.
^{1}H-NMR (500MHz, $CDCl_3$) δ ppm: 1.61 (6H, s), 7.54 (1H, d, *J*=1.6Hz), 7.65 (1H, t, *J*=7.4Hz), 7.80-7.89 (2H, m), 7.94 (1H, d, *J*=7.7Hz), 8.04 (1H, d, *J*=8.2Hz), 8.21 (1H, d, *J*=8.8Hz), 8.37 (1H, d, *J*=2.2Hz), 9.09 (1H, d, *J*=2.2Hz).
MS m/z: 378(M^+), 363, 351, 337, 322, 296, 271, 255, 229, 214, 187, 167, 149, 128, 107, 75, 57.

Example 237
4,4-difluoro-3,3-dimethyl-8b-quinolin-3-yl-4,8b-dihydro-3*H*-oxazileno[3,2-a]isoquinoline (Compound No. 3-134)
Physical property: oil.
^{1}H-NMR (270MHz, $CDCl_3$) δ ppm: 1.32 (3H, d, *J*=2.6Hz), 1.73 (3H, d, *J*=2.4Hz), 7.16 (1H, d, *J*=7.7Hz), 7.42 (1H, t, *J*=7,7Hz), 7.56-7.66 (2H, m), 7.76-7.83 (1H, m), 7.87-7.93 (2H, m), 8.18 (1H, d, *J*=9.0Hz), 8.31 (1H, d, *J*=2.1Hz), 8.94 (1H, d, *J*=2.1Hz).
MS m/z: 338(M^+), 322, 301, 287, 266, 230, 154, 128, 101, 85.

Preparation Example 1
Powder

After mixing the compound of Example 1 (1.0 parts by weight), Dryless A?? (alkyl ether phosphoric acid ester, Nippon Kayaku, 0.4 parts by weight), Carprex #80-D (white

carbon, Shionogi & Co., Ltd., 1.5 parts by weight), calcium carbonate (Ashidachi Lime Co., Ltd., 0.5 parts by weight) and Keiwa Clay (Keiwa Rozai Co., Ltd., 32.1 parts by weight), the mixture was crushed with an Example Model KII-1 (hammer mill, Fuji Paudal Co., Ltd.), and 1.5 times the weight of the resulting powder of DL Clay Keiwa (Keiwa Rozai Co., Ltd.) were added and mixed to obtain a powder DL.

Preparation Example 2

Emulsion

The compound of Example 2 (10 parts by weight) was dissolved in a mixed solution of xylene (Wako Pure Chemical Industries, Ltd., 40 parts by weight) and DMSO (Wako Pure Chemical Industries, Ltd., 35 parts by weight), followed by the addition and mixing of Parakol KPS (anionic surfactant and nonionic surfactant mixture, Nippon Nyukazai Co., Ltd., 25 parts by weight) to this solution to obtain an emulsion.

Preparation Example 3

Water-Dispersible Powder

The compound of Example 3 (1 part by weight), Carprex #80-D (10 parts by weight), Gohsenol GL05 (polyvinyl alcohol, Nippon Synthetic Chemical Industry Co., Ltd., 2 parts by weight), Nyucol 291PG (sodium dioctylsulfosuccinate, Nippon Nyukazai Co., Ltd., 0.5 parts by weight), Neogen Powder (linear sodium alkylbenzenesulfonate, Dai-Ichi Kogyo Seiyaku Co., Ltd., 5 parts by weight), Radiolite #200 (baked diatomaceous earth, Showa Chemical Industry Co., Ltd., 10 parts by weight) and H Bibun (kaolinite clay, Keiwa Rozai Co., Ltd., 71.5 parts by weight) were mixed well and crushed with an Example Model KII-1 to obtain a water-dispersible powder.

Preparation Example 4

Granules

The compound of Example 4 (2 parts by weight), sodium tripolyphosphate (Mitsui Chemicals, Inc., 2 parts by weight), Amycol No. 1 (dextrin, Nippon Starch Chemical Co., Ltd., 1.5 parts by weight) and Carhin 600 (calcium carbonate, Ashidachi Lime Co., Ltd., 69.5 parts by weight) were mixed followed by granulation by extruding using a domed granulator (Fuji Paudal Co., Ltd., screen diameter: 0.9 mm). The resulting granules were dried with a shelf dryer (Tabai Co., Ltd., Perfect Oven Model PS-222, 60°C) followed by grading to a size of 600 to 1180 μm to obtain granules.

Test Example 1

Rice Blast Control Test (Curative Effects)

A suspension of pathogen spores were inoculated by spraying onto potted test plants (rice variety: Karakaze) in the third to fourth leaf stage, and onset of disease was promoted by placing the pots in an inoculation room at a room temperature of 20 to 23°C. Compounds of the present invention were dissolved in a mixed solution of dimethylsulfoxide and methanol (volume ratio: 7/3), spraying liquids containing 300 ppm of the compounds of the present invention were prepared and uniformly sprayed onto the pots. The degree of disease onset of the test plants was observed 7 days after the inoculation. The test was carried out in duplicate.

Furthermore, the degree of disease onset was evaluated to one of four levels from 0 to 3 according to the criteria below by macroscopically observing the degree of disease onset of the test plants.

Degree of disease onset:

0: No onset of disease

1: Degree of disease onset less than 40% of the untreated area

2: Degree of disease onset 40% to less than 80% of

the untreated area

3: Degree of disease onset 80% or more

As a result of this test, Example 2 (Compound No. 1-32), Example 4 (Compound No. 2-1), Example 6 (Compound No. 1-1), Example 7 (Compound No. 1-7), Example 9 (Compound No. 1-19), Example 14 (Compound No. 1-38), Example 16 (Compound No. 1-41), Example 18 (Compound No. 1-44), Example 21 (Compound No. 1-54), Example 22 (Compound No. 1-56), Example 26 (Compound No. 1-69), Example 30 (Compound No. 1-85), Example 32 (Compound No. 1-94), Example 33 (Compound No. 1-95), Example 36 (Compound No. 1-100), Example 38 (Compound No. 1-101), Example 39 (Compound No. 1-101), Example 51 (Compound No. 1-116), Example 52 (Compound No. 1-117), Example 55 (Compound No. 1-137), Example 56 (Compound No. 1-147), Example 57 (Compound No. 1-175), Example 58 (Compound No. 1-185), Example 59 (Compound No. 1-213), Example 60 (Compound No. 1-251), Example 62 (Compound No. 1-307), Example 63 (Compound No. 1-345), Example 66 (Compound No. 1-385), Example 68 (Compound No. 1-387), Example 69 (Compound No. 1-424), Example 71 (Compound No. 1-464), Example 72 (Compound No. 1-502), Example 73 (Compound No. 1-540), Example 74 (Compound No. 1-578), Example 75 (Compound No. 1-594), Example 79 (Compound No. 1-672), Example 80 (Compound No. 1-710), Example 81 (Compound No. 1-720), Example 82 (Compound No. 1-721), Example 101 (Compound No. 1-790), Example 103 (Compound No. 1-793), Example 104 (Compound No. 1-796), Example 105 (Compound No. 1-799), Example 106 (Compound No. 1-802), Example 107 (Compound No. 1-804), Example 108 (Compound No. 1-806), Example 109 (Compound No. 1-807), Example 110 (Compound No. 2-36), Example 112 (Compound No. 2-40), Example 114 (Compound No. 1-866), Example 117 (Compound No. 1-99), Example 118 (Compound No. 1-99), Example 119 (Compound No. 1-856), Example 124 (Compound No. 2-255), Example 125 (Compound No. 2-264), Example 132 (Compound No. 1-886),

Example 150 (Compound No. 1-904), Example 156 (Compound No. 1-910), Example 158 (Compound No. 1-912), Example 160 (Compound No. 1-914), Example 163 (Compound No. 1-917), Example 164 (Compound No. 1-918), Example 165 (Compound No. 1-919), Example 171 (Compound No. 1-925), Example 174 (Compound No. 1-927), Example 177 (Compound No. 1-929), Example 178 (Compound No. 1-930), Example 181 (Compound No. 1-935), Example 183 (Compound No. 1-937), Example 184 (Compound No. 1-938), Example 185 (Compound No. 1-939), Example 193 (Compound No. 3-100), Example 196 (Compound No. 3-91), Example 197 (Compound No. 3-20), Example 198 (Compound No. 3-108), Example 199 (Compound No. 3-110), Example 202 (Compound No. 3-126), Example 203 (Compound No. 3-135), Example 204 (Compound No. 4-100), Example 206 (Compound No. 4-65), Example 207 (Compound No. 4-91), Example 208 (Compound No. 4-20), Example 209 (Compound No. 4-109), Example 210 (Compound No. 4-110), Example 211 (Compound No. 4-113), Example 217 (Compound No. 4-129), Example 218 (Compound No. 4-134) and Example 219 (Compound No. 4-135)
demonstrated a degree of disease onset of 0.

Test Example 2

Tomato Gray Mold Control Test (Preventive effects)

Bulk drug was dissolved in a mixed solution of dimethylsulfoxide and methanol (volume ratio: 7/3), and spraying liquids containing 300 ppm of compounds of the present invention were uniformly sprayed onto potted test plants (tomato: giant Fukuju variety) in the second to third leaf stage. One day after planting, a suspension of pathogen spores were inoculated by spraying onto the pots in an inoculation room at a room temperature of 20 to 23°C to promote the onset of disease. The degree of disease onset was investigated 2 days after inoculation. The test was carried out in duplicate.

Furthermore, the degree of disease onset was

evaluated to one of four levels from 0 to 3 according to the criteria below by macroscopically observing the degree of disease onset of the test plants.

Degree of disease onset:

0: No onset of disease

1: Degree of disease onset less than 40% of the untreated area

2: Degree of disease onset 40% to less than 80% of the untreated area

3: Degree of disease onset 80% or more

As a result of this test, Example 2 (Compound No. 1-32), Example 14 (Compound No. 1-38), Example 18 (Compound No. 1-44), Example 20 (Compound No. 1-53), Example 21 (Compound No. 1-54), Example 22 (Compound No. 1-56), Example 23 (Compound No. 1-65), Example 30 (Compound No. 1-85), Example 44 (Compound No. 1-106), Example 51 (Compound No. 1-116), Example 52 (Compound No. 1-117), Example 53 (Compound No. 1-126), Example 56 (Compound No. 1-147), Example 58 (Compound No. 1-185), Example 66 (Compound No. 1-385), Example 68 (Compound No. 1-387), Example 69 (Compound No. 1-424), Example 71 (Compound No. 1-464), Example 72 (Compound No. 1-502), Example 73 (Compound No. 1-540), Example 75 (Compound No. 1-594), Example 79 (Compound No. 1-672), Example 92 (Compound No. 1-764), Example 103 (Compound No. 1-793), Example 107 (Compound No. 1-804), Example 108 (Compound No. 1-806), Example 109 (Compound No. 1-807), Example 110 (Compound No. 2-36), Example 112 (Compound No. 2-40), Example 114 (Compound No. 1-866), Example 120 (Compound No. 1-857), Example 121 (Compound No. 1-858), Example 123 (Compound No. 1-867), Example 154 (Compound No. 1-908), Example 156 (Compound No. 1-910), Example 158 (Compound No. 1-912), Example 159 (Compound No. 1-913), Example 163 (Compound No. 1-917), Example 164 (Compound No. 1-918), Example 165 (Compound No. 1-919), Example 174 (Compound No. 1-927), Example 175 (Compound No. 1-926), Example 177

(Compound No. 1-929), Example 178 (Compound No. 1-930), Example 191 (Compound No. 2-278), Example 193 (Compound No. 3-100), Example 196 (Compound No. 3-91), Example 197 (Compound No. 3-20), Example 199 (Compound No. 3-110), Example 202 (Compound No. 3-126), Example 203 (Compound No. 3-135), Example 204 (Compound No. 4-100), Example 207 (Compound No. 4-91), Example 209 (Compound No. 4-109), Example 211 (Compound No. 4-113), Example 217 (Compound No. 4-129), Example 218 (Compound No. 4-134) and Example 219 (Compound No. 4-135) demonstrated a degree of disease onset of 0.

INDUSTRIAL APPLICABILITY

Compounds of the present invention can be used as agrohorticultural antimicrobial agents, and are superior as agrihorticultural antimicrobial agents since they demonstrate outstanding effects against various plant pathogens, and particularly rice blast, without causing damage to the host plant.

Although examples of plant diseases against which compounds of the present invention demonstrate superior effects include rice blast (Pyricularia oryzae) and gray mold (Botrytis cinerea) in tomatoes, cucumbers and green beans, the antimicrobial spectrum of compounds of the present invention is not limited thereto.